```
=> fil reg; d stat que l9

FILE 'REGISTRY' ENTERED AT 09:26:06 ON 29 JUL 1999
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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STRUCTURE FILE UPDATES:   29 JUL  99  HIGHEST RN 229347-05-1
DICTIONARY FILE UPDATES:  29 JUL  99  HIGHEST RN 229347-05-1

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 13, 1999

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.


L8                STR
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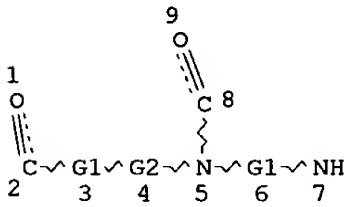


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REP G1=(0-5) CH2
REP G2=(0-1) CH
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS   9

STEREO ATTRIBUTES: NONE
L9            0 SEA FILE=REGISTRY SSS SAM L8

  0.7% PROCESSED   1000 ITERATIONS                    0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:   ONLINE **INCOMPLETE**
                         BATCH  **INCOMPLETE**
PROJECTED ITERATIONS:      EXCEEDS 1000000
PROJECTED ANSWERS:         EXCEEDS       0
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Ex Enewold-

This structure is still much too broad to search. Note results of sample search.

=> fil reg; d stat que l10

FILE 'REGISTRY' ENTERED AT 11:16:15 ON 29 JUL 1999

STRUCTURE FILE UPDATES: 29 JUL 99 HIGHEST RN 229347-05-1
DICTIONARY FILE UPDATES: 29 JUL 99 HIGHEST RN 229347-05-1

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 13, 1999

Please note that search-term pricing does apply when conducting SmartSELECT searches.

L5 200 SEA FILE=REGISTRY ABB=ON (20924-05-4/BI OR 71-30-7/BI OR 9075-08-5/BI OR 139166-85-1/BI OR 5437-45-6/BI OR 9026-81-7/BI OR 149349-36-0/BI OR 162876-58-6/BI OR 24939-09-1/BI OR 260-94-6/BI OR 111-40-0/BI OR 128421-86-3/BI OR 13303-10-1/BI OR 1333-74-0/BI OR 143-07-7/BI OR 149376-74-9/BI OR 149376-88-5/BI OR 159140-78-0/BI OR 159140-79-1/BI OR 159140-80-4/BI OR 159411-08-2/BI OR 161757-64-8/BI OR 162279-67-6/BI OR 162279-69-8/BI OR 162279-71-2/BI OR 162279-72-3/BI OR 162279-73-4/BI OR 168263-86-3/BI OR 171601-86-8/BI OR 171601-87-9/BI OR 17831-01-5/BI OR 196497-31-1/BI OR 196497-32-2/BI OR 205454-22-4/BI OR 210632-73-8/BI OR 24937-83-5/BI OR 25191-20-2/BI OR 37288-25-8/BI OR 57-88-5/BI OR 58-61-7/BI OR 623-33-6/BI OR 65-71-4/BI OR 66-97-7/BI OR 89992-70-1/BI OR 9012-90-2/BI OR 9013-20-1/BI OR 9014-24-8/BI OR 9050-76-4/BI OR 98-88-4/BI OR 100-27-6/BI OR 100-51-6/BI OR 102522-48-5/BI OR 102774-86-7/BI OR 105496-31-9/BI OR 106-65-0/BI OR 107-13-1/BI OR 107-18-6/BI OR 107-31-3/BI OR 107-95-9/BI OR 108-30-5/BI OR 110-60-1/BI OR 112-80-1/BI OR 112139-33-0/BI OR 1193-24-4/BI OR 120178-12-3/BI OR 1239-45-8/BI OR 127605-13-4/BI OR 128657-07-8/BI OR 13139-17-8/BI OR 1319-82-0/BI OR 13795-24-9/BI OR 139166-79-3/BI OR 139166-81-7/BI OR 139166-84-0/BI OR 1405-97-6/BI OR 141-30-0/BI OR 141-43-5/BI OR 142611-61-8/BI OR 142611-62-9/BI OR 142611-63-0/BI OR 142611-64-1/BI OR 142611-65-2/BI OR 142611-66-3/BI OR 143236-82-2/BI OR 144564-95-4/BI OR 14470-28-1/BI OR 144923-51-3/BI OR 144944-16-1/BI OR 148409-03-4/BI OR 148409-04-5/BI OR 151013-75-1/BI OR 151013-76-2/BI OR 153021-48-8/BI OR 153021-49-9/BI OR 153021-50-2/BI OR 153021-51-3/BI OR 153966-07-5/BI OR 153966-08-6/BI OR 154212-85-8/BI OR 154212-86-9/BI OR 158097-23-5/BI OR 161235-86-5/BI OR 161295-16-5/BI OR 161353-44-2/BI OR 161757-59-1/BI OR 161757-60-4/BI OR 161757-61-5/BI OR 161757-62-6/BI OR 161757-63-7/BI OR 161757-65-9/BI OR 161757-66-0/BI OR 162279-68-7/BI OR 162279-70-1/BI OR 163081-06-9/BI OR 165726-47-6/BI OR 165726-48-7/BI OR 166876-84-2/BI OR 166876-86-4/BI OR 166877-37-8/BI OR 167033-98-9/BI OR 168263-98-7/BI OR 172255-36-6/BI OR 172405-10-6/BI OR 172405-18-4/BI OR 172405-25-3/BI OR 173150-00-0/BI OR 173720-72-4/BI OR 173970-90-6/BI OR 173970-91-7/BI OR 173970-92-8/BI OR 173970-93-9/BI OR 173970-94-0/BI OR 173970-95-1/BI OR 173970-96-2/BI OR 174872-50-5/BI OR 175431-23-9/BI OR 175588-17-7/BI OR 175588-18-8/BI OR 175588-19-9/BI OR 175588-20-2/BI OR 175588-21-3/BI OR 175707-74-1/BI OR 175781-21-2/BI OR 1758-80-1/BI OR 176229-75-7/BI OR 177353-82-1/BI OR 177913-34-7/BI OR 177913-35-8/BI OR 177913-36-9/BI OR 177933-57-2/BI OR 178036-67-4/BI OR 178095-25-5/BI OR 180394-98-3/BI OR 180394-99-4/BI OR 180395-00-0/BI OR 180514-67-4/BI OR 180514-69-6/BI OR 180514-70-9/BI OR 180617-49-6/BI OR 183057-32-1/BI OR 183057-37-6/BI OR 183057-48-9/BI OR 183057-51-4/BI OR 183057-55

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L6 275 SEA FILE=REGISTRY ABB=ON (185670-62-6/BI OR 185670-63-7/BI OR 185670-64-8/BI OR 185670-65-9/BI OR 185670-66-0/BI OR 185670-67-1/BI OR 185670-68-2/BI OR 185670-69-3/BI OR 185670-70-6/BI OR 185670-71-7/BI OR 185670-72-8/BI OR 185670-74-0/BI OR 185670-76-2/BI OR 185670-78-4/BI OR 185670-79-5/BI OR 185670-80-8/BI OR 185670-81-9/BI OR 185670-82-0/BI OR 185670-84-2/BI OR 185670-87-5/BI OR 185670-90-0/BI OR 185670-92-2/BI OR 185670-94-4/BI OR 185670-95-5/BI OR 185670-96-6/BI OR 185670-97-7/BI OR 185670-98-8/BI OR 185670-99-9/BI OR 185671-00-5/BI OR 185671-01-6/BI OR 185671-02-7/BI OR 185671-03-8/BI OR 185830-87-9/BI OR 185830-88-0/BI OR 185830-89-1/BI OR 186751-35-9/BI OR 186751-36-0/BI OR 186751-37-1/BI OR 186751-38-2/BI OR 187483-07-4/BI OR 188892-95-7/BI OR 188892-96-8/BI OR 188892-97-9/BI OR 188892-98-0/BI OR 188892-99-1/BI OR 188901-14-6/BI OR 188929-94-4/BI OR 188929-95-5/BI OR 188933-70-2/BI OR 188933-71-3/BI OR 188933-72-4/BI OR 188933-73-5/BI OR 188933-74-6/BI OR 188958-86-3/BI OR 188960-71-6/BI OR 188960-72-7/BI OR 189088-90-2/BI OR 189444-15-3/BI OR 1904-98-9/BI OR 191920-32-8/BI OR 191920-34-0/BI OR 191920-35-1/BI OR 191920-36-2/BI OR 191920-37-3/BI OR 191920-38-4/BI OR 192272-54-1/BI OR 192321-01-0/BI OR 192466-24-3/BI OR 192466-25-4/BI OR 192466-26-5/BI OR 192466-27-6/BI OR 192517-97-8/BI OR 193338-85-1/BI OR 193338-86-2/BI OR 193338-87-3/BI OR 193338-88-4/BI OR 193338-90-8/BI OR 193338-91-9/BI OR 193338-93-1/BI OR 196719-23-0/BI OR 196719-24-1/BI OR 196719-25-2/BI OR 196719-26-3/BI OR 198069-48-6/BI OR 198069-49-7/BI OR 198069-50-0/BI OR 198069-51-1/BI OR 198069-52-2/BI OR 198069-53-3/BI OR 198069-54-4/BI OR 198069-55-5/BI OR 198156-14-8/BI OR 198156-15-9/BI OR 198156-16-0/BI OR 198156-17-1/BI OR 198156-18-2/BI OR 198156-19-3/BI OR 198156-20-6/BI OR 198156-21-7/BI OR 198156-22-8/BI OR 198156-23-9/BI OR 198156-24-0/BI OR 198156-25-1/BI OR 198156-26-2/BI OR 198156-27-3/BI OR 198156-28-4/BI OR 198229-97-9/BI OR 199687-45-1/BI OR 199687-46-2/BI OR 199687-47-3/BI OR 199687-48-4/BI OR 199687-49-5/BI OR 200184-26-5/BI OR 200184-28-7/BI OR 200184-30-1/BI OR 200267-04-5/BI OR 200267-06-7/BI OR 200267-07-8/BI OR 200267-08-9/BI OR 200267-09-0/BI OR 200267-10-3/BI OR 200267-11-4/BI OR 200267-12-5/BI OR 200267-13-6/BI OR 200267-14-7/BI OR 200267-15-8/BI OR 200267-16-9/BI OR 200267-17-0/BI OR 200267-18-1/BI OR 200267-20-5/BI OR 200267-22-7/BI OR 200297-23-0/BI OR 200297-24-1/BI OR 200360-05-0/BI OR 200360-06-1/BI OR 200360-07-2/BI OR 200360-08-3/BI OR 200360-09-4/BI OR 200360-10-7/BI OR 200360-11-8/BI OR 200360-12-9/BI OR 200360-13-0/BI OR 200360-14-1/BI OR 200360-15-2/BI OR 202136-13-8/BI OR 202485-06-1/BI OR 202485-07-2/BI OR 202999-28-8/BI OR 203211-17-0/BI OR 206140-31-0/BI OR 206140-36-5/BI OR 206140-37-6/BI OR 206140-38-7/BI OR 206140-39-8/BI OR 206140-40-1/BI OR 206140-41-2/BI OR 207623-64-1/BI OR 207807-24-7/BI OR 209965-34-4/BI OR 209965-35-5/BI OR 211321-08-3/BI OR 211321-09-4/BI OR 211370-91-1/BI OR 211370-92-2/BI OR 211370-93-3/BI OR 211370-94-4/BI OR 211433-19-1/BI OR 211433-20-4/BI OR 211433-21-5/BI OR 211433-22-6/BI OR 211433-23-7/BI OR 211433-24-8/BI OR 211433-25-9/BI OR 211433-26-0/BI OR 211433-27-1/BI OR 211433-28-2/BI OR 211433-29-3/BI OR

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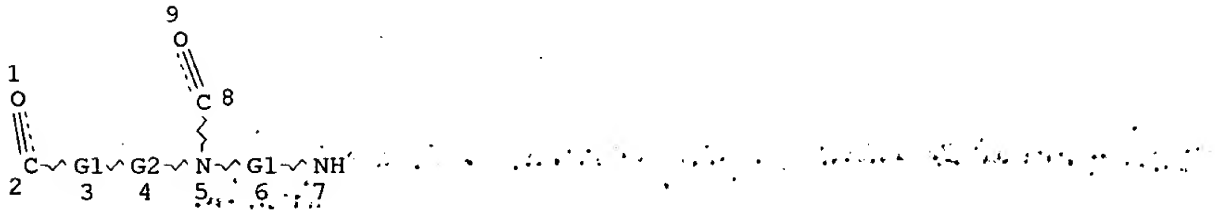
L7 475 SEA FILE=REGISTRY ABB=ON L5 OR L6

L8 STR



REP G1=(0-5) CH2
REP G2=(0-1) CH
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 9

STEREO ATTRIBUTES: NONE

L10 33 SEA FILE=REGISTRY SUB=L7 SSS FUL L8

100.0% PROCESSED 175 ITERATIONS 33 ANSWERS
SEARCH TIME: 00.00.02

=> fil capl; d his l11

FILE 'CAPLUS' ENTERED AT 11:16:28 ON 29 JUL 1999
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1967 - 29 Jul 1999 VOL 131 ISS 5
FILE LAST UPDATED: 29 Jul 1999 (19990729/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

(FILE 'REGISTRY' ENTERED AT 11:10:58 ON 29 JUL 1999)
SAVE TEMP L10 ENE822FULL/A

FILE 'CAPLUS' ENTERED AT 11:14:40 ON 29 JUL 1999
L11 56 S L10

FILE 'REGISTRY' ENTERED AT 11:15:11 ON 29 JUL 1999

FILE 'CAPLUS' ENTERED AT 11:15:51 ON 29 JUL 1999

FILE 'REGISTRY' ENTERED AT 11:16:15 ON 29 JUL 1999

FILE 'CAPLUS' ENTERED AT 11:16:28 ON 29 JUL 1999

=> d ibib abs hitstr l11 1-56; fil cao; s l10

Display format ibib prints Registry record(s) after matching citation

L11 ANSWER 1 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1999:215572 CAPLUS
DOCUMENT NUMBER: 130:262110
TITLE: Antibacterial peptide nucleic acids targeting rRNA or mRNA
INVENTOR(S): Nielsen, Peter E.; Good, Liam
PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9913893	A1	19990325	WO 98-US19199	19980916

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

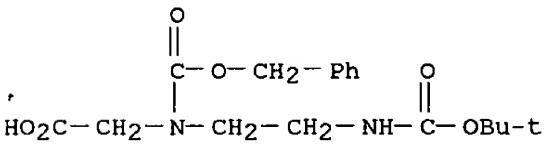
PRIORITY APPLN. INFO.: US 97-932140 19970916

Searched by Barb O'Bryen, STIC 308-4291

AB Methods of and compns. for killing or inhibiting the growth of a bacteria are disclosed. The methods comprise the use of peptide nucleic acids that are targeted to mRNA and/or rRNA. In certain embodiments, methods include the use of one or more sep. antibiotics. Thus, triplex-forming PNAs targeting rRNA inhibited Escherichia coli growth.

IT **34046-07-6P 221362-49-8P 221362-50-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(antibacterial peptide nucleic acids targeting rRNA or mRNA)

RN 34046-07-6 CAPLUS
CN Glycine, N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-N-[(phenylmethoxy)carbonyl]- (9CI) (CA INDEX NAME)



RN 221362-49-8 CAPLUS
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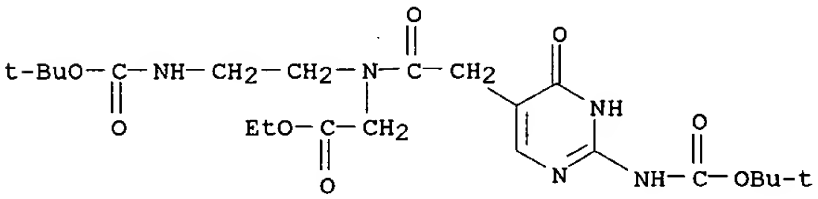

RN 221362-50-1 CAPLUS
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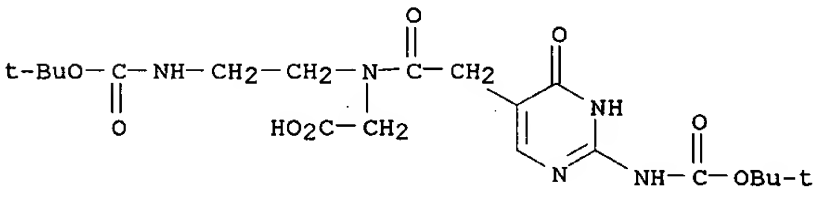


L11 ANSWER 2 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1998:793060 CAPLUS
DOCUMENT NUMBER: 130:57170
TITLE: Liposomal conjugated peptide nucleic acids having enhanced cellular uptake
INVENTOR(S): Nielsen, Peter E.; Knudsen, Helle
PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
Searched by Barb O'Bryen, STIC 308-4291

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9853801	A1	19981203	WO 98-US10804	19980528
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
AU 9876021	A1	19981230		

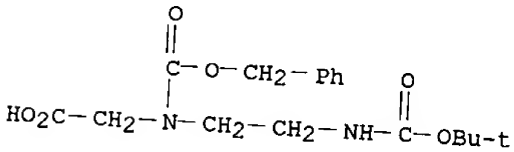
PRIORITY APPLN. INFO.:
AU 98-76021 19980528
US 97-864765 19970528
WO 98-US10804 19980528

OTHER SOURCE(S): MARPAT 130:57170

AB Peptide nucleic acids conjugated to lipophilic groups and incorporated into liposomes exhibit enhanced cellular uptake and distribution. Cellular uptake and distribution of peptide nucleic acids also increases with the introduction of an amino acid side chain into the backbone of peptide nucleic acids. Methods of modulating cellular uptake and methods for treating animals are provided. The peptide nucleic acids of the invention comprise naturally-occurring nucleobases and non-naturally-occurring nucleobases attached to a polyamide backbone.

IT **34046-07-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (liposomal conjugated peptide nucleic acids having enhanced cellular uptake)

RN 34046-07-6 CAPLUS
CN Glycine, N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-N-[(phenylmethoxy)carbonyl]- (9CI) (CA INDEX NAME)



L11 ANSWER 3 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1998:789038 CAPLUS
DOCUMENT NUMBER: 130:52731
TITLE: Preparation of novel peptide nucleic acid monomers and oligomers with increased thymidine specificity
INVENTOR(S): Nielsen, Peter E.; Haaima, Gerald; Eldrup, Anne B.
PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 120 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9852595	A1	19981126	WO 98-US10672	19980522
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG,			

KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9875981 A1 19981211 AU 98-75981 19980522
PRIORITY APPLN. INFO.: US 97-862629 19970523
WO 98-US10672 19980522

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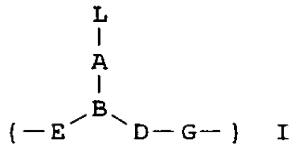
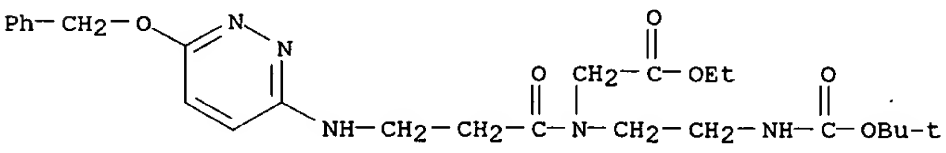


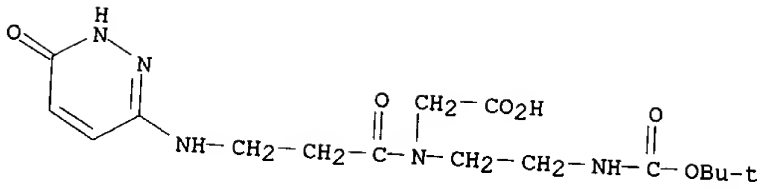
AB Novel peptide nucleic acid (PNA) oligomers and their constituent monomers I [L = adenosine-thymidine nucleobase pair recognition moiety; A = bond, CH2, (CR1R2)pY(CR1R2)q, (CR1R2)mY(CR1R2)nC(:X); B = N, N+R3; D = CR6R7, CH2CR6R7, CHR6R7; E = CR6R7, CHR6CHR7, CR6R7CH2; G = NR3CO, NR3CS, NR3SO, NR3SO2; X = O, S, Se, NR3, CH2, CMe2; Y = bond, O, S, NR4; each m, n, p, q = independently 0-5; each R1, R2 = independently H, OH, alkoxy, alkylthio, amino, halo, C1-4 alkyl optionally substituted with OH, alkoxy, or alkylthio; each R3, R4 = independently any group R1 except halo; R5 = H, C1-4 alkyl optionally substituted with OH, alkoxy, or alkylthio; R6 = H, R7 = naturally occurring amino acid side chain; R6, R7 = independently H, C2-7 alkyl, aryl, aralkyl, heteroaryl, OH, C1-6 alkoxy, C1-6 alkylthio, NR3R4, SR5; or R6R7 form alicyclic or heterocyclic ring system] are disclosed. The PNA oligomers and linked PNAs form triple stranded structures with nucleic acids that show an increased specificity for thymidine in nucleic acid targets relative to naturally occurring nucleobases. Thus, dimeric PNA H-TCTATCATTT-(egl)3-TTTXJTXTJT-OH (II; egl = 8-amino-3,6-dioxooctanoic acid linker; J = pseudoisocytosine; X = 3-oxo-2,3-dihydropyridazine) showed higher binding to oligonucleotide target sequence 5'-dCGCAGATAGTAAACGC-3' (Tm = 57.0.degree.) as compared to ref. sequence II [X = N-acetyl-N-(2-aminoethyl)glycine] (Tm = 47.5.degree.).

IT **200184-28-7P 200184-30-1P 216857-18-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of novel peptide nucleic acid monomers and oligomers with increased thymidine specificity)

RN 200184-28-7 CAPLUS
CN Glycine, N-[6-(phenylmethoxy)-3-pyridazinyl]-.beta.-alanyl-N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 200184-30-1 CAPLUS
CN Glycine, N-(1,6-dihydro-6-oxo-3-pyridazinyl)-.beta.-alanyl-N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]- (9CI) (CA INDEX NAME)



RN 216857-18-0 CAPLUS

L11 ANSWER 4 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1998:589540 CAPLUS
DOCUMENT NUMBER: 129:276358
TITLE: Preparation of nucleic acid-binding peptides using specific protection/deprotection strategy
INVENTOR(S): Baetz, Hans Georg; Hansen, Henrik Frydenlund; Oerum, Henrik; Koch, Troels; Kofeod, Thomas
PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H., Germany
SOURCE: Jpn. Kokai Tokkyo Koho, 25 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10231290	A2	19980902	JP 98-25937	19980206
CA 2228875	AA	19980808	CA 98-2228875	19980206
EP 863150	A1	19980909	EP 98-102059	19980206

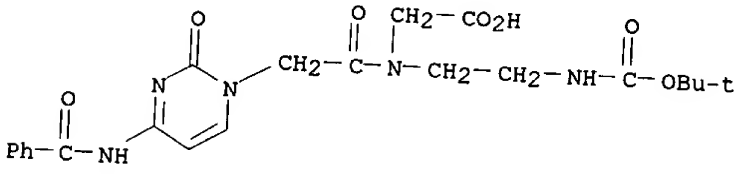
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

PRIORITY APPLN. INFO.: EP 97-102028 19970208
OTHER SOURCE(S): MARPAT 129:276358

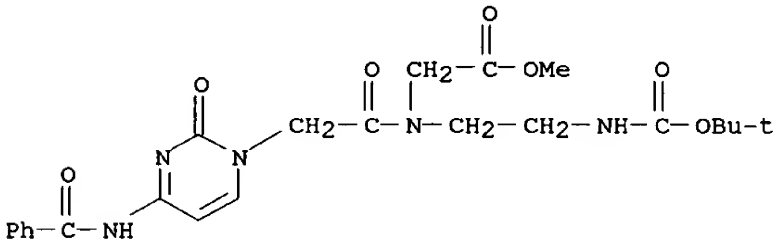
AB Title peptides are prepd. by (1) prepg. protected compds. having (a) plural ligands, which are bound to backbone, can be linked to bases of nucleic acids via H bond, and have primary or secondary amino group protected by strong-base-removable group and (b) backbones having NX1X2 (X1 = H, C1-3 alkyl, strong-acid-removable protecting group; X2 = strong-acid-removable protecting group), (2) removing the strong-acid-removable groups, and (3) removing the strong-base-removable groups. Two kinds of markers may be bound to the 2 kinds of deprotected amino groups. Monomers for the peptides are also claimed. The peptides are rapidly prepd. in high yield and large scale. The process is useful for prepn. of chimera compds. and S-S bond-contg. compds.

IT **196497-32-2P 211321-09-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of nucleic acid-binding peptides using specific protection/deprotection strategy)

RN 196497-32-2 CAPLUS
CN Glycine, N-[[4-(benzoylamino)-2-oxo-1(2H)-pyrimidinyl]acetyl]-N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]- (9CI) (CA INDEX NAME)



RN 211321-09-4 CAPLUS
CN Glycine, N-[[4-(benzoylamino)-2-oxo-1(2H)-pyrimidinyl]acetyl]-N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)

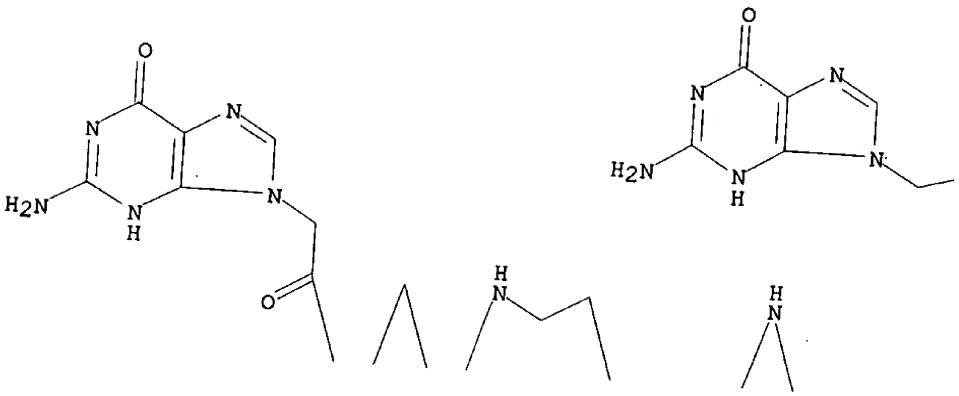


L11 ANSWER 5 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1998:509845 CAPLUS
DOCUMENT NUMBER: 129:256581
TITLE: Hybridization of peptide nucleic acid
AUTHOR(S): Ratilainen, Tommi; Holmen, Anders; Tuite, Eimer; Haaima, Gerald; Christensen, Leif; Nielsen, Peter E.; Norden, Bengt
CORPORATE SOURCE: Department of Physical Chemistry, Chalmers University of Technology, Goeteborg, S-412 96, Swed.
SOURCE: Biochemistry (1998), 37(35), 12331-12342
CODEN: BICHAW; ISSN: 0006-2960
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The thermodn. of hybridization and the conformations of decameric mixed purine-pyrimidine sequence PNA/PNA, PNA/DNA, and DNA/DNA duplexes have been studied using fluorescence energy transfer (FET), absorption hypochromicity (ABS), isothermal titrn. calorimetry (ITC), and CD techniques. The interchromophoric distances detd. in the FET expts. on fluorescein- and rhodamine-labeled duplexes indicate that the soln. structures of the duplexes are extended helixes in agreement with available NMR (PNA/DNA) and crystal X-ray data (PNA/PNA). The melting thermodn. of the duplexes was studied with both FET and ABS. The thermodn. parameters obtained with ABS are in good agreement with the parameters from calorimetric measurements while FET detection of duplex melting gives in most cases more favorable free energies of hybridization. This discrepancy between FET and ABS detection is ascribed to the conjugated dyes which affect the stability of the duplexes substantially. Esp., the dianionic fluorescein attached via a flexible linker either to PNA or to DNA seems to be involved in an attractive interaction with the opposite dicationic lysine when hybridized to a PNA strand. This interaction leads to an increased thermal stability as manifested as a 3-4 .degree.C increase of the melting temp. For the PNA/DNA duplex where fluorescein is attached to the PNA strand, a large destabilization (.DELTA.Tm = -12 .degree.C) occurs relative to the unlabeled duplex, probably originating from electrostatic repulsion between the fluorescein and the neg. charged DNA backbone. In the case of the PNA/PNA duplex, the sense of helicity of the duplex is reversed upon conjugation of fluorescein via a flexible linker arm, but not when the fluorescein is attached without a linker to the PNA.
IT **158097-23-5D**, Peptide nucleic acid, (H-G-T-A-G-A-T-C-A-C-T)-L-lys-NH2, fluorescein conjugate
RL: PEP (Physical, engineering or chemical process); PROC (Process)
(PNA1; hybridization of peptide nucleic acids and DNAs)

RN 158097-23-5 CAPLUS
CN Peptide nucleic acid, (H-G-T-A-G-A-T-C-A-C-T)-L-lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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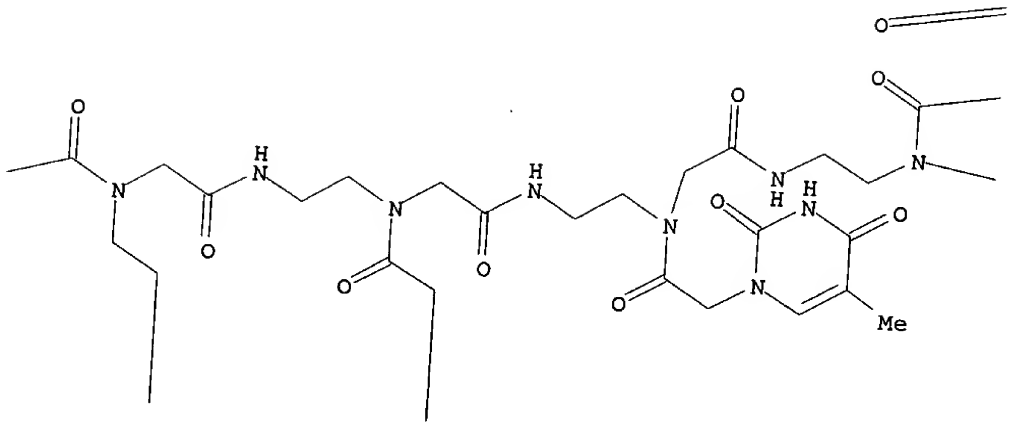

Searched by Barb O'Bryen, STIC 308-4291

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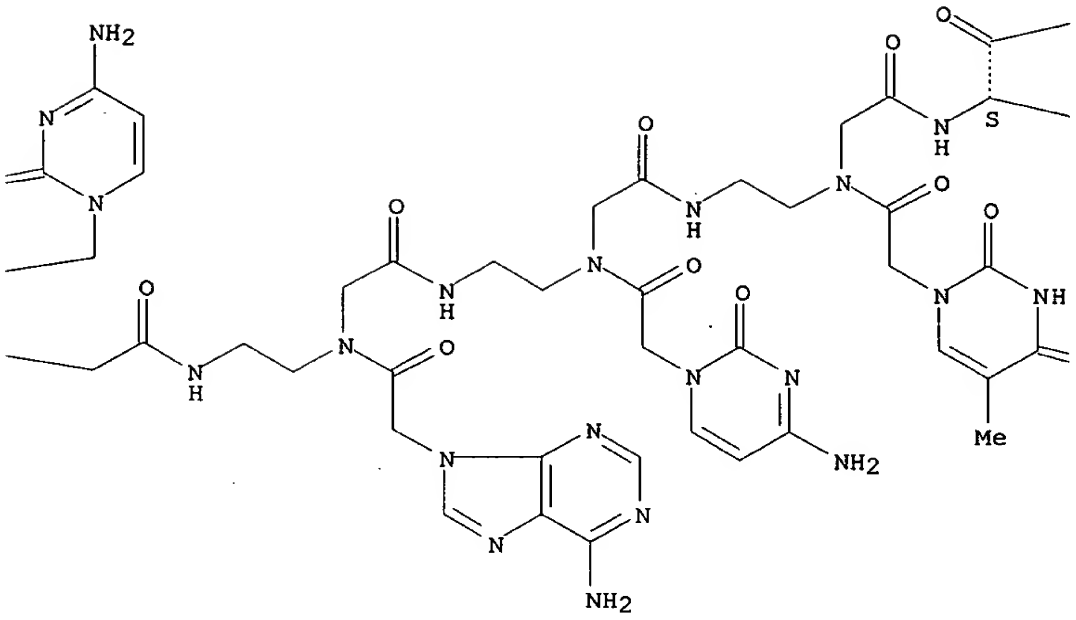

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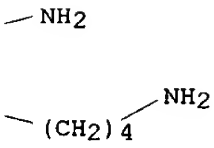

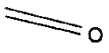

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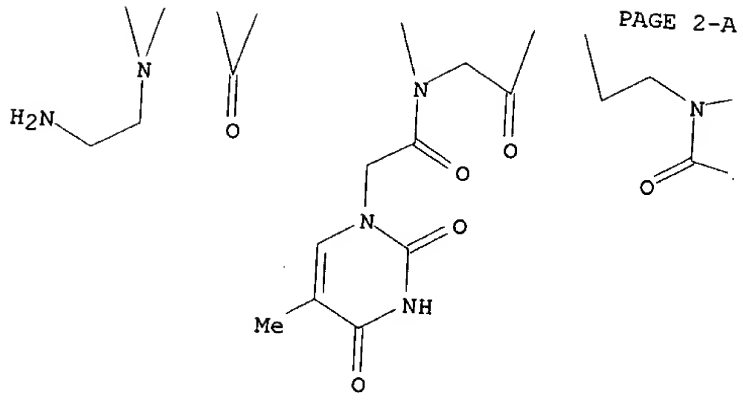

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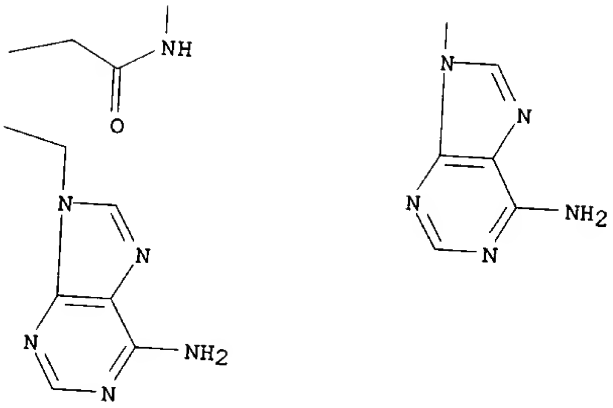

L11 ANSWER 6 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1998:441926 CAPLUS
DOCUMENT NUMBER: 129:122864
TITLE: Preparation of peptide nucleic acids having enhanced binding affinity and sequence specificity
INVENTOR(S): Burchardt, Ole; Egholm, Michael; Nielsen, Peter Eigil; Berg, Rolf Henrik; Burchardt, Dorte
PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., Den.
SOURCE: U.S., 72 pp. Cont.-in-part of U. S. Ser. No. 108,591.
DOCUMENT TYPE: CODEN: USXXAM
LANGUAGE: Patent
FAMILY ACC. NUM. COUNT: English
PATENT INFORMATION: 8

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5766855	A	19980616	US 96-686113	19960724
CA 2109320	AA	19921125	CA 92-2109320	19920522
AU 9218806	A1	19921230	AU 92-18806	19920522
AU 666480	B2	19960215		
JP 06509063	T2	19941013	JP 92-510139	19920522
EP 586618	B1	19970716	EP 92-923579	19920522

EP 586618 A1 19940316
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE
NO 9304235 A 19940120 NO 93-4235 19931123
US 5773571 A 19980630 US 96-595387 19960201
WO 9803542 A1 19980129 WO 97-US12811 19970724
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AN, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
AU 9738081 A1 19980210 AU 97-38081 19970724
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DK 92-510 19920415
US 93-108591 19931122
WO 92-EP1220 19920522
US 93-54363 19930426
US 96-685484 19960724
US 96-686113 19960724
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WO 97-US12811 19970724
OTHER SOURCE(S): MARPAT 129:122864
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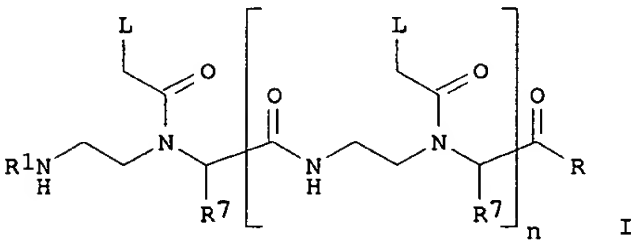


I

AB A novel peptide nucleic acids I [each L = naturally occurring and non-naturally occurring nucleobase, with the proviso that at least one L = 2,6-diaminopurine; each R7 = H, C1-8 alkylamine; R = OH, NH2, NH-Lys-NH2; R1 = H, Ac, Me3CO2C (Boc); n = 1-30] bind complementary DNA and RNA strands more strongly than a corresponding DNA strand, and exhibit increased sequence specificity and binding affinity. Methods of increasing binding affinity and sequence specificity of peptide nucleic acids are provided wherein some peptide nucleic acids comprise ligands selected from a group consisting of naturally-occurring nucleobases and non-naturally-occurring nucleobases attached to a polyamide backbone, while other peptide nucleic acids contain at least one 2,6-diaminopurine nucleobase and at least one C1 -C8 alkylamine side chain. A variety of peptide nucleic acid contg. 2,6-diaminopurine and alkylamine side chains were prepd. and exhibited enhanced sequence selectivity and binding affinities with complementary DNA and RNA strands.

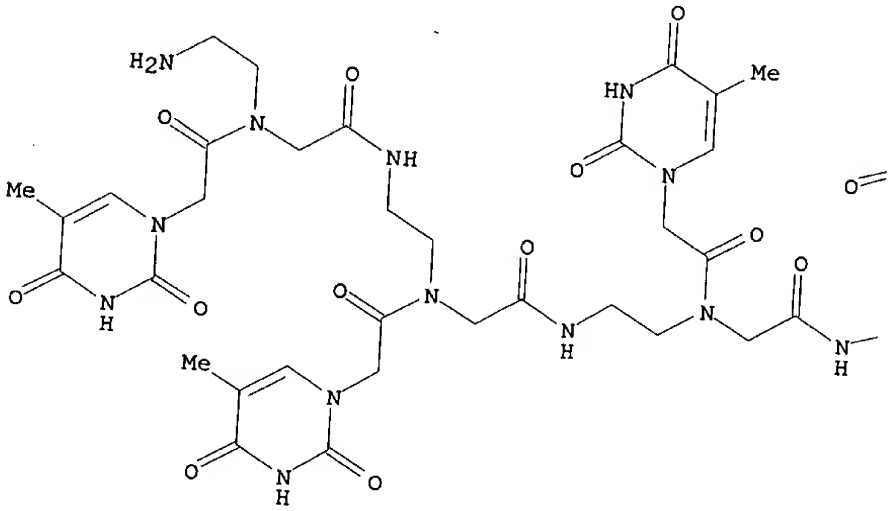
IT **149376-88-5P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of peptide nucleic acids having enhanced binding affinity and sequence specificity)

RN 149376-88-5 CAPLUS

CN Peptide nucleic acid, (H-T-T-T-T-C-C-T-C-T-C)-L-lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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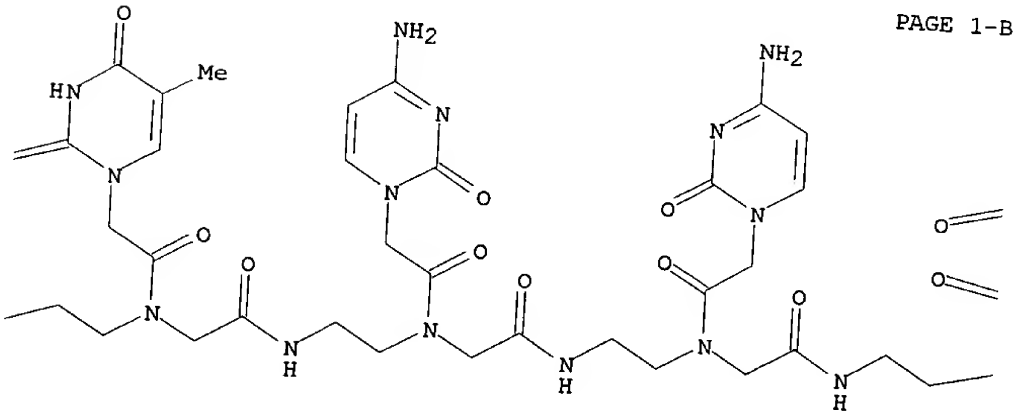

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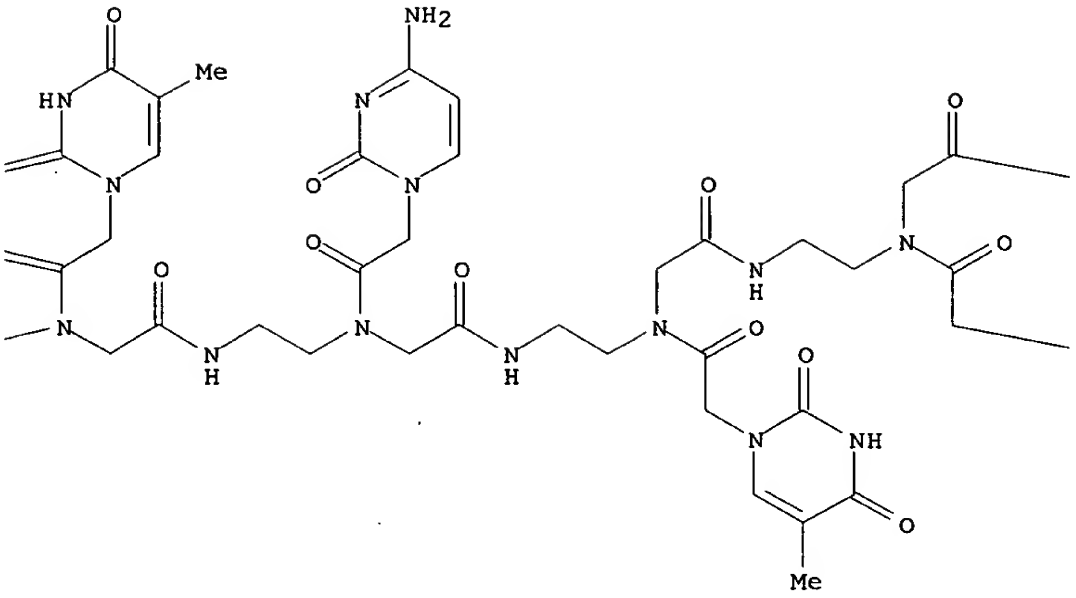

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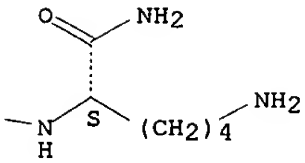
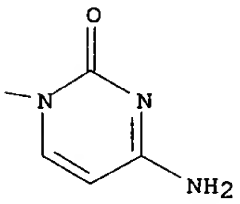
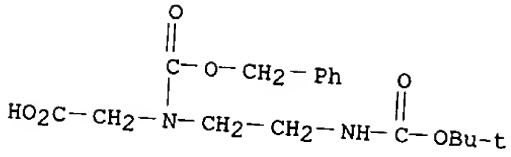
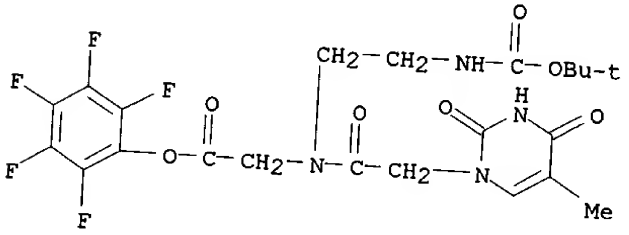




IT **34046-07-6P 139166-81-7P 149376-74-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of peptide nucleic acids having enhanced binding affinity and sequence specificity)
RN 34046-07-6 CAPLUS
CN Glycine, N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-N-[(phenylmethoxy)carbonyl]- (9CI) (CA INDEX NAME)

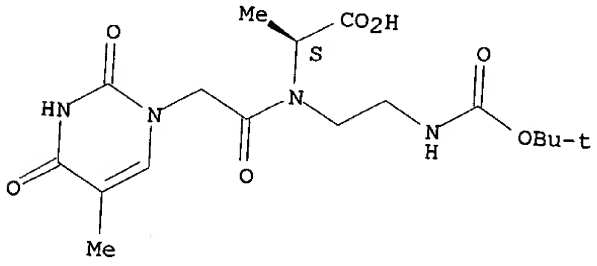


RN 139166-81-7 CAPLUS
CN Glycine, N-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-, pentafluorophenyl ester (9CI) (CA INDEX NAME)



RN 149376-74-9 CAPLUS
CN L-Alanine, N-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]- (9CI) (CA INDEX NAME)

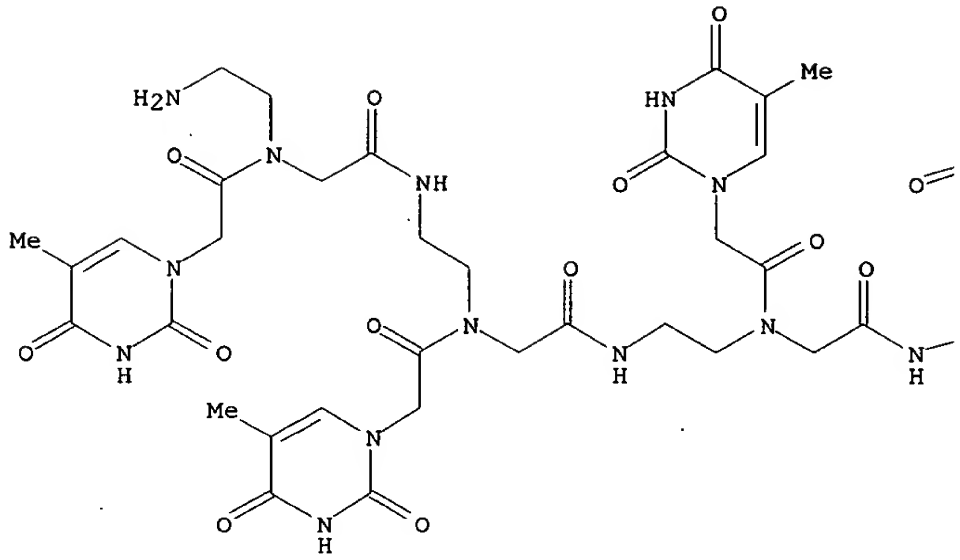
Absolute stereochemistry.



IT **139166-85-1P 142611-64-1P 148273-99-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of peptide nucleic acids having enhanced binding affinity and sequence specificity)
RN 139166-85-1 CAPLUS
CN Peptide nucleic acid, (H-T-T-T-T-T-T-T-T-T-T)-L-lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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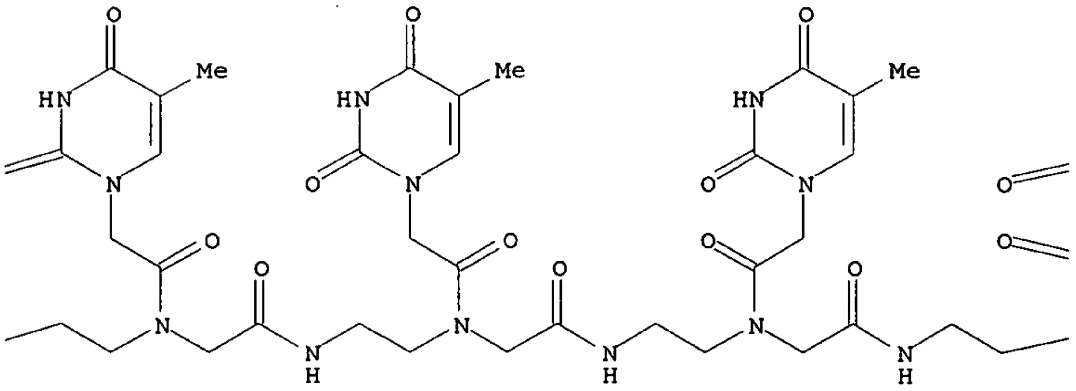

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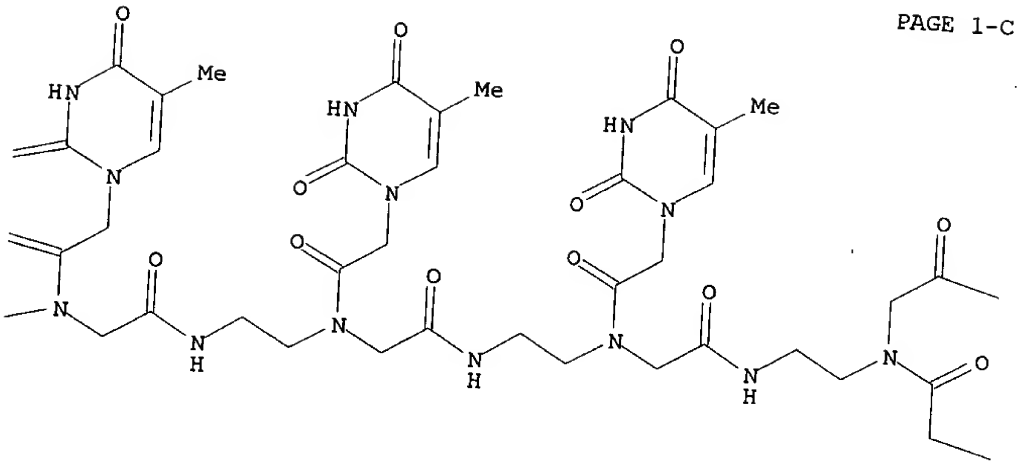

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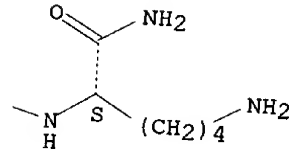
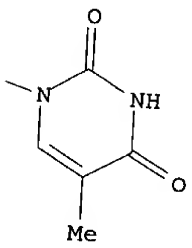
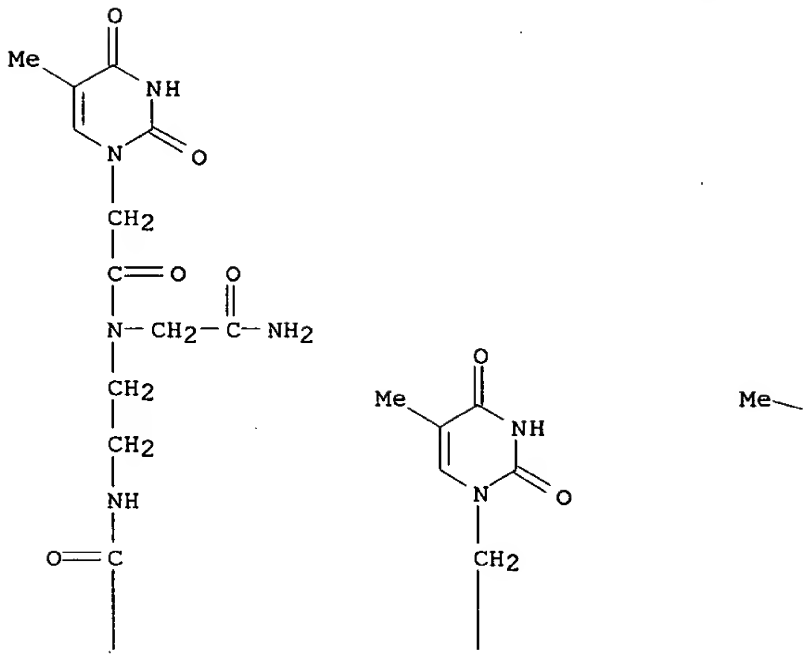




RN 142611-64-1 CAPLUS
CN Peptide nucleic acid, (H-T-T-T-T-T-T-T-T-T-T)-NH2 (9CI) (CA INDEX NAME)

PAGE 1-A



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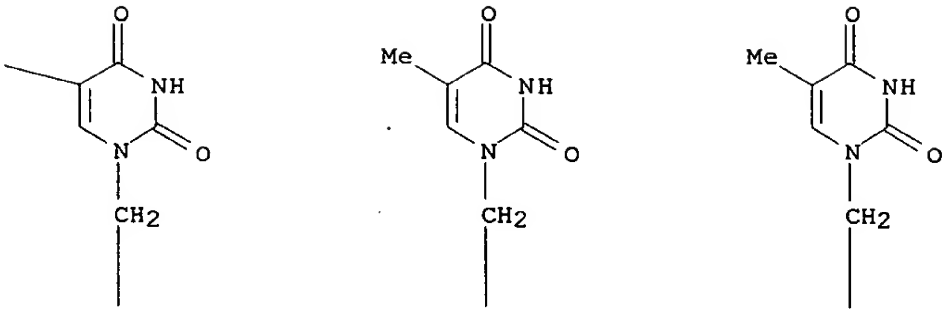

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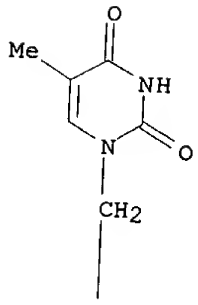

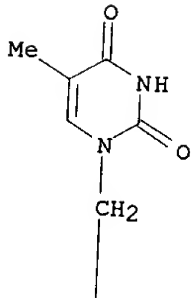

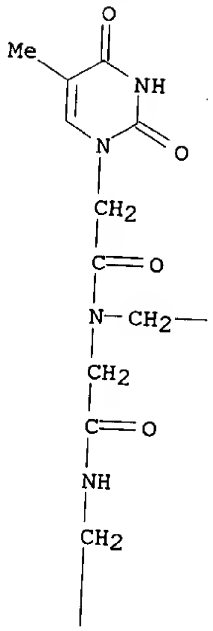

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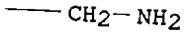

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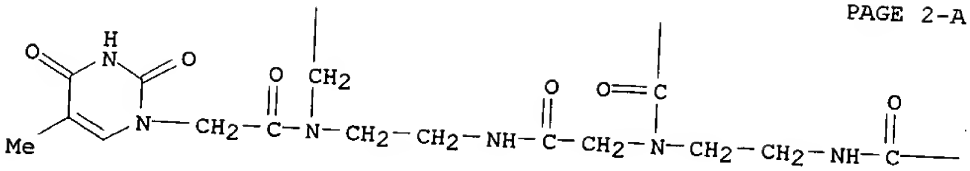

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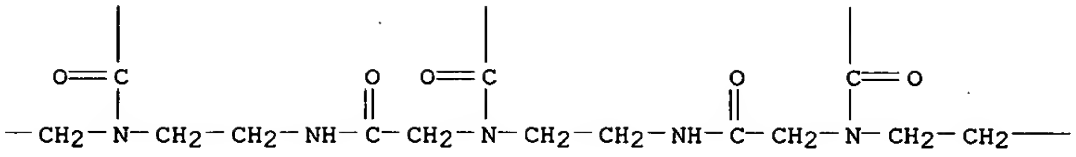

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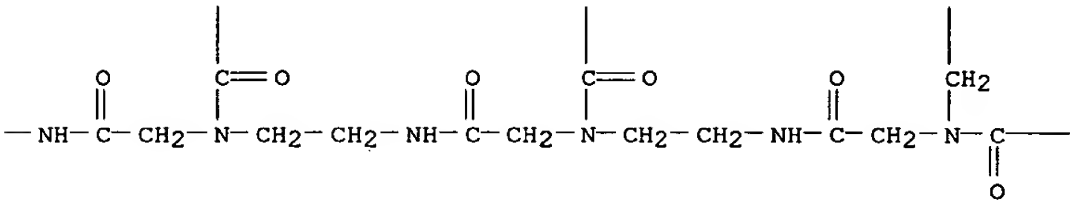

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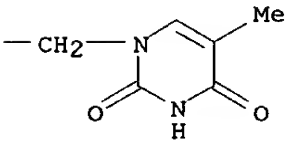
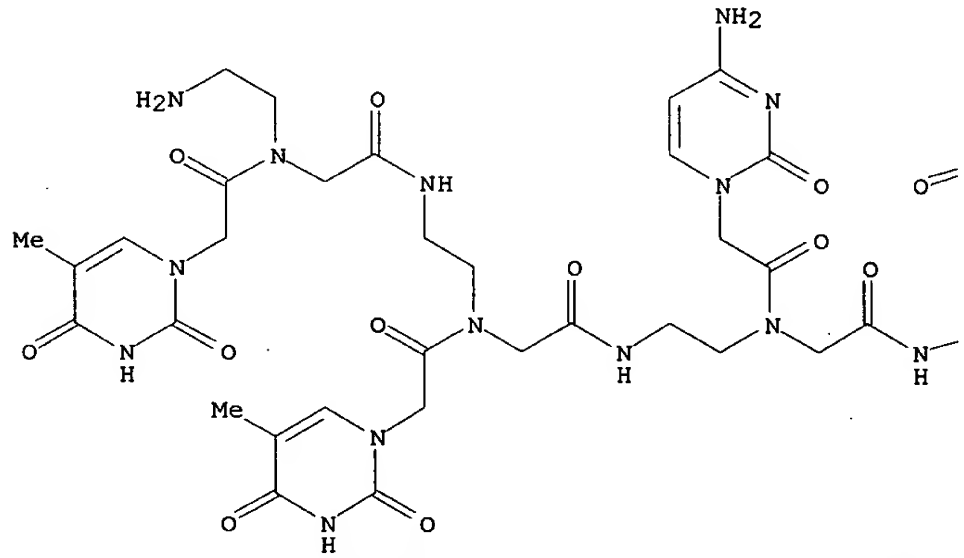


RN 148273-99-8 CAPLUS
CN Peptide nucleic acid, (H-T-T-C-T-T-C-T-T-T-T)-L-lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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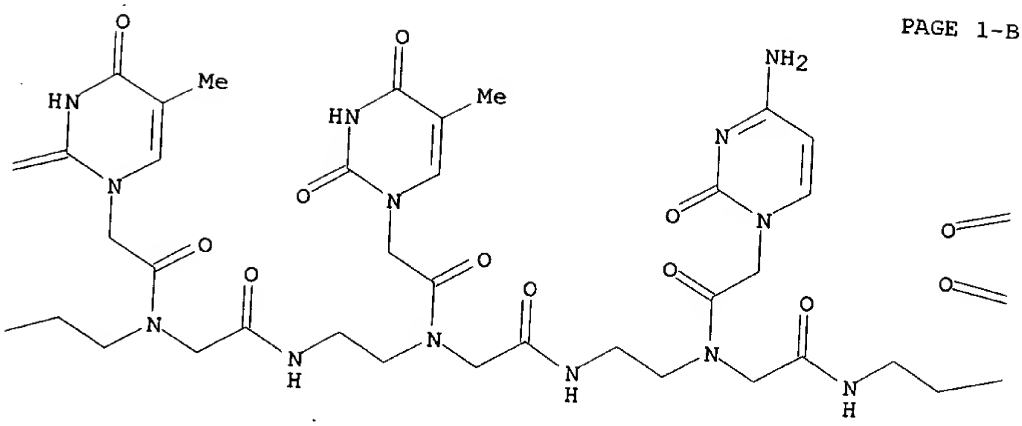
PAGE 1-B



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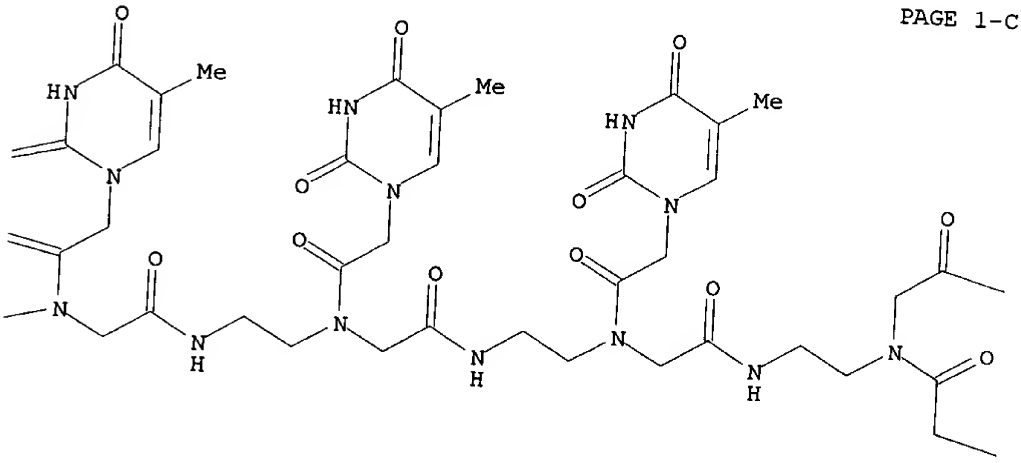

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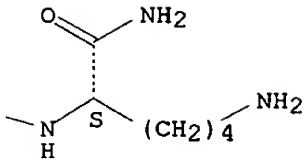
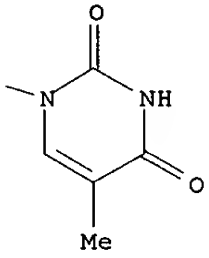




L11 ANSWER 7 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1998:412693 CAPLUS
DOCUMENT NUMBER: 129:185562
TITLE: Inhibition of PNA triplex formation by N4-benzoylated cytosine
AUTHOR(S): Christensen, Leif; Hansen, Henrik F.; Koch, Troels; Nielsen, Peter E.
CORPORATE SOURCE: Center for Biomolecular Recognition, Department of Biochemistry B, IMBG, The Panum Institute, Copenhagen N, 2200, Den.
SOURCE: Nucleic Acids Res. (1998), 26(11), 2735-2739
CODEN: NARHAD; ISSN: 0305-1048
PUBLISHER: Oxford University Press
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 129:185562

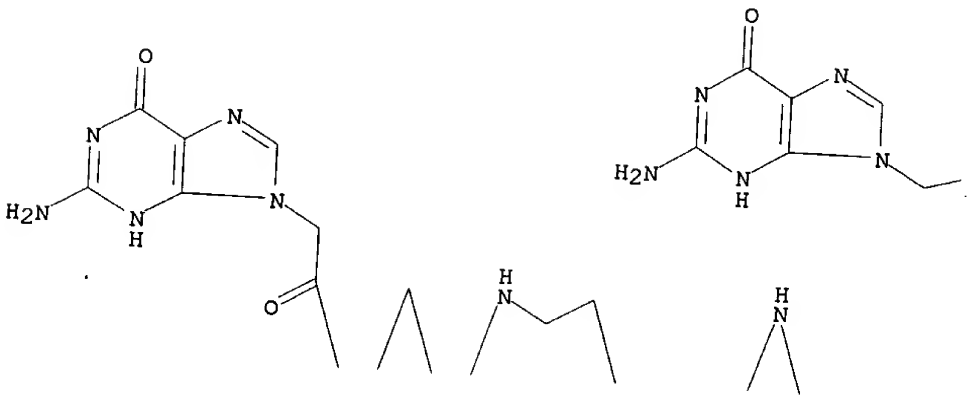
AB The synthesis of N-((N4-(benzoyl)cytosine-1-yl)acetyl)-N-(2-Boc-aminoethyl)glycine (CBz) and the incorporation of this monomer into PNA oligomers are described. A single CBz residue within a 10mer homopyrimidine PNA is capable of switching the preferred binding mode from a parallel to an antiparallel orientation when targeting a deoxyribonucleotide sequence at neutral pH. The resulting complex has a thermal stability equal to that of the corresponding PNA-DNA duplex, indicative of a strong destabilization of Hoogsteen strand PNA binding due to steric interference by the benzoyl moieties. Accordingly, incorporation of the CBz residue into linked PNAs (bis-PNAs) results in greatly reduced thermal stability of the formed PNA:DNA complexes. Thus, incorporation of the CBz monomer could eliminate the stability bias of triplex-forming sequences in PNA used in hybridization arrays and combinatorial library formats. Furthermore, it is shown that the benzoyl moiety does not severely interfere with Watson-Crick hydrogen bonding, thereby presenting an interesting route for novel cytosine modifications.

IT **158097-23-5**
RL: BPR (Biological process); BIOL (Biological study); PROC (Process)
(inhibition of PNA triplex formation by N4-benzoylated cytosine)
RN 158097-23-5 CAPLUS

CN Peptide nucleic acid, (H-G-T-A-G-A-T-C-A-C-T)-L-lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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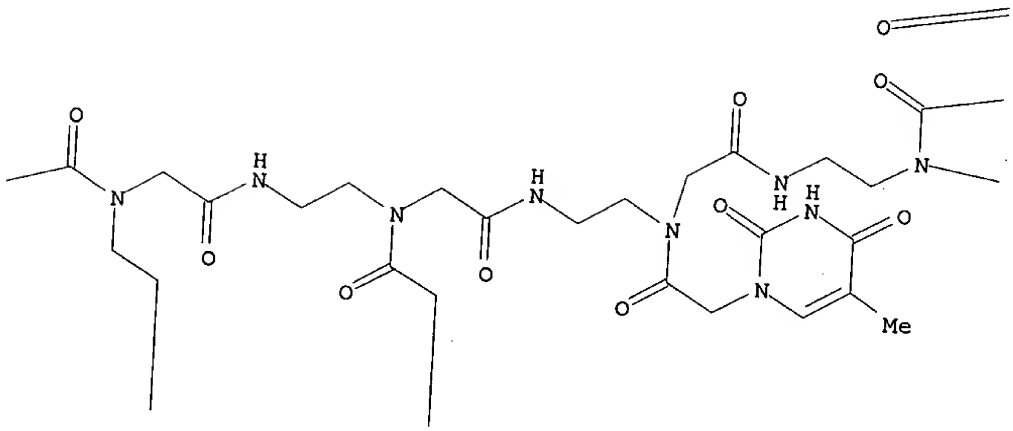

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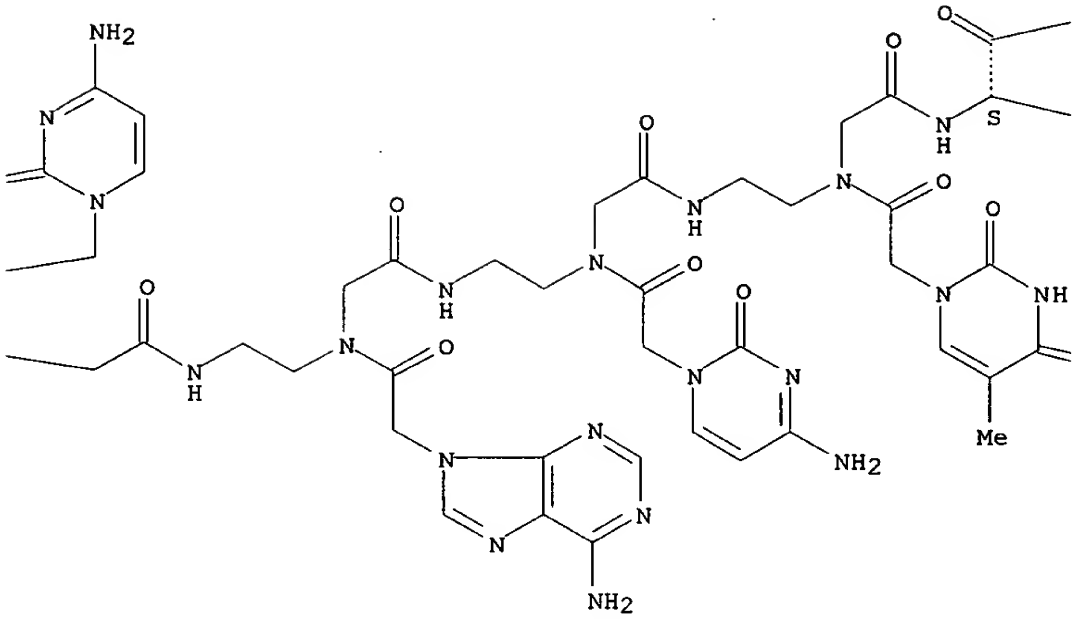

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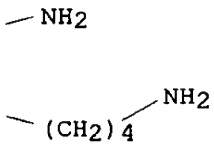

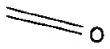

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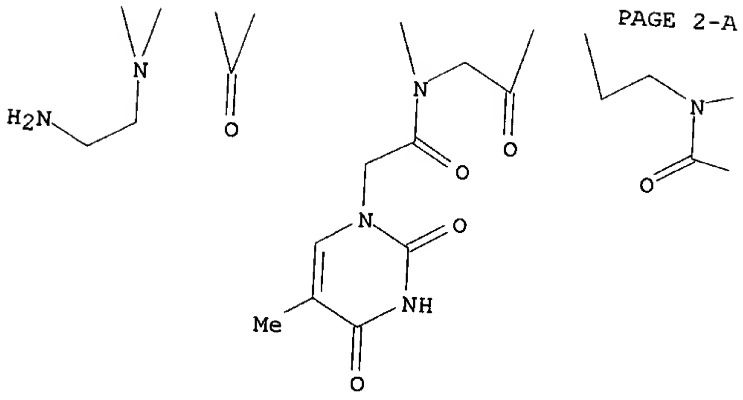

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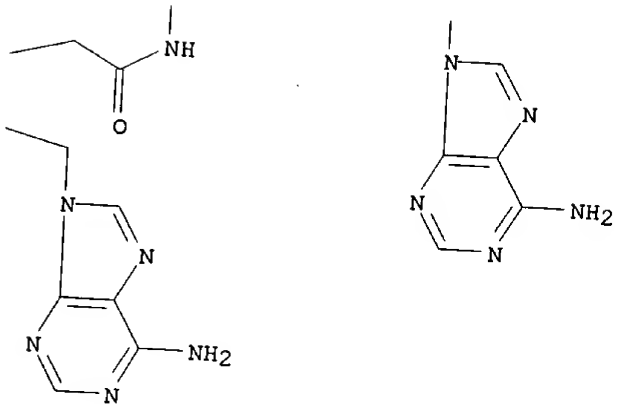
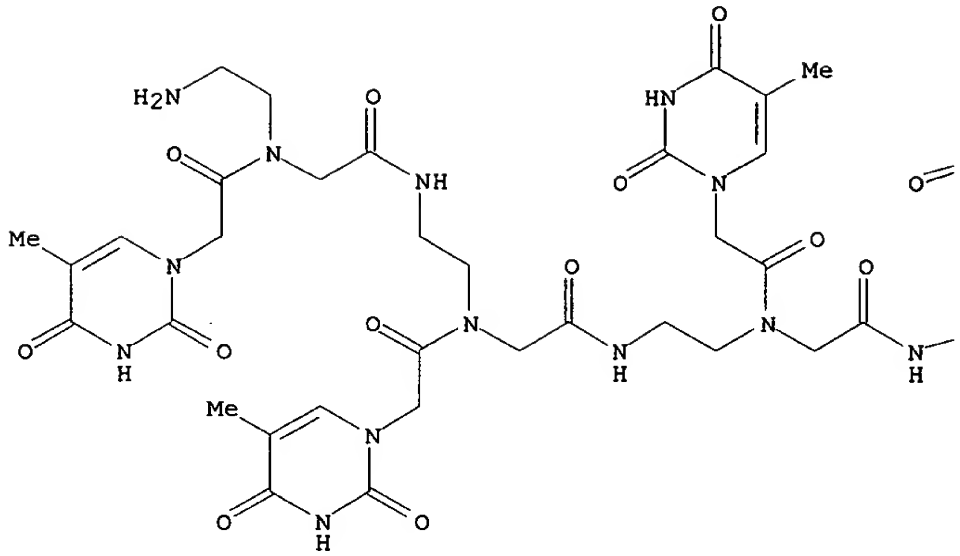


IT **149376-88-5P**
RL: BPR (Biological process); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(inhibition of PNA triplex formation by N4-benzoylated cytosine)
RN 149376-88-5 CAPLUS
CN Peptide nucleic acid, (H-T-T-T-T-C-C-T-C-T-C)-L-lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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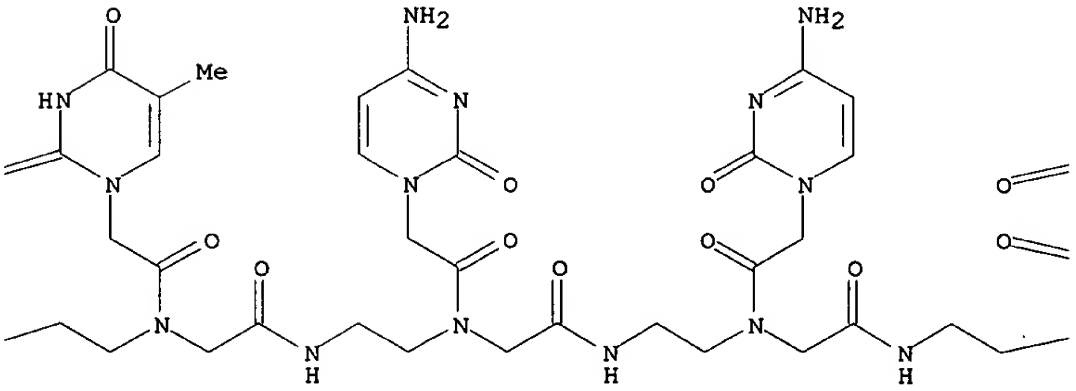

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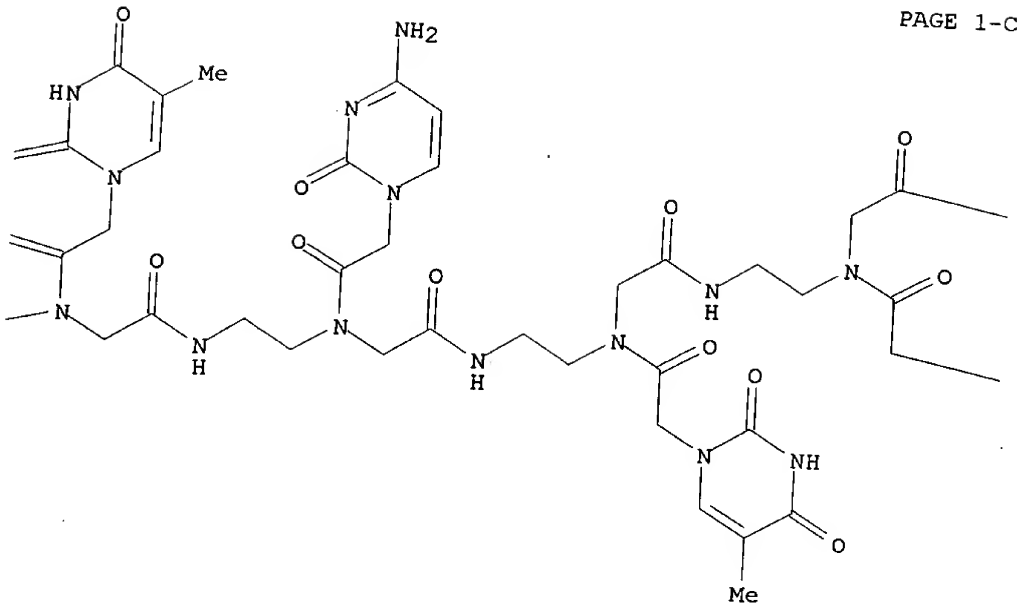

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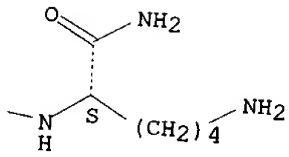
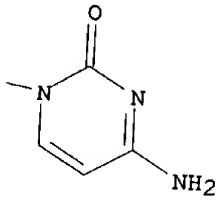
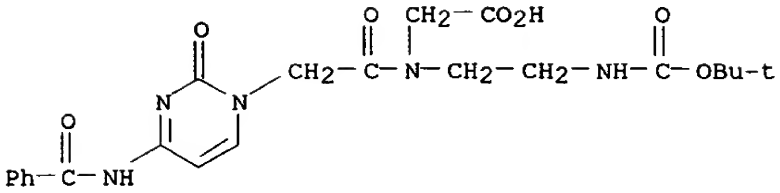




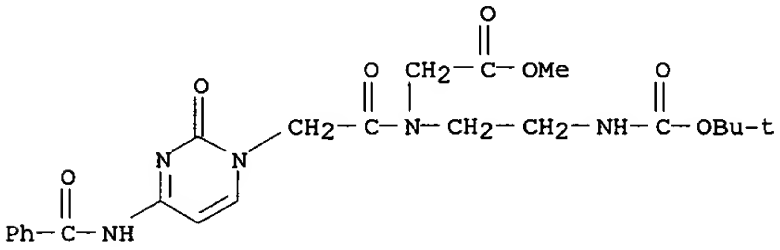
IT **196497-32-2P 211321-09-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of N4-benzoylated cytosine and its effect on PNA triplex formation)

RN 196497-32-2 CAPLUS

CN Glycine, N-[[4-(benzoylamino)-2-oxo-1(2H)-pyrimidinyl]acetyl]-N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]- (9CI) (CA INDEX NAME)



RN 211321-09-4 CAPLUS
CN Glycine, N-[[4-(benzoylamino)-2-oxo-1(2H)-pyrimidinyl]acetyl]-N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)



L11 ANSWER 8 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1998:241026 CAPLUS
Correction of: 1998:115390
DOCUMENT NUMBER: 128:244346
Correction of: 128:177410
TITLE: Preparation of peptide nucleic acids having enhanced binding affinity, sequence specificity and solubility
INVENTOR(S): Buchardt, Ole; Egholm, Michael; Nielsen, Peter Eigil; Berg, Rolf Henrik
PATENT ASSIGNEE(S): Den.
SOURCE: U.S., 68 pp. Cont.-in-part of U.S. Ser. No. 108,591.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5714331	A	19980203	US 96-686116	19960724
CA 2109320	AA	19921125	CA 92-2109320	19920522
AU 9218806	A1	19921230	AU 92-18806	19920522
AU 666480	B2	19960215		
JP 06509063	T2	19941013	JP 92-510139	19920522
EP 586618	B1	19970716	EP 92-923579	19920522
EP 586618	A1	19940316		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
NO 9304235	A	19940120	NO 93-4235	19931123
US 5773571	A	19980630	US 96-595387	19960201
US 5736336	A	19980407	US 97-847108	19970501
WO 9803542	A1	19980129	WO 97-US12811	19970724
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG. SI. SK. SL. TJ. TM. TR. TT. UA. UG. US, UZ, VN,				

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YU, ZW, AM, AN, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
AU 9738081 A1 19980210
PRIORITY APPLN. INFO.:

AU 97-38081	19970724
DK 91-986	19910524
DK 91-987	19910524
DK 92-510	19920415
US 93-108591	19931122
WO 92-EP1220	19920522
US 93-54363	19930426
US 96-685484	19960724
US 96-686113	19960724
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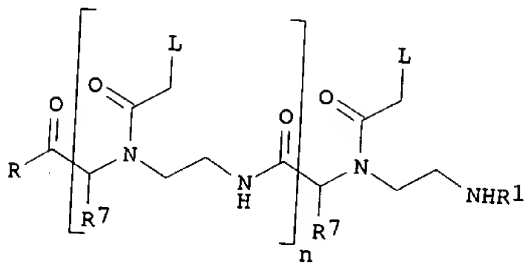
US 96-686116	19960724
US 97-510023	19970529
WO 97-US12811	19970724

OTHER SOURCE(S): MARPAT 128:244346
GI



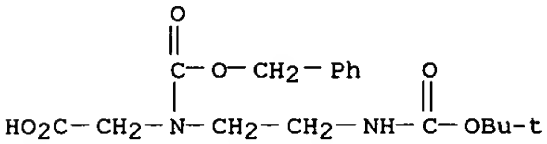
I

AB A novel class of compds., known as peptide nucleic acids (PNAs), e.g. I [each L = independently naturally occurring or non-naturally occurring nucleobase; each R7 = independently H, C1-7 alkylamine, with the proviso that at least one R7 = C1-7 alkylamine; R = OH, NH2, Lys-NH2; R1 = H, Ac, CO2CMe3 (Boc); n = 1-30], bind complementary DNA and RNA strands more strongly than a corresponding DNA strand, and exhibit increased sequence specificity and soly. The peptide nucleic acids comprise ligands selected from a group consisting of naturally-occurring nucleobases and non-naturally-occurring nucleobases attached to a polyamide backbone, and contain alkylamine side chains. Thus, the Tm for PNA H-GTkAGATkCACTk-NH2 (II; aminoethylglycine backbone except where k appears, which is aminoethyl-D-lysine) binding to antiparallel complementary DNA was 55.degree. while that for for PNA H-GTAGATCACT-NH2 (III; with aminoethylglycine backbone) was 52.degree.. The presence of the D-Lys also enhanced sequence specificity: in the presence of a single mismatch in the complementary DNA, the Tm's were 38.degree. and 42.degree. for II and III, resp. A 16-mer PNA contg. four lysine side chains was sol. in physiol. useful solns. while the PNA devoid of the lysine side chains was insol. A 12-mer PNA contg. two 2,6-diaminopurine nucleobases bearing Lys side chains, prepd. by solid-phase methods using N.alpha.-Boc and benzyl side chain protection, blocked in vitro translation of hepatitis C virus protein with EC50 = 29 nM.

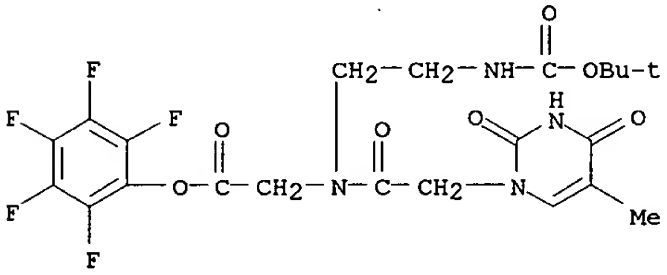
IT **34046-07-6P 139166-81-7P 149376-74-9P 149376-88-5P 203265-74-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of peptide nucleic acids having enhanced binding affinity, sequence specificity and soly.)

RN 34046-07-6 CAPLUS
CN Glycine, N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-N-

[(phenylmethoxy)carbonyl]- (9CI) (CA INDEX NAME)

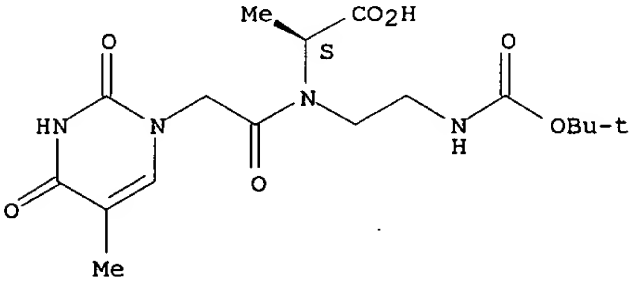


RN 139166-81-7 CAPLUS
CN Glycine, N-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-, pentafluorophenyl ester (9CI) (CA INDEX NAME)



RN 149376-74-9 CAPLUS
CN L-Alanine, N-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]- (9CI) (CA INDEX NAME)

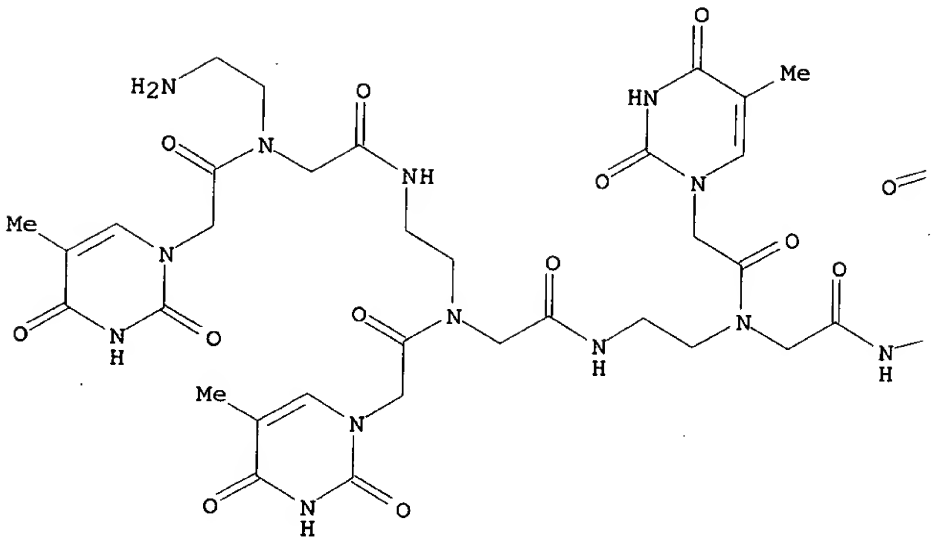
Absolute stereochemistry.



RN 149376-88-5 CAPLUS
CN Peptide nucleic acid, (H-T-T-T-T-C-C-T-C-T-C)-L-lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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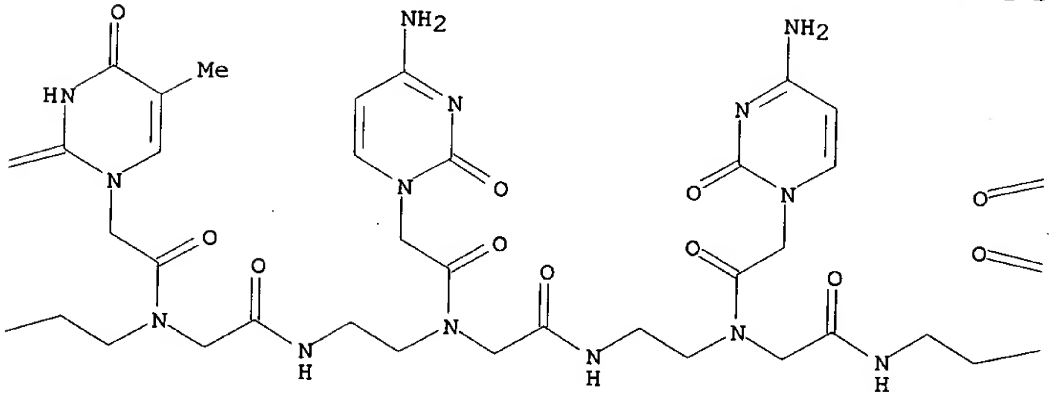

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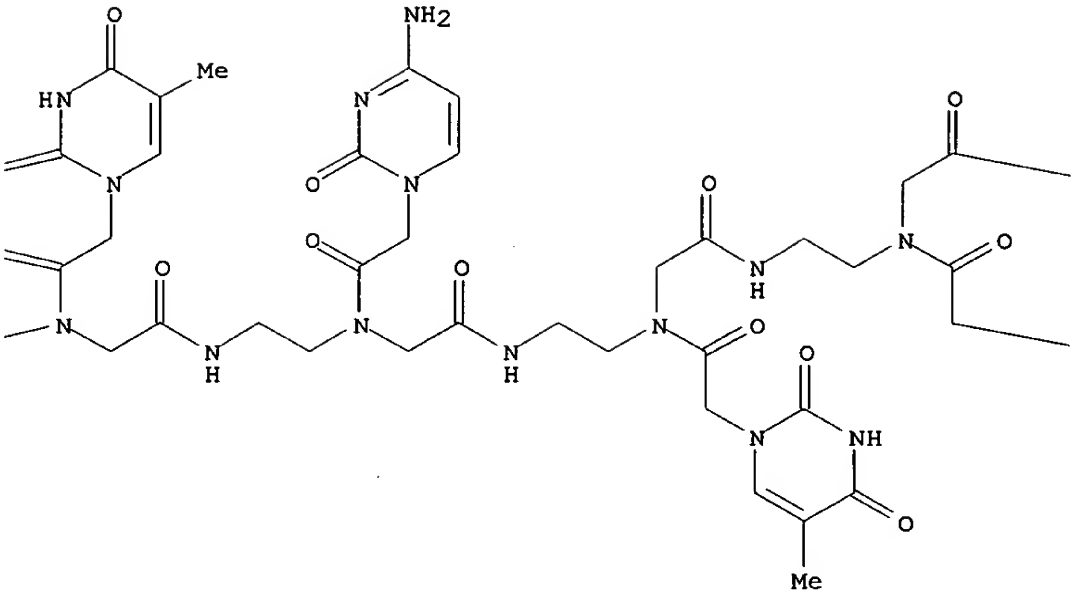

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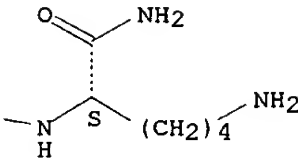
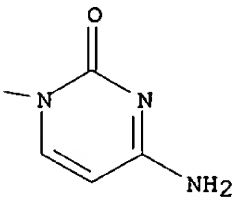
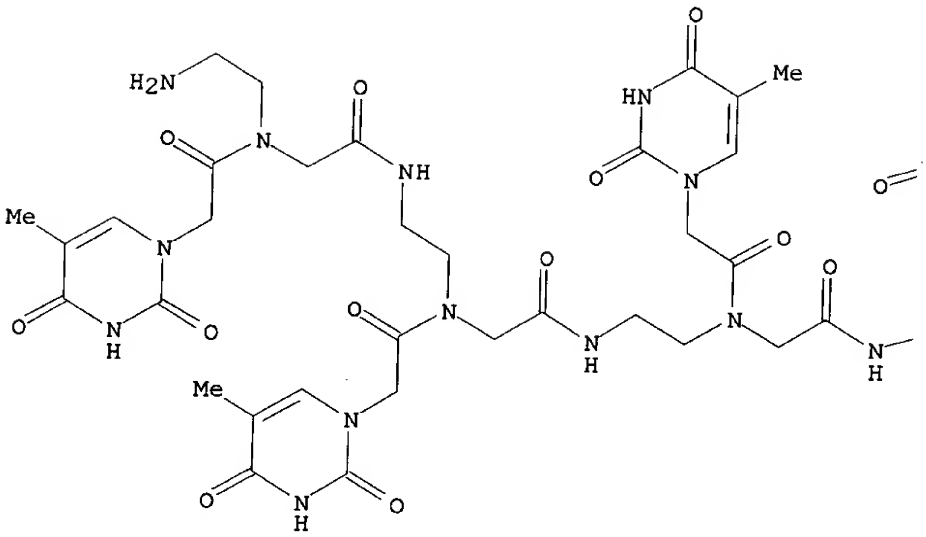




RN 203265-74-1 CAPLUS
IT **139166-85-1P 148273-99-8P 203134-19-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of peptide nucleic acids having enhanced binding affinity, sequence specificity and soly.)
RN 139166-85-1 CAPLUS
CN Peptide nucleic acid, (H-T-T-T-T-T-T-T-T-T-T)-L-lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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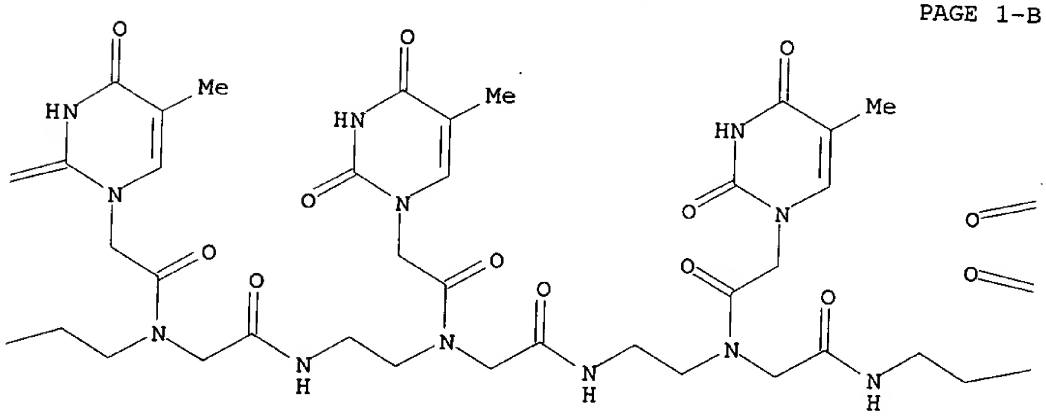

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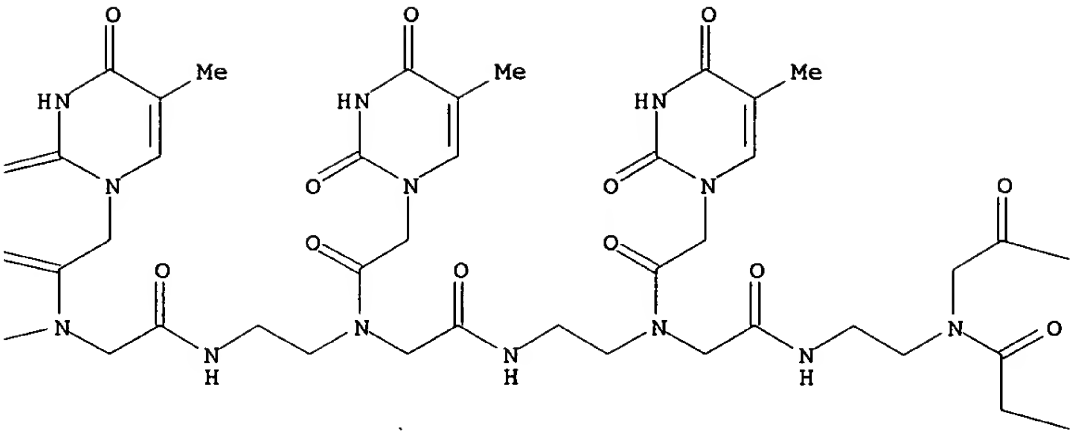

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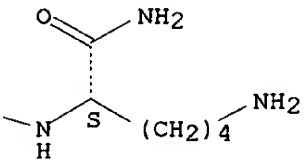
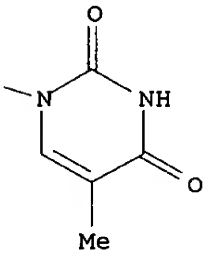
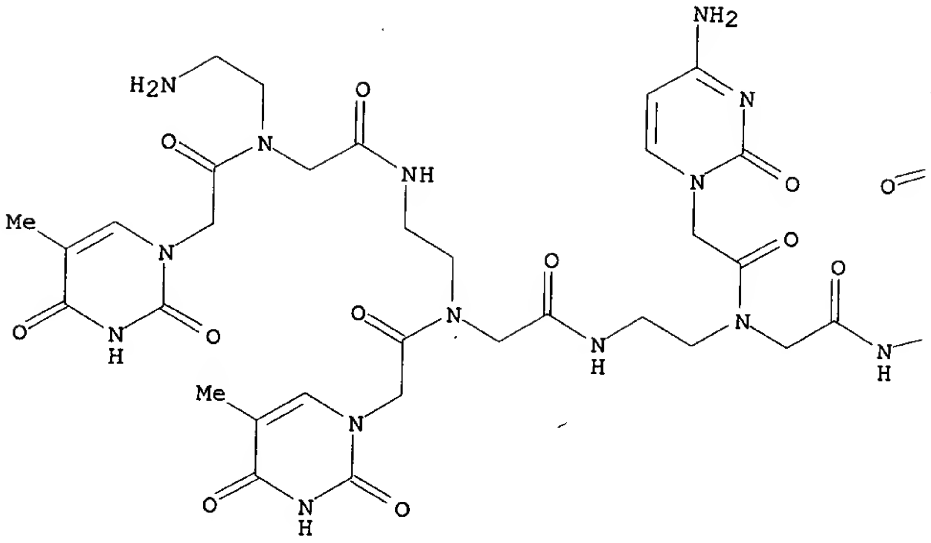




RN 148273-99-8 CAPLUS
CN Peptide nucleic acid, (H-T-T-C-T-T-C-T-T-T-T)-L-lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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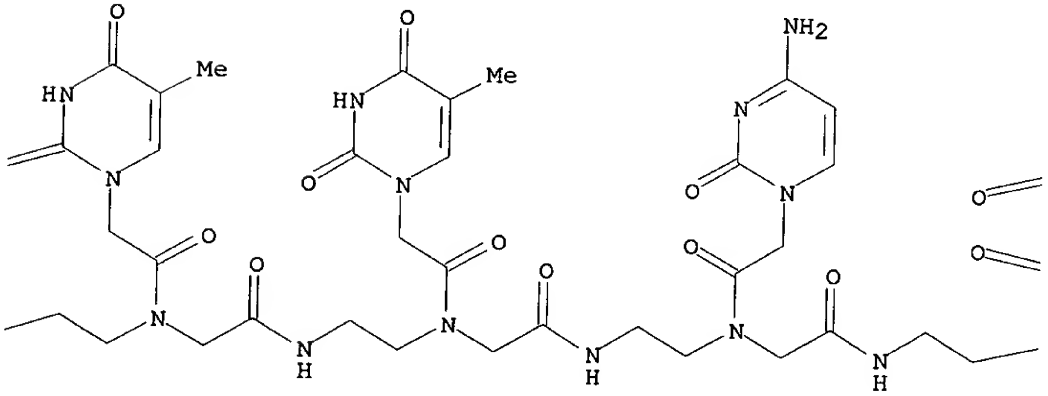

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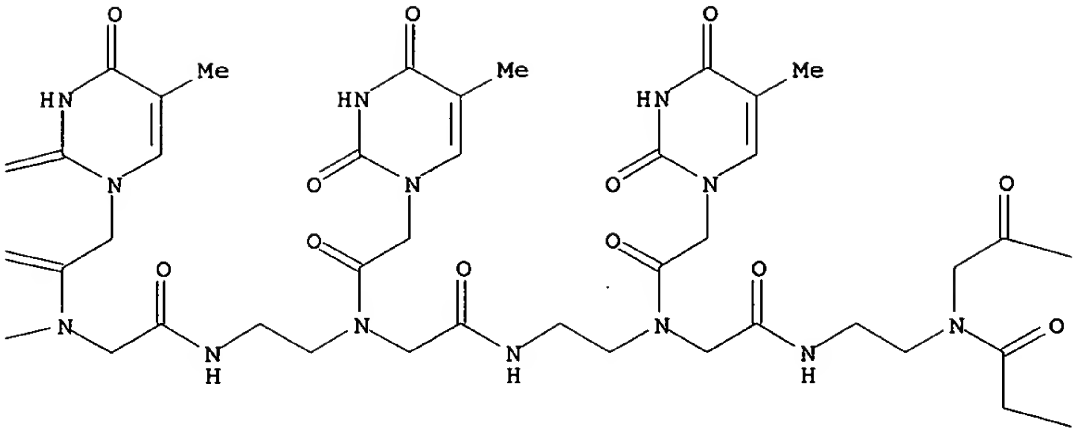

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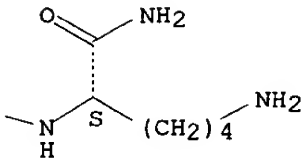

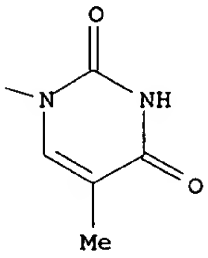

RN 203134-19-4 CAPLUS

L11 ANSWER 9 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1998:146586 CAPLUS
DOCUMENT NUMBER: 128:192941
TITLE: Preparation of peptide nucleic acids having enhanced binding affinity, sequence specificity and solubility
INVENTOR(S): Buchardt, Ole; Egholm, Michael; Nielsen, Peter Eigil; Berg, Rolf Henrik
PATENT ASSIGNEE(S): Den.
SOURCE: U.S., 70 pp. Cont.-in-part of U.S. Ser. No. 108,591. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
Searched by Barb O'Bryen, STIC 308-4291

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5719262	A	19980217	US 96-685484	19960724
US 5773571	A	19980630	US 96-595387	19960201
US 5786461	A	19980728	US 97-847095	19970501
WO 9803542	A1	19980129	WO 97-US12811	19970724

W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AN, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9738081	A1	19980210	AU 97-38081	19970724

PRIORITY APPLN. INFO.:

US 93-108591	19931122
DK 91-986	19910524
DK 91-987	19910524
DK 92-510	19920415
US 93-54363	19930426
US 96-685484	19960724
US 96-686113	19960724
US 96-686114	19960724
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WO 97-US12811	19970724

OTHER SOURCE(S): MARPAT 128:192941

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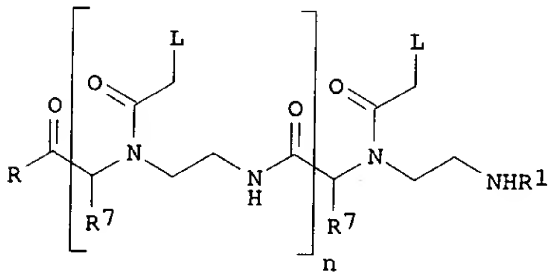


I

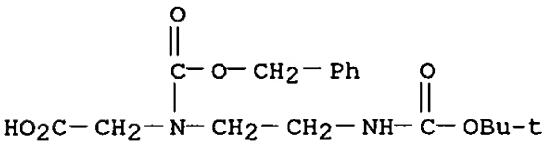
AB A novel class of compds., known as peptide nucleic acids (PNAs), e.g. I [each L = independently naturally occurring or non-naturally occurring nucleobase; each R7 = independently H, C1-7 alkylamine, with the proviso that at least one R7 = C1-7 alkylamine; R = OH, NH2, Lys-NH2; R1 = H, Ac, CO2CMe3 (Boc); n = 1-30], bind complementary DNA and RNA strands more strongly than a corresponding DNA strand, and exhibit increased sequence specificity and soly. The peptide nucleic acids comprise ligands selected from a group consisting of naturally-occurring nucleobases and non-naturally-occurring nucleobases attached to a polyamide backbone, and contain alkylamine side chains. Thus, a 12-mer PNA contg. two 2,6-diaminopurine nucleobases bearing Lys sidechains, prepd. by solid-phase methods using N.alpha.-Boc and benzyl side chain protection, blocked in vitro translation of hepatitis C virus protein with EC50 = 29 nM.

IT **34046-07-6P 139166-81-7P 149376-74-9P 149376-88-5P 203265-74-1P**

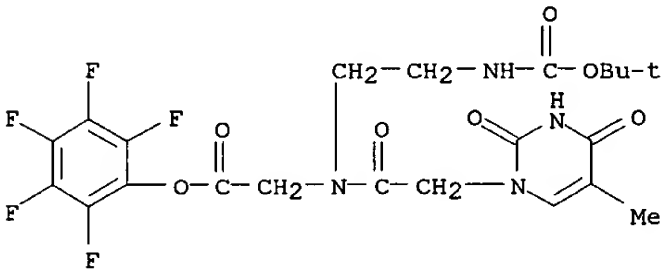
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

(prepn. of peptide nucleic acids having enhanced binding affinity, sequence specificity and soly.)

RN 34046-07-6 CAPLUS
CN Glycine, N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-N-[(phenylmethoxy)carbonyl]- (9CI) (CA INDEX NAME)

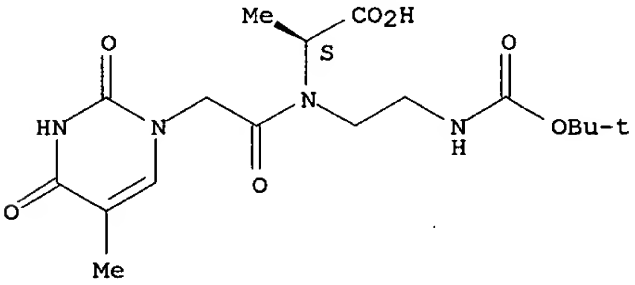


RN 139166-81-7 CAPLUS
CN Glycine, N-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-, pentafluorophenyl ester (9CI) (CA INDEX NAME)



RN 149376-74-9 CAPLUS
CN L-Alanine, N-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]- (9CI) (CA INDEX NAME)

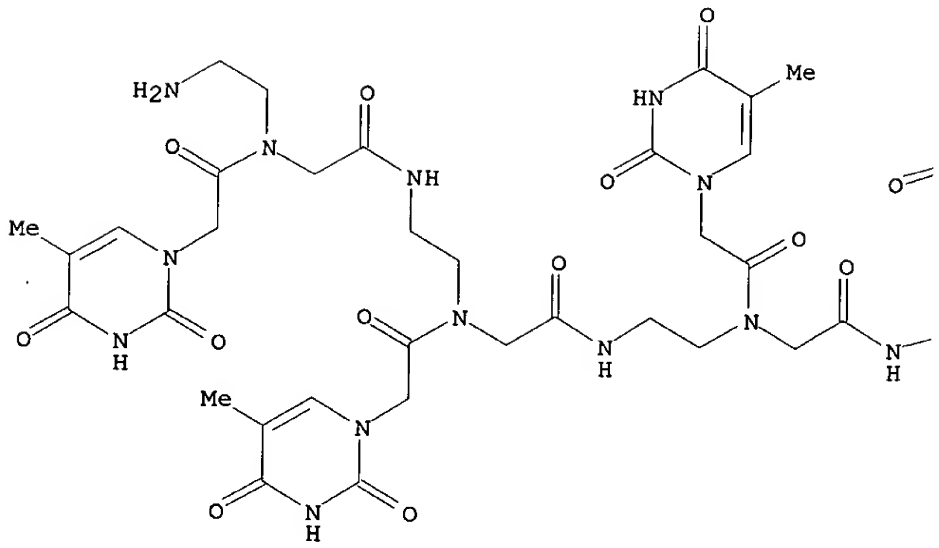
Absolute stereochemistry.



RN 149376-88-5 CAPLUS
CN Peptide nucleic acid, (H-T-T-T-T-C-C-T-C-T-C)-L-lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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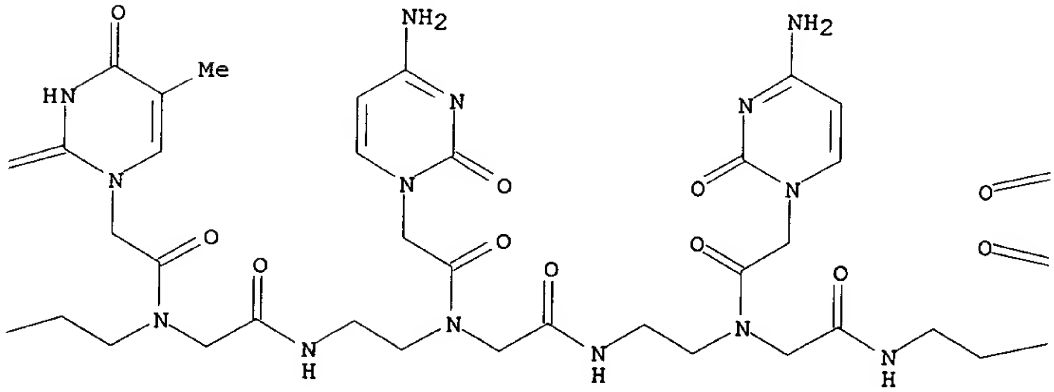

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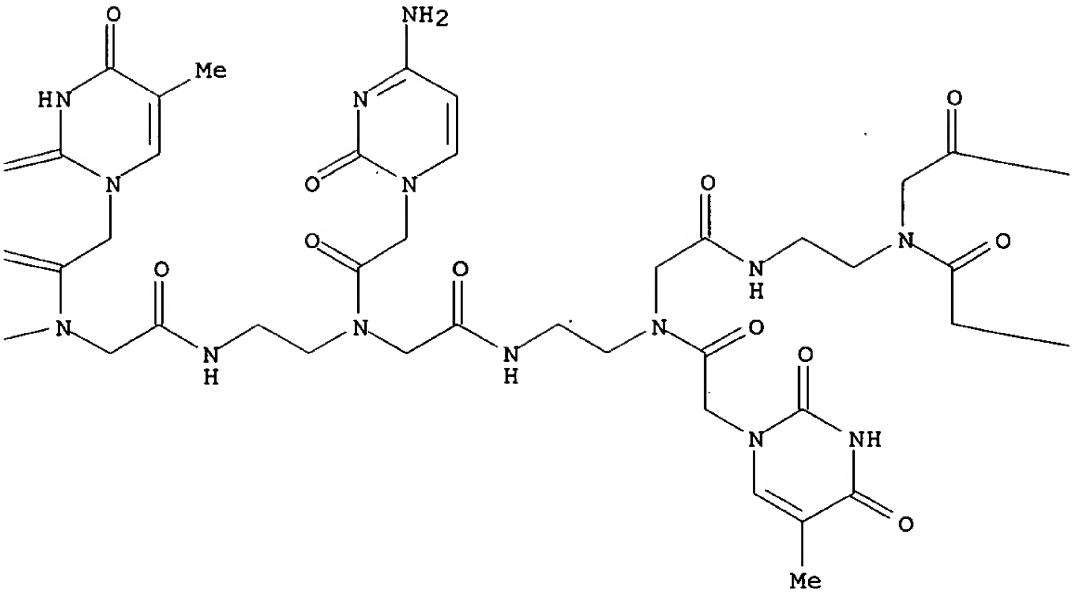

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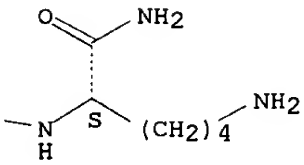
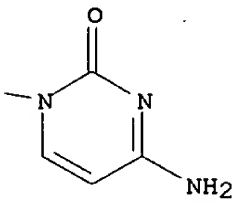
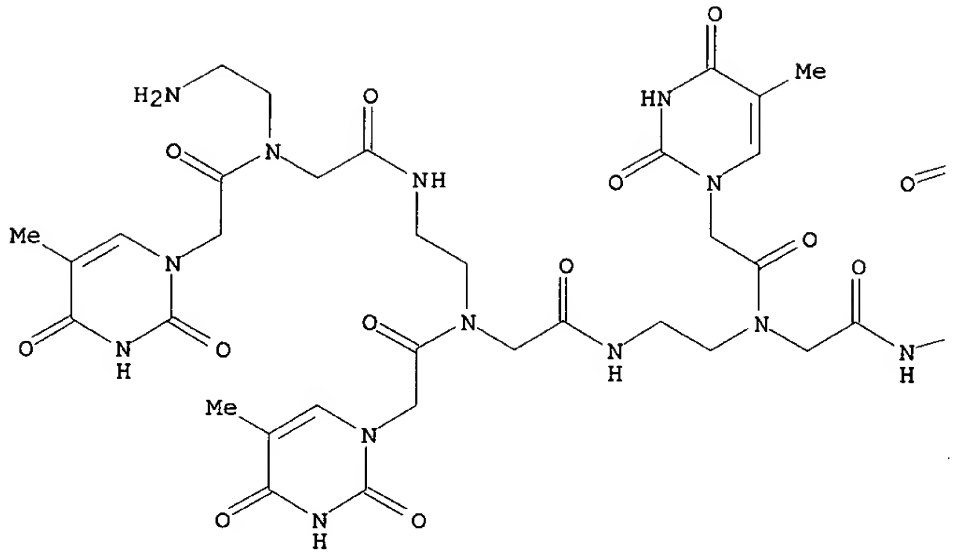




RN 203265-74-1 CAPLUS
IT **139166-85-1P 148273-99-8P 203134-19-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of peptide nucleic acids having enhanced binding affinity, sequence specificity and soly.)
RN 139166-85-1 CAPLUS
CN Peptide nucleic acid, (H-T-T-T-T-T-T-T-T-T-T)-L-lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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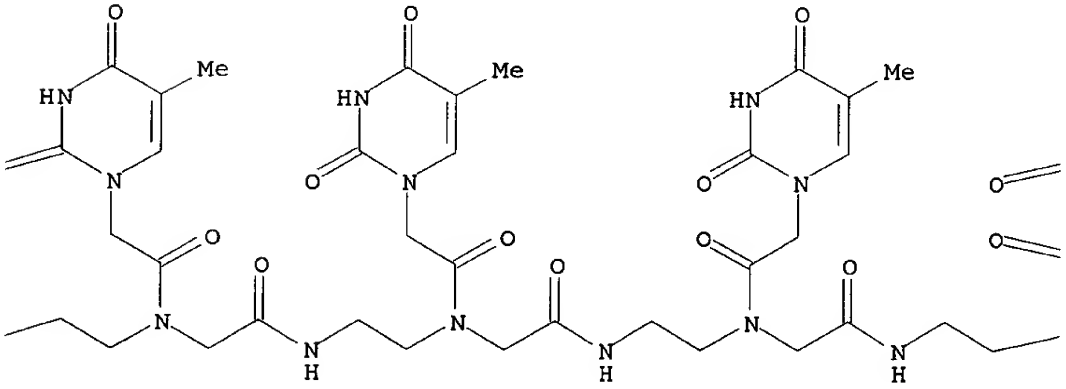

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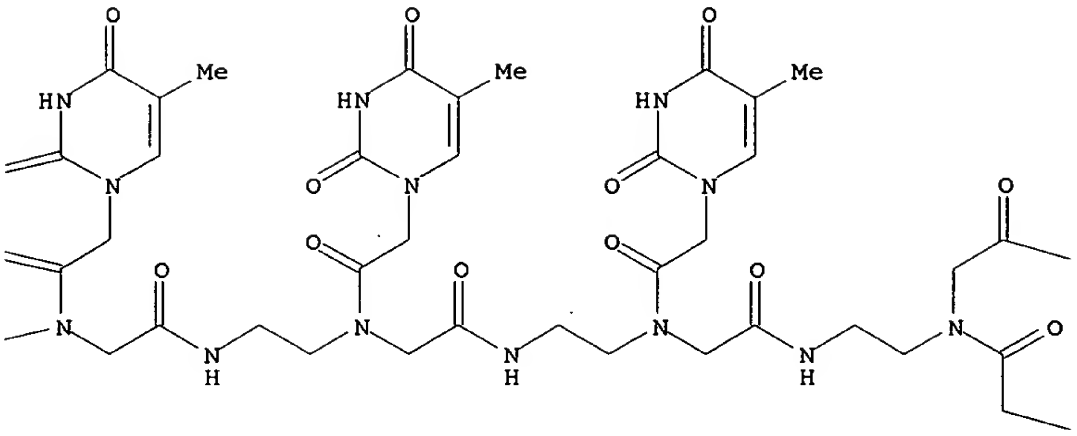

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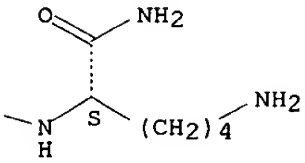
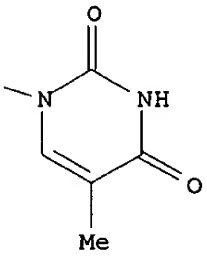
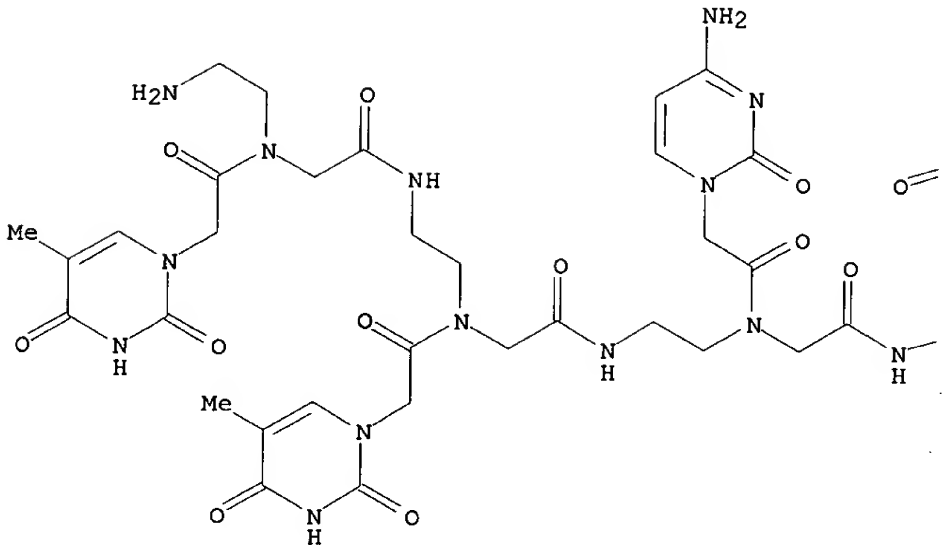




RN 148273-99-8 CAPLUS
CN Peptide nucleic acid, (H-T-T-C-T-T-C-T-T-T-T)-L-lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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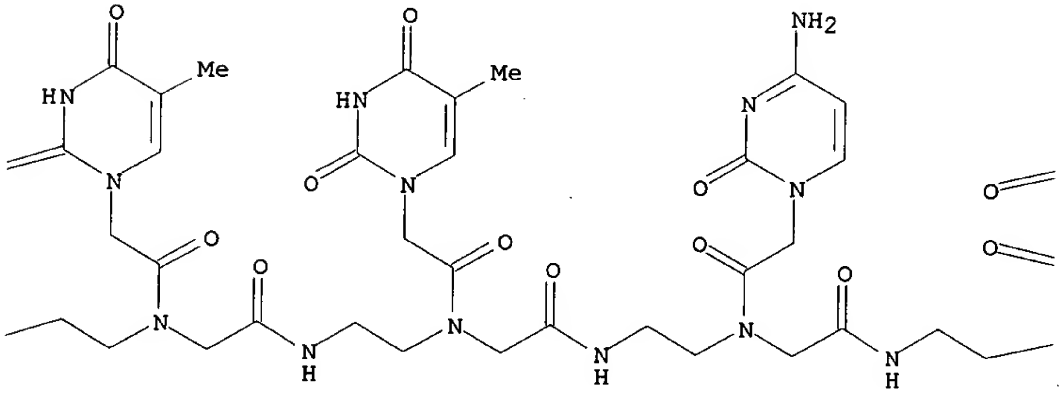

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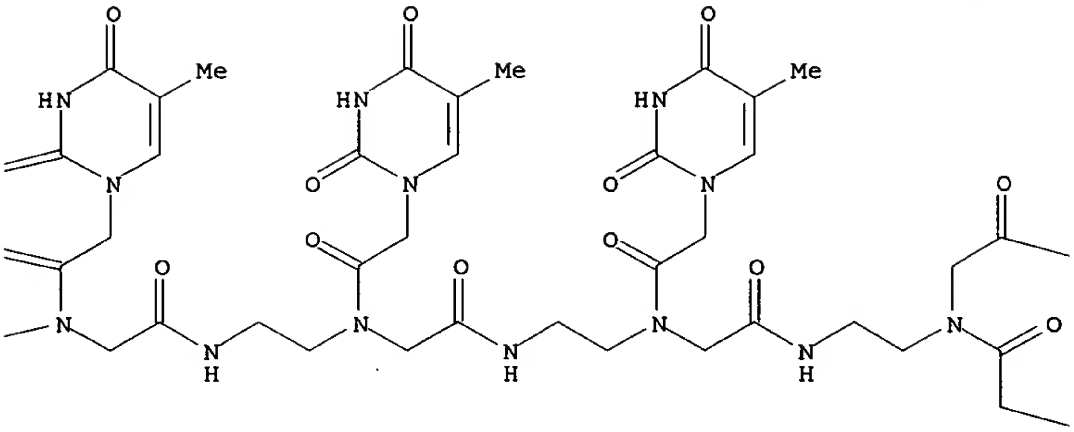

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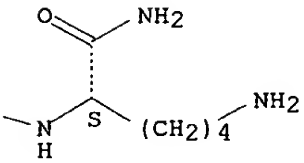

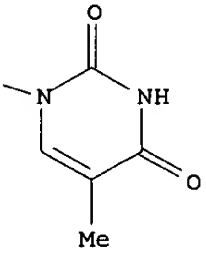

RN 203134-19-4 CAPLUS

L11 ANSWER 10 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1998:89263 CAPLUS
DOCUMENT NUMBER: 128:180668
TITLE: Preparation of peptide nucleic acids having enhanced binding affinity, sequence specificity and solubility
INVENTOR(S): Nielsen, Peter E.; Egholm, Michael; Berg, Rolf H.
PATENT ASSIGNEE(S): Buchardt, Dorte, Den.; Isis Pharmaceuticals, Inc.; Nielsen, Peter E.; Egholm, Michael; Berg, Rolf H.
SOURCE: PCT Int. Appl., 150 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
Searched by Barb O'Bryen, STIC 308-4291

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9803542	A1	19980129	WO 97-US12811	19970724
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RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
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US 5719262	A	19980217	US 96-685484	19960724
US 5766855	A	19980616	US 96-686113	19960724
AU 9738081	A1	19980210	AU 97-38081	19970724
PRIORITY APPLN. INFO.:			US 96-685484	19960724
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OTHER SOURCE(S): MARPAT 128:180668
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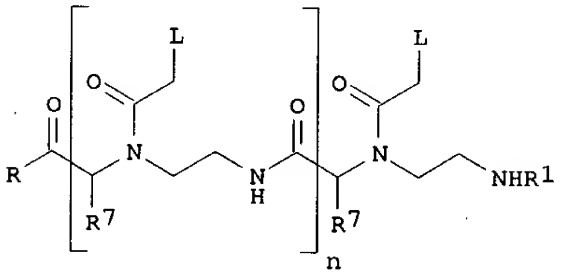

I

AB A novel class of compds., known as peptide nucleic acids (PNAs), e.g. I [each L = independently naturally occurring or non-naturally occurring nucleobase; each R7 = independently H, C1-7 alkylamine, with the proviso that at least one R7 = C1-7 alkylamine; R = OH, NH2, Lys-NH2; R1 = H, Ac, CO2CMe3 (Boc); n = 1-30], bind complementary DNA and RNA strands more strongly than a corresponding DNA strand, and exhibit increased sequence specificity and soly. The peptide nucleic acids comprise ligands selected from a group consisting of naturally-occurring nucleobases and non-naturally-occurring nucleobases attached to a polyamide backbone, and contain C1-C8 alkylamine side chains. Methods of enhancing the soly., binding affinity and sequence specificity of PNAs are provided. Thus, a 12-mer PNA contg. two 2,6-diaminopurine nucleobases bearing Lys sidechains, prepd. by solid-phase methods using N.alpha.-Boc and benzyl side chain protection, blocked in vitro translation of hepatitis C virus protein with EC50 = 29 nM.

IT **34046-07-6P 139166-81-7P 149376-74-9P 149376-88-5P 158097-23-5P 203265-74-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
Searched by Barb O'Bryen, STIC 308-4291

(prepn. of peptide nucleic acids having enhanced binding affinity, sequence specificity and soly.)

RN 34046-07-6 CAPLUS
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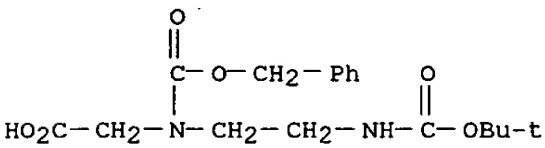
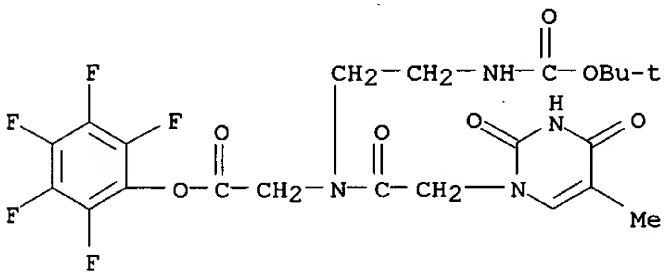
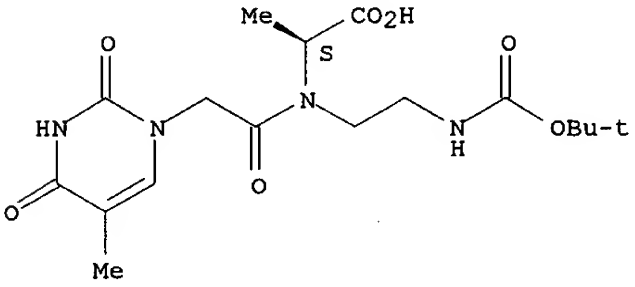


RN 139166-81-7 CAPLUS
CN Glycine, N-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-, pentafluorophenyl ester (9CI) (CA INDEX NAME)



RN 149376-74-9 CAPLUS
CN L-Alanine, N-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]- (9CI) (CA INDEX NAME)

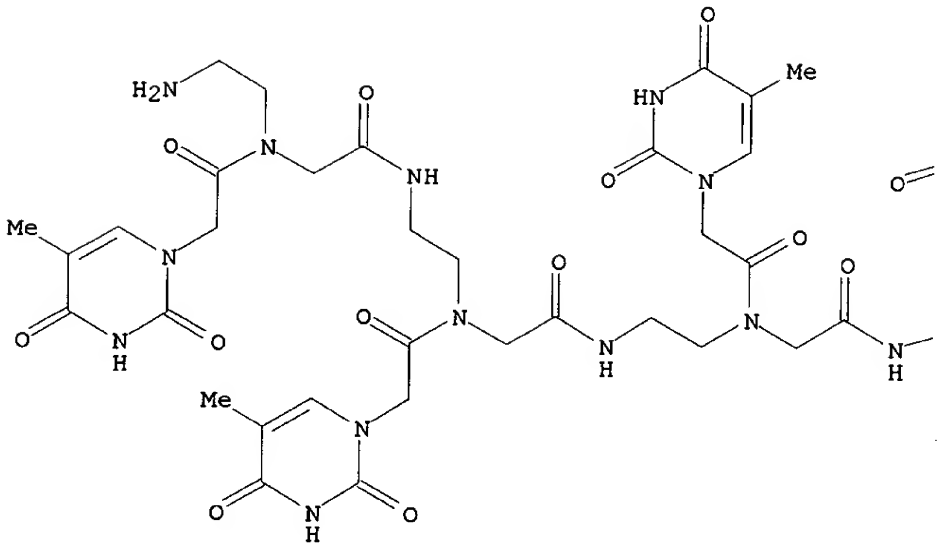
Absolute stereochemistry.



RN 149376-88-5 CAPLUS
CN Peptide nucleic acid, (H-T-T-T-T-C-C-T-C-T-C)-L-lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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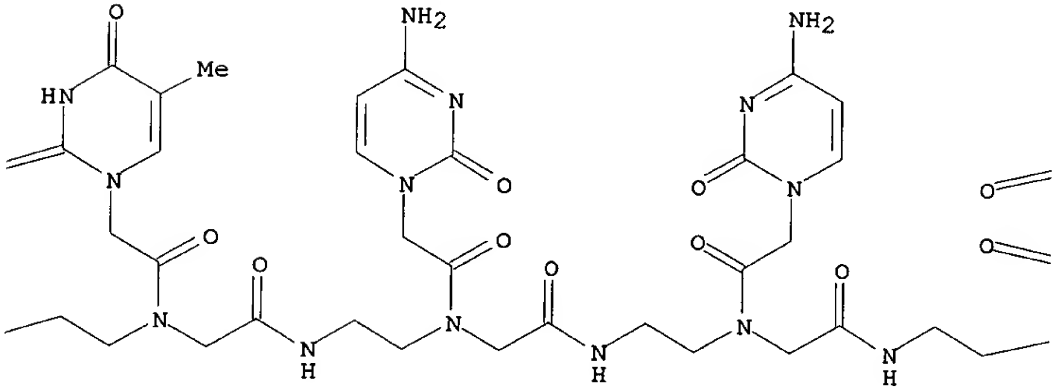

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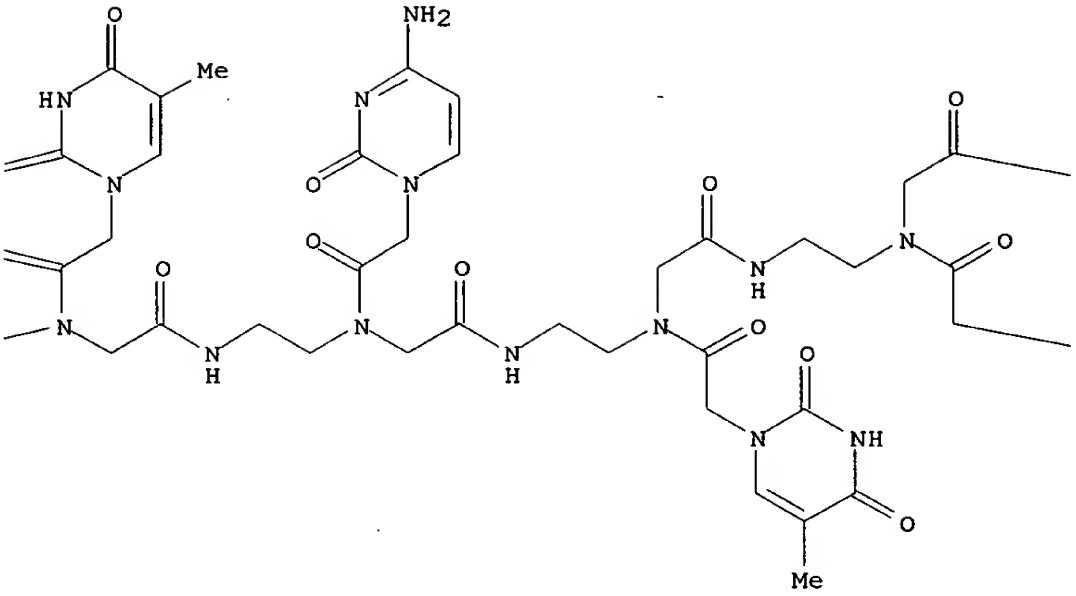

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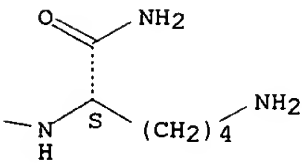
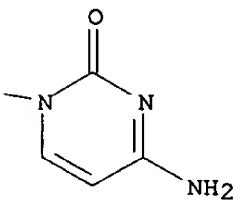
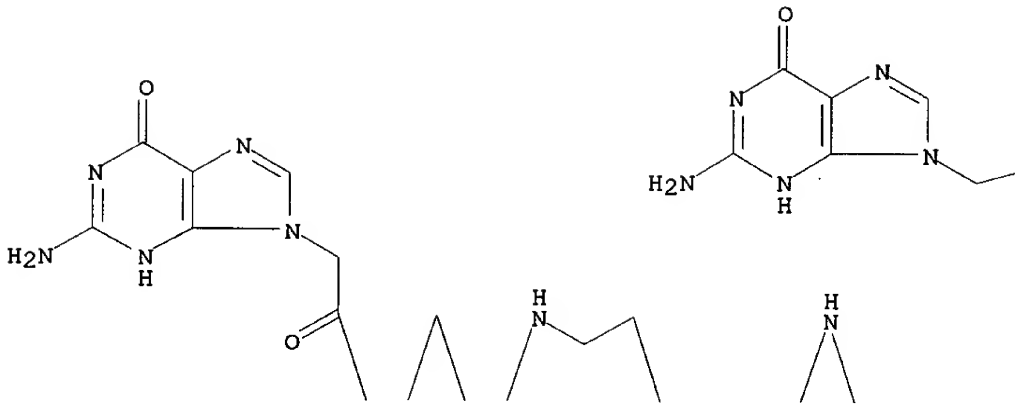




RN 158097-23-5 CAPLUS
CN Peptide nucleic acid, (H-G-T-A-G-A-T-C-A-C-T)-L-lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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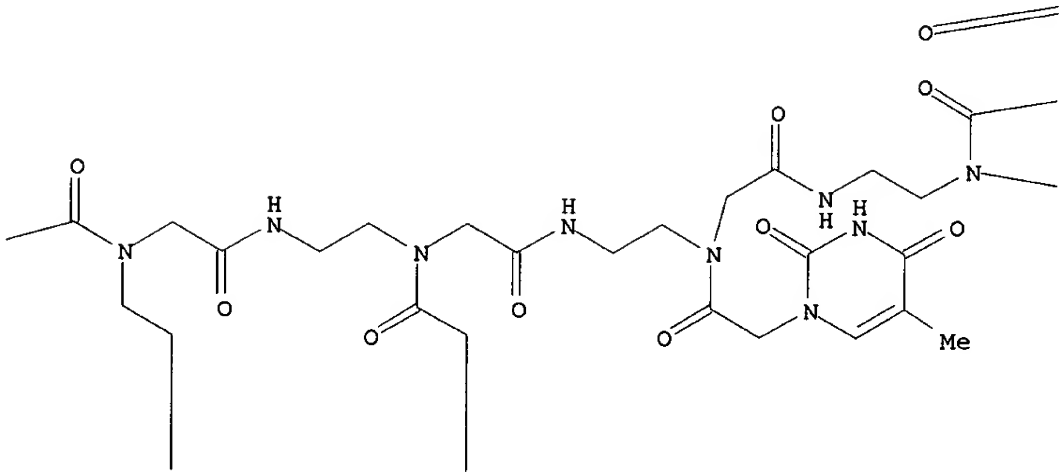

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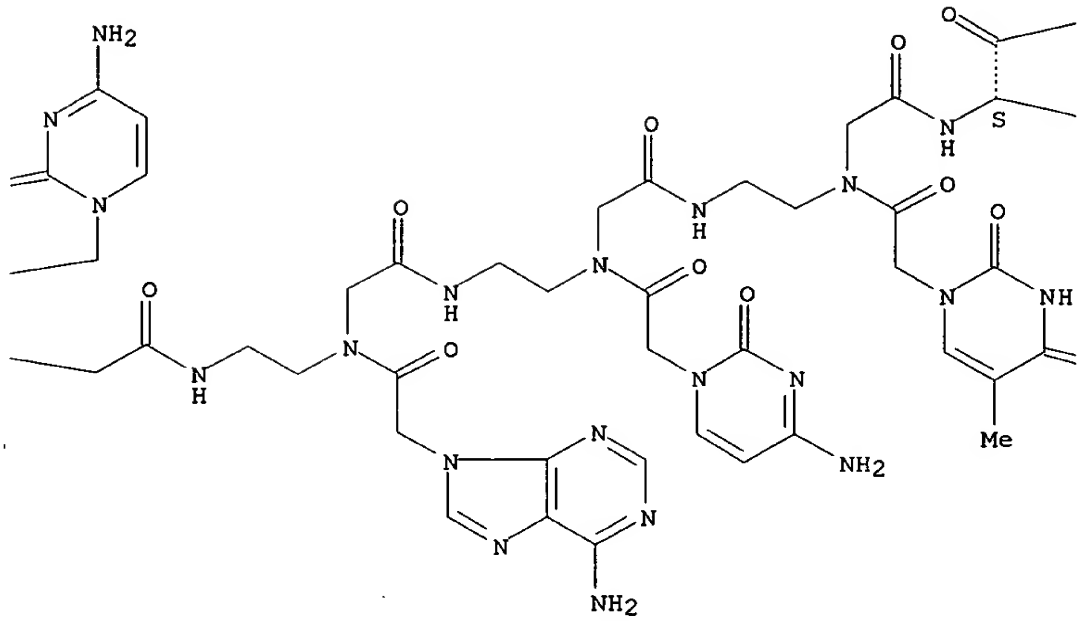

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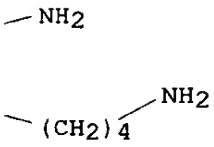

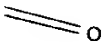

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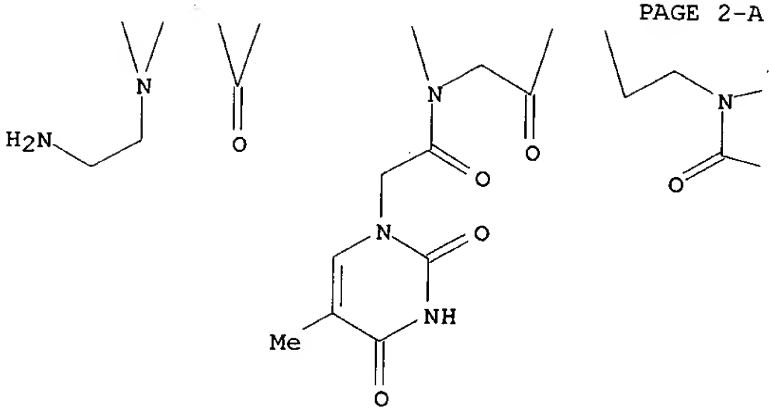

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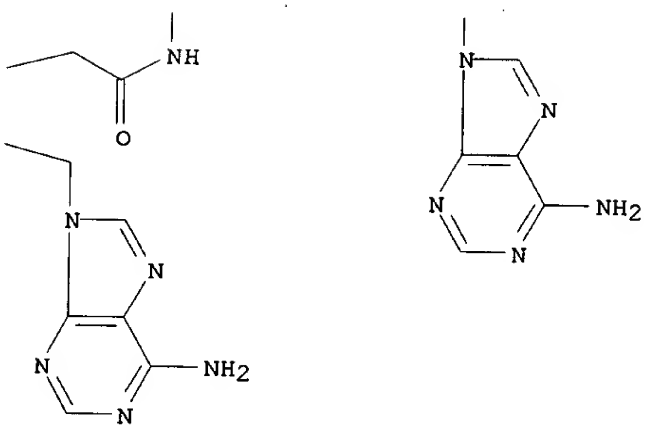
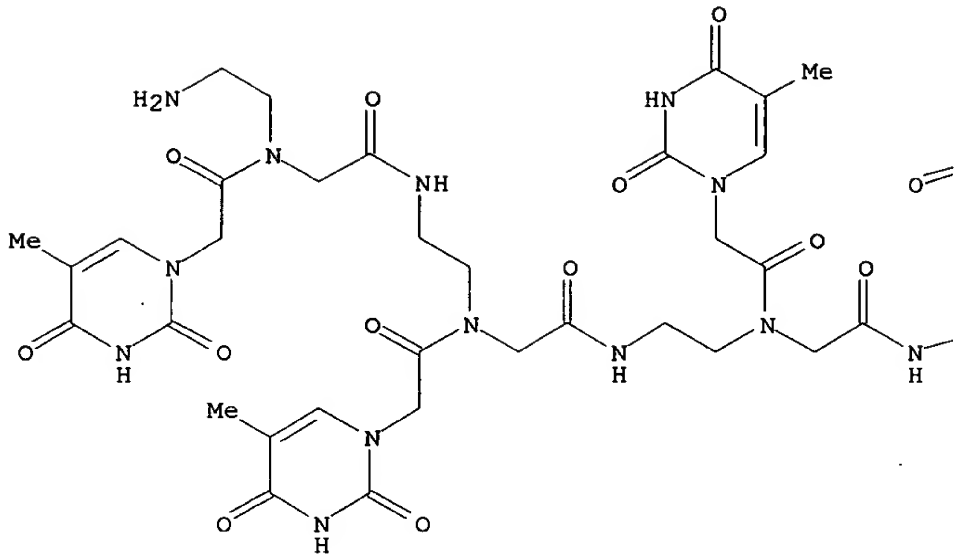


RN 203265-74-1 CAPLUS
IT **139166-85-1P 148273-99-8P 203134-19-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of peptide nucleic acids having enhanced binding affinity, sequence specificity and soly.)
RN 139166-85-1 CAPLUS
CN Peptide nucleic acid, (H-T-T-T-T-T-T-T-T-T-T)-L-lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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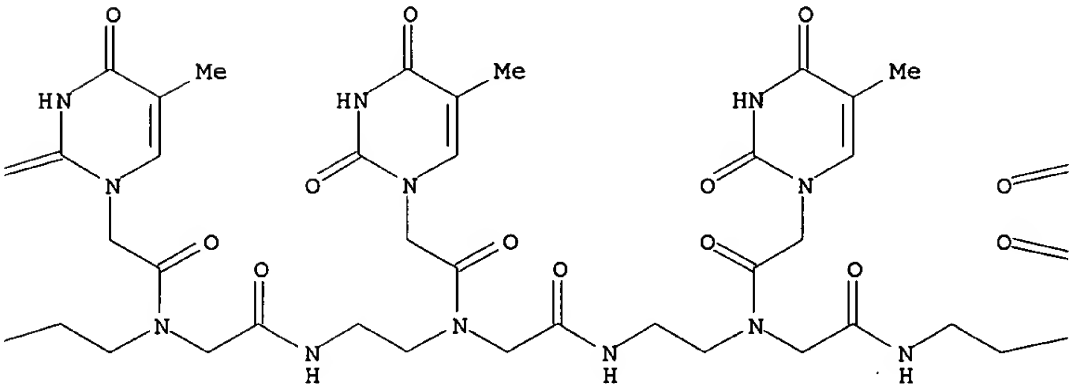

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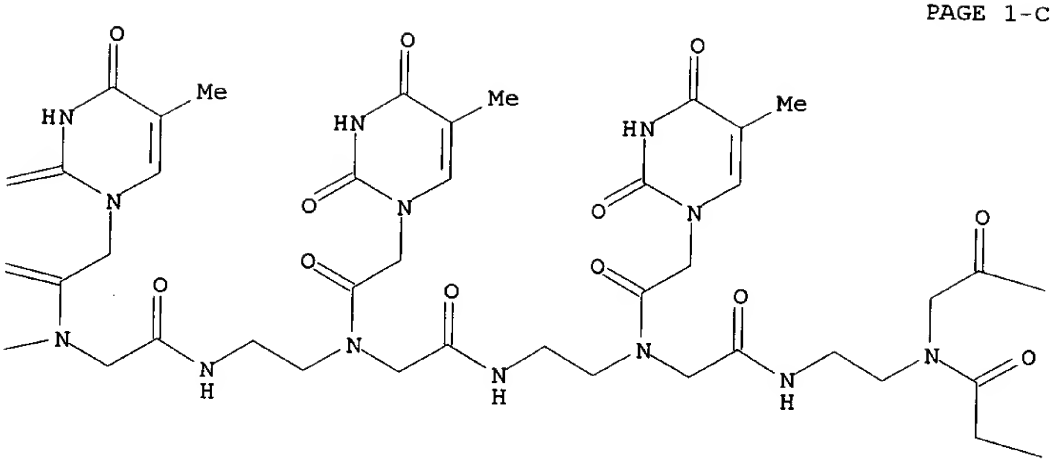

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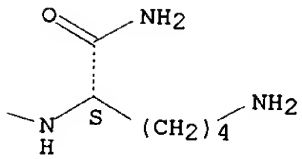
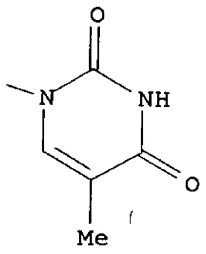
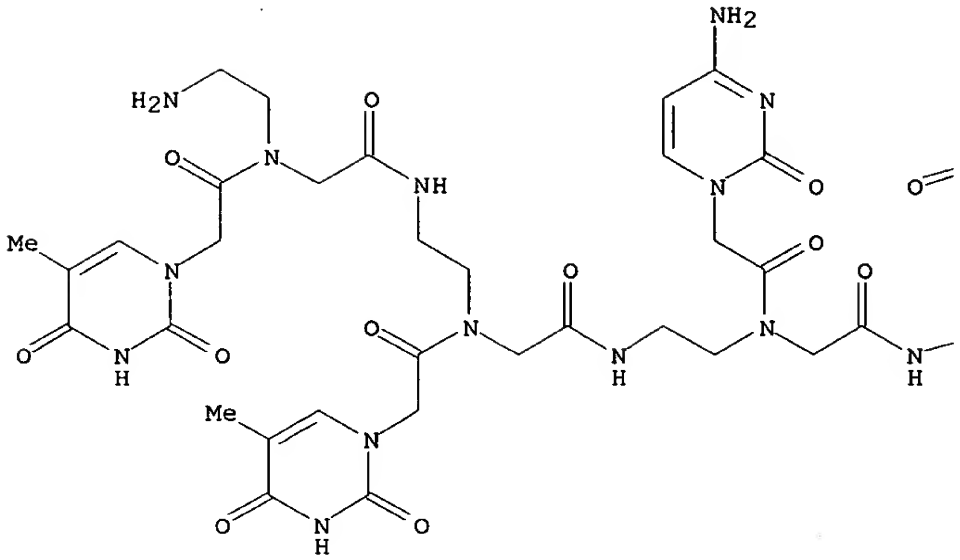




RN 148273-99-8 CAPLUS
CN Peptide nucleic acid, (H-T-T-C-T-T-C-T-T-T-T)-L-lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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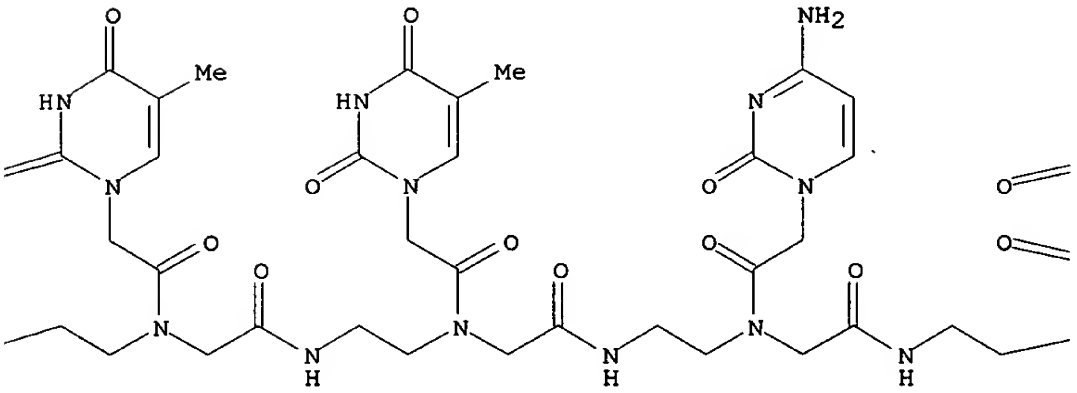

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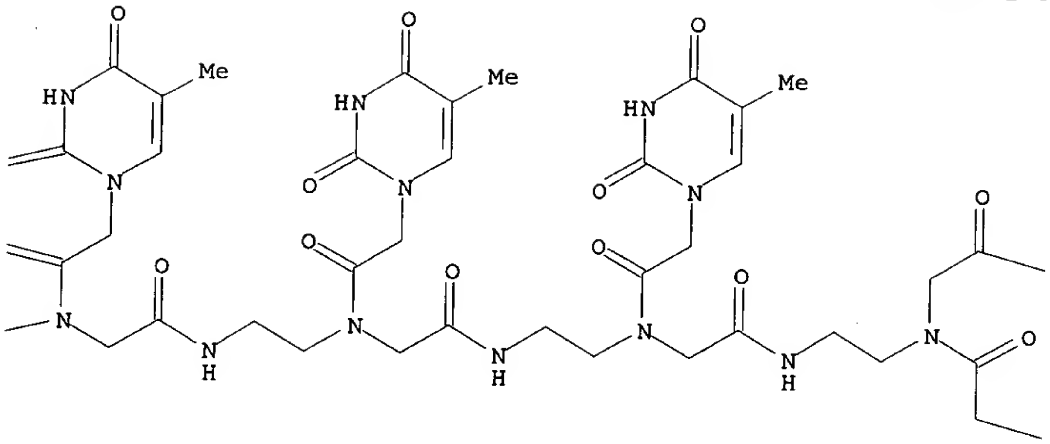

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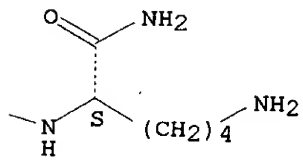
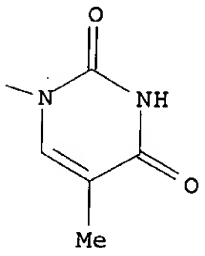




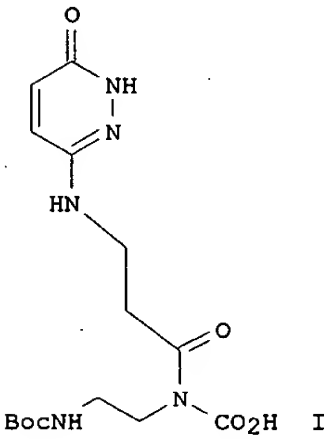
RN 203134-19-4 CAPLUS

L11 ANSWER 11 OF 56 CAPLUS COPYRIGHT 1999 ACS

ACCESSION NUMBER: 1998:15082 CAPLUS
DOCUMENT NUMBER: 128:150720
TITLE: Increased DNA binding and sequence discrimination of PNA oligomers containing 2,6-diaminopurine
AUTHOR(S): Haaiima, Gerald; Hansen, Henrik F.; Christensen, Leif; Dahl, Otto; Nielsen, Peter E.
CORPORATE SOURCE: Center for Biomolecular Recognition, Department of Chemistry, The H.C. Orsted Institute, Universitetsparken 5, Copenhagen, DK-2100, Den.
SOURCE: Nucleic Acids Res. (1997), 25(22), 4639-4643
CODEN: NARHAD; ISSN: 0305-1048
PUBLISHER: Oxford Universitv Press
Searched by Barb O'Bryen, STIC 308-4291

DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 128:150720
AB The synthesis of a diaminopurine PNA monomer, N-[N6-(benzyloxycarbonyl)-2,6-diaminopurine-9-yl] acetyl-N(2-t-butyloxycarbonylaminoethyl)glycine, and the incorporation of this monomer into PNA oligomers are described. Substitution of adenine by diaminopurine in PNA oligomers increased the Tm of duplexes formed with complementary DNA, RNA or PNA by 2.5-6.5.degree.C per diaminopurine. Furthermore, discrimination against mismatches facing the diaminopurine in the hybridizing oligomer is improved. Finally, a homopurine decamer PNA contg. six diaminopurines is shown to form a (gel shift) stable strand displacement complex with a target in a 246 bp double-stranded DNA fragment.
IT **180688-38-4P**
RL: BPR (Biological process); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(increased DNA binding and sequence discrimination of PNA oligomers contg. 2,6-diaminopurine)
RN 180688-38-4 CAPLUS

L11 ANSWER 12 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1997:723968 CAPLUS
DOCUMENT NUMBER: 128:61734
TITLE: A Novel Peptide Nucleic Acid Monomer for Recognition of Thymine in Triple-Helix Structures
AUTHOR(S): Eldrup, Anne B.; Dahl, Otto; Nielsen, Peter E.
CORPORATE SOURCE: Center for Biomolecular Recognition Department of Chemistry, University of Copenhagen, Copenhagen, DK-2100, Den.
SOURCE: J. Am. Chem. Soc. (1997), 119(45), 11116-11117
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



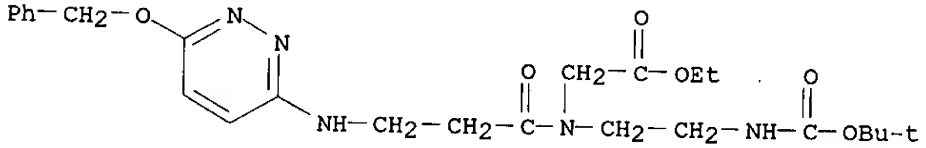
I

AB The prepn. of a novel PNA monomer I, derived from 6-amino-3-oxo-2,3-dihydropyridazine, for specific recognition of thymine in (PNA)2/DNA triple helix structures is reported. The new monomer was shown to have

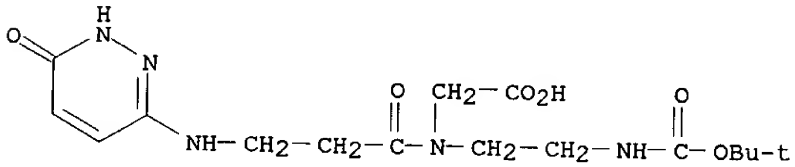
specificity for thymidine or deoxyuridine, when positioned in the Hoogsteen strand of a bis-PNA system, using an oligonucleotide with a 10-mer target contg. eight purines and two thymine or uracil bases.

IT **200184-28-7P 200184-30-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of novel peptide nucleic acid monomer for recognition of thymine in triple-helix DNA structures)

RN 200184-28-7 CAPLUS
CN Glycine, N-[6-(phenylmethoxy)-3-pyridazinyl]-.beta.-alanyl-N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 200184-30-1 CAPLUS
CN Glycine, N-(1,6-dihydro-6-oxo-3-pyridazinyl)-.beta.-alanyl-N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]- (9CI) (CA INDEX NAME)



L11 ANSWER 13 OF 56 CAPLUS COPYRIGHT 1999 ACS

ACCESSION NUMBER: 1997:684422 CAPLUS
DOCUMENT NUMBER: 128:1459
TITLE: Inhibitor peptide nucleic acids binding the RNA component of mammalian telomerase
INVENTOR(S): Shay, Jerry W.; Wright, Woodring E.; Piatyszek, Mieczyslaw A.; Corey, David; Norton, James C.
PATENT ASSIGNEE(S): Geron Corp., USA
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9738013	A1	19971016	WO 97-US5931	19970409
W: AU, CA, CN, JP, KR, MX				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9726631	A1	19971029	AU 97-26631	19970409
PRIORITY APPLN. INFO.:			US 96-630019	19960409
			WO 97-US5931	19970409

AB Peptide nucleic acids (PNAs) that can bind with the RNA moiety of mammalian telomerases and that can inhibit the enzyme are described. The PNAs may be antisense or triple helix- or D-loop-forming. The PNAs may be further modified with lipid moieties or signal peptides to ensure their efficient uptake by animal cells. The PNAs can be used to assay telomerase activity and to inhibit the enzvme in the treatment of disease.

A series of PNA candidates for inhibition of telomerase activity were tested for efficacy in a telomere repeat amplification protocol assay and inhibition in the micromolar or nanomolar range was found. Further optimization expts. are reported.

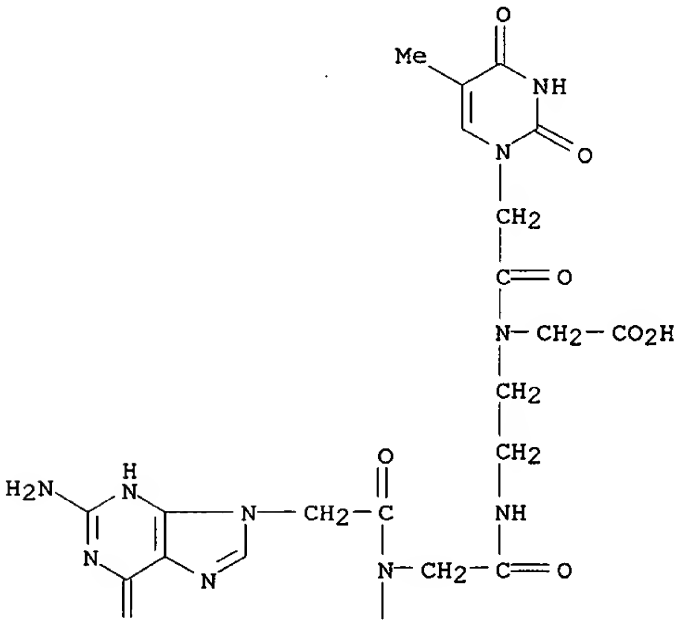
IT **198069-55-5**

RL: BAC (Biological activity or effector, except adverse); BUU (Biological use, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(as inhibitor of telomerase; inhibitor peptide nucleic acids binding RNA component of mammalian telomerase)

RN 198069-55-5 CAPLUS

CN Peptide nucleic acid, (H-G-T-T-A-G-G-G-T)-OH (9CI) (CA INDEX NAME)

PAGE 1-A



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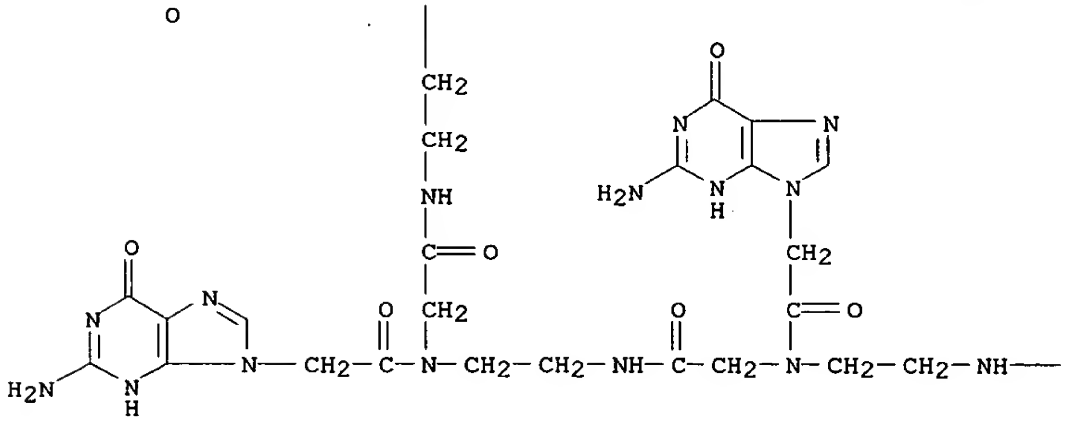
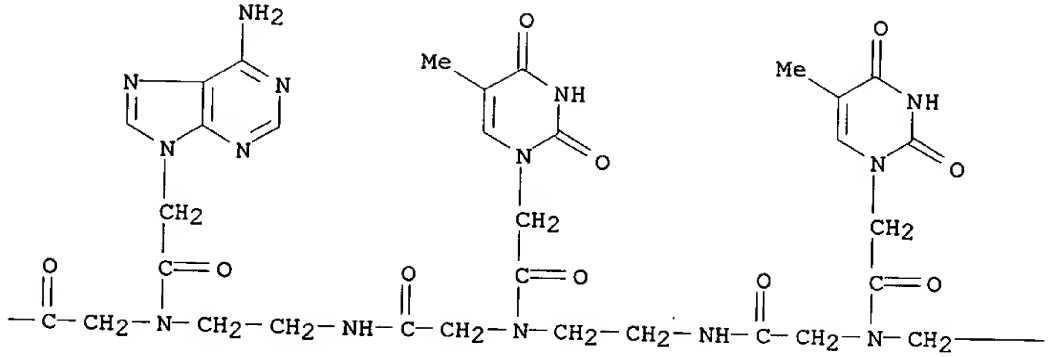


Searched by Barb O'Bryen; STIC 308-4291

PAGE 2-B



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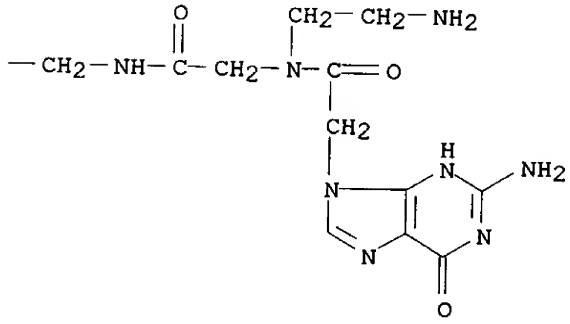
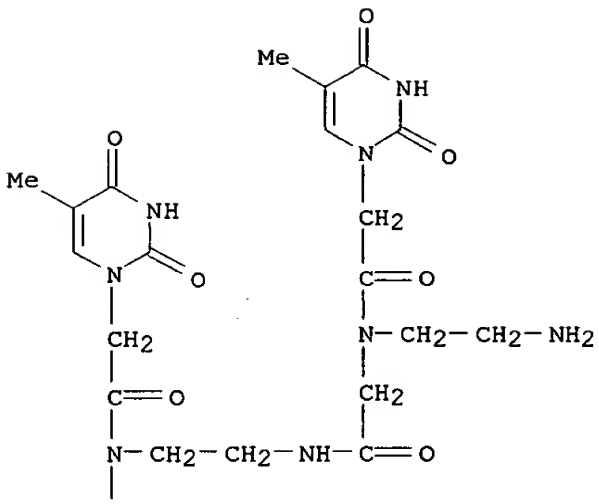
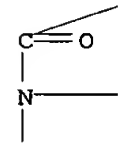


IT **189444-15-3D**, N- and C-terminal extension analogs
198069-48-6D, N- and C-terminal extension analogs
198069-49-7D, N- and C-terminal extension analogs
198069-50-0D, N- and C-terminal extension analogs
198069-51-1D, N- and C-terminal extension analogs
198069-52-2D, N- and C-terminal extension analogs
198069-53-3D, N- and C-terminal extension analogs
198069-54-4D, N- and C-terminal extension analogs
RL: BAC (Biological activity or effector, except adverse); BUU (Biological use, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(as inhibitors of telomerase; inhibitor peptide nucleic acids binding RNA component of mammalian telomerase)
RN 189444-15-3 CAPLUS
CN Peptide nucleic acid, (H-T-T-A-G-G-G)-OH (9CI) (CA INDEX NAME)

PAGE 1-A





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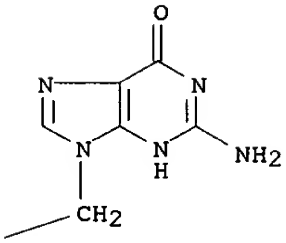

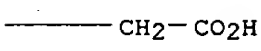

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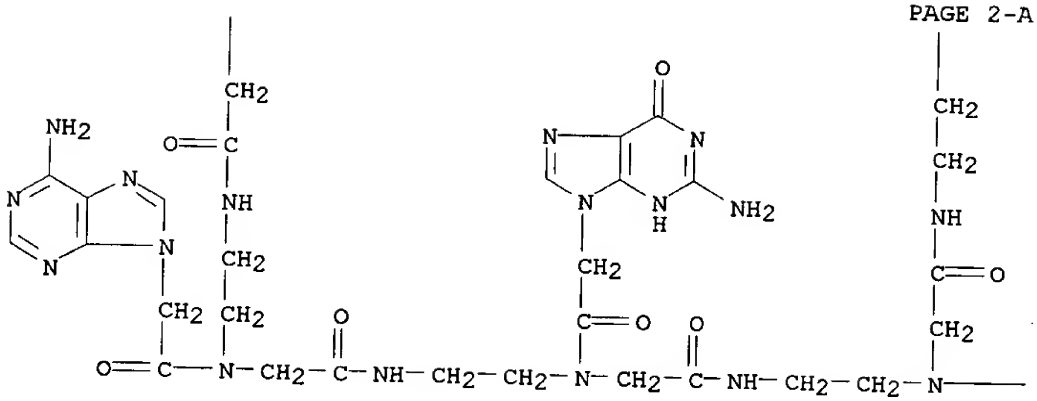

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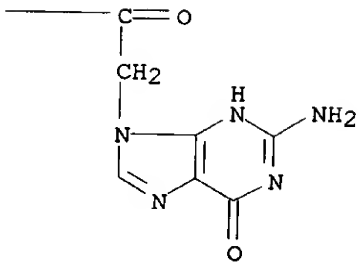
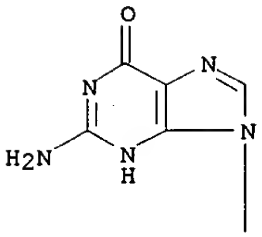
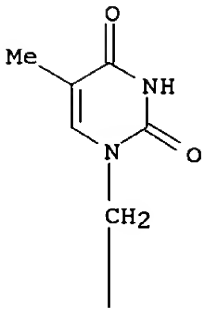


RN 198069-48-6 CAPLUS
CN Peptide nucleic acid, (H-G-T-T-A-G-G-G)-OH (9CI) (CA INDEX NAME)

PAGE 1-A





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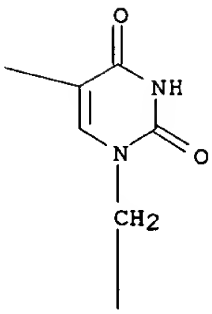
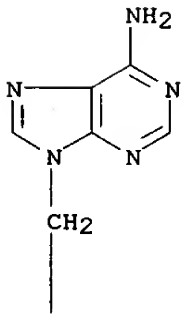
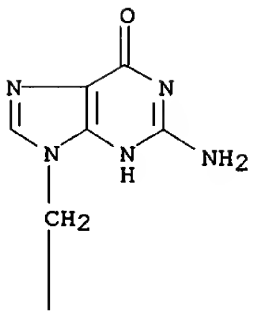
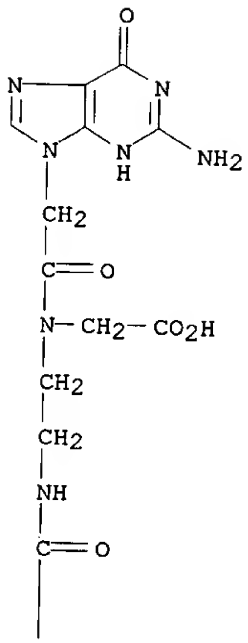
PAGE 1-B



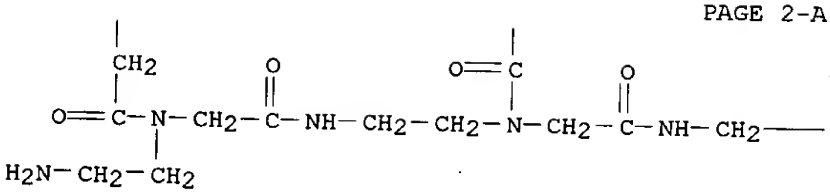




PAGE 1-C



PAGE 2-A



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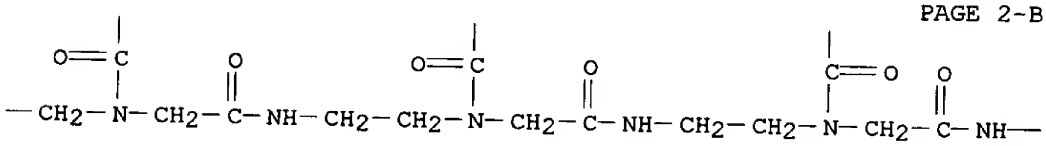

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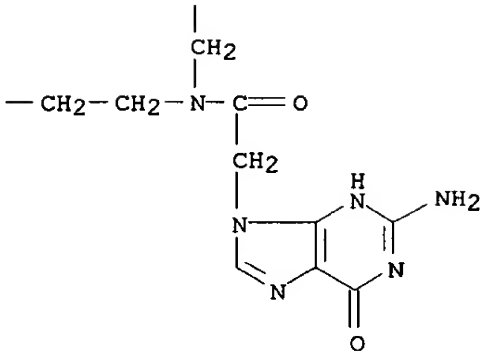
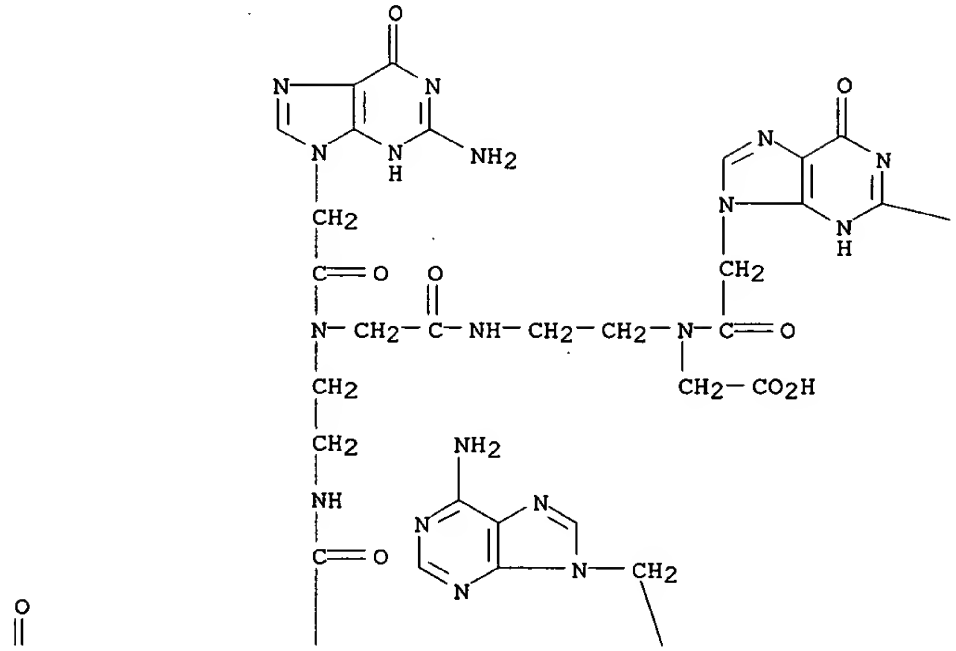


RN 198069-49-7 CAPLUS
CN Peptide nucleic acid, (H-A-G-T-T-A-G-G-G)-OH (9CI) (CA INDEX NAME)

PAGE 1-A



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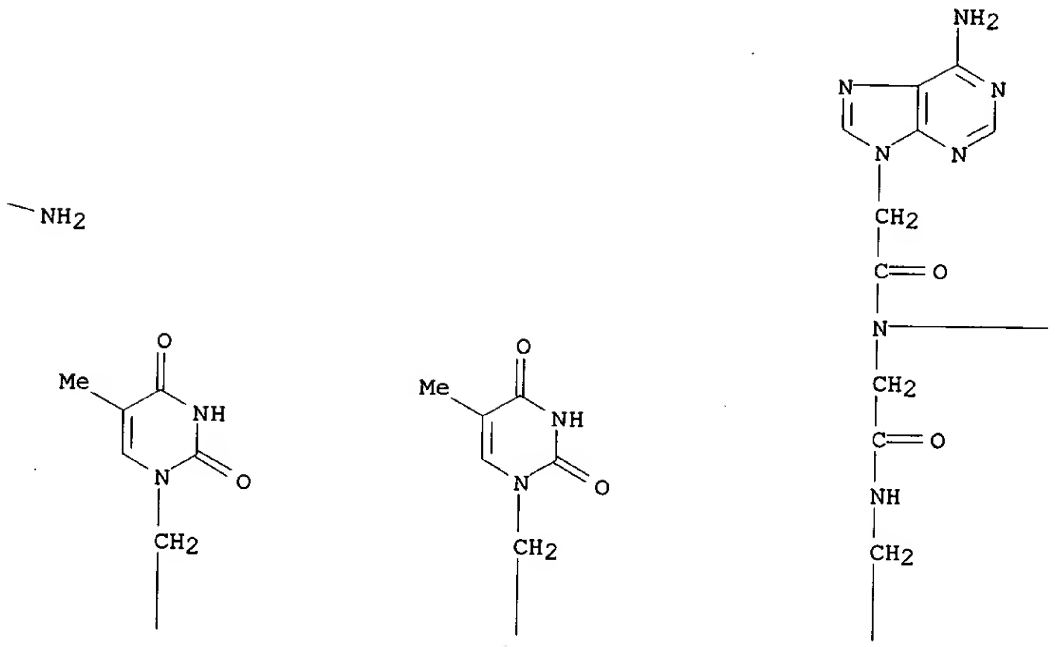

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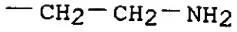

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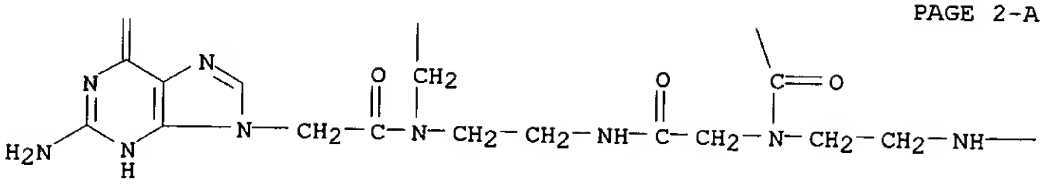

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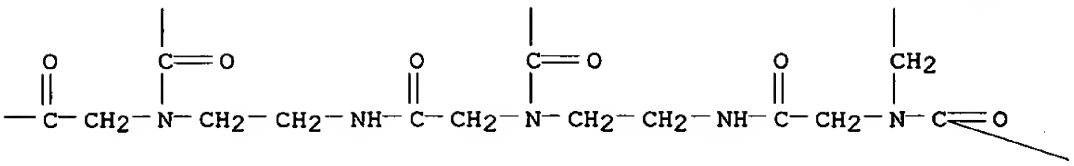

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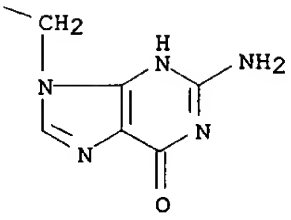
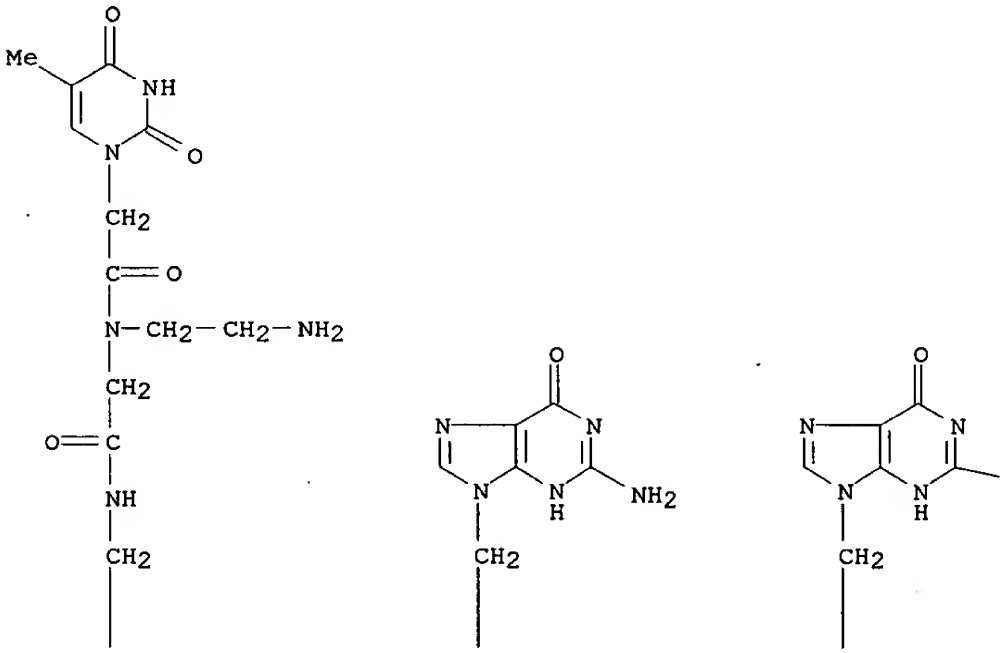


RN 198069-50-0 CAPLUS
CN Peptide nucleic acid, (H-T-A-G-G-G-T)-OH (9CI) (CA INDEX NAME)

PAGE 1-A



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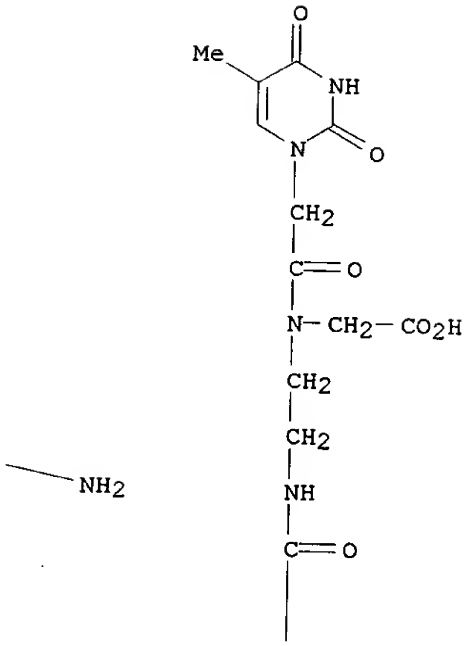

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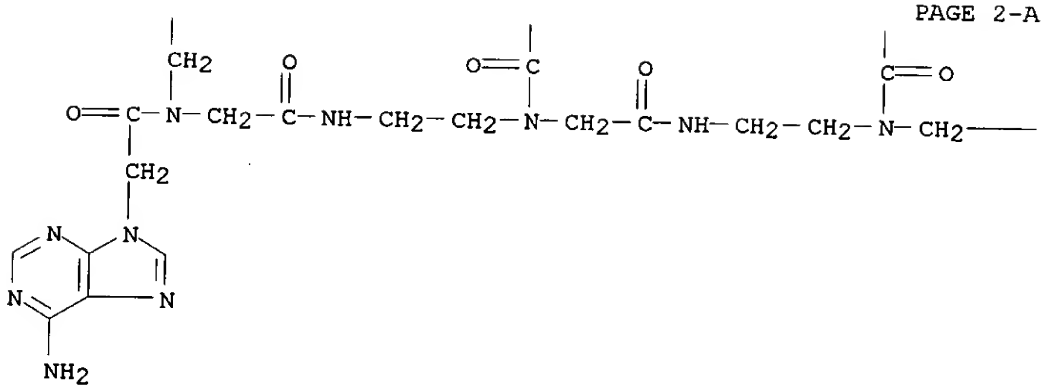

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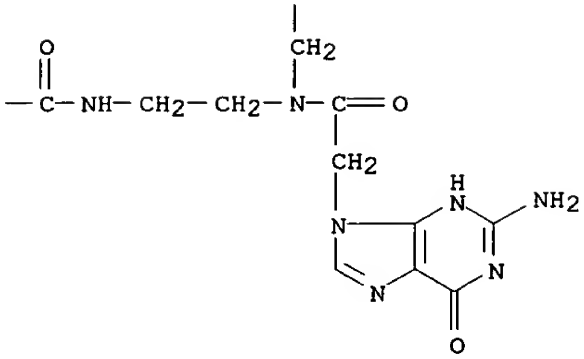
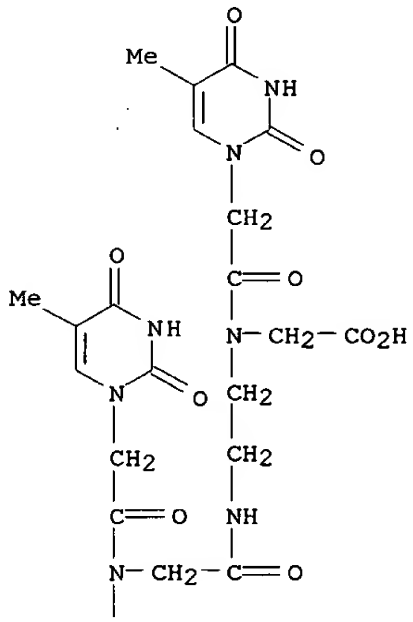


RN 198069-51-1 CAPLUS
CN Peptide nucleic acid, (H-A-G-G-G-T-T)-OH (9CI) (CA INDEX NAME)

PAGE 1-A



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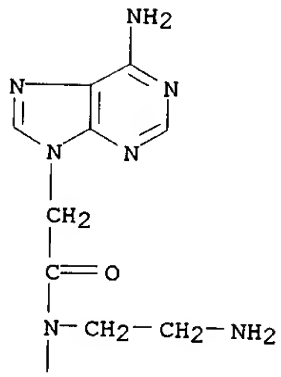

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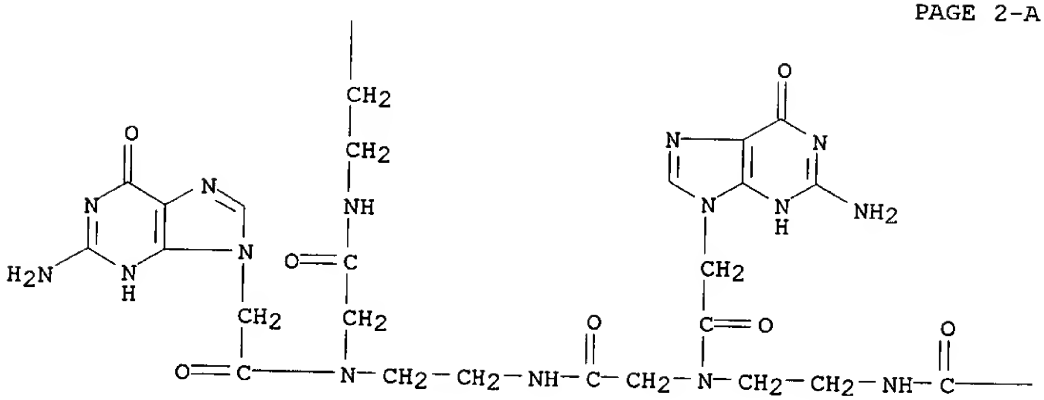

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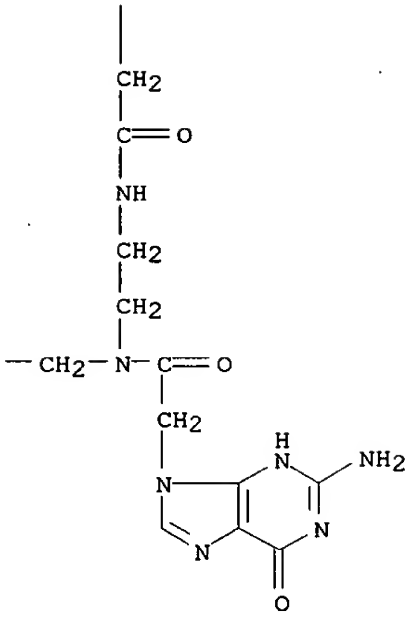
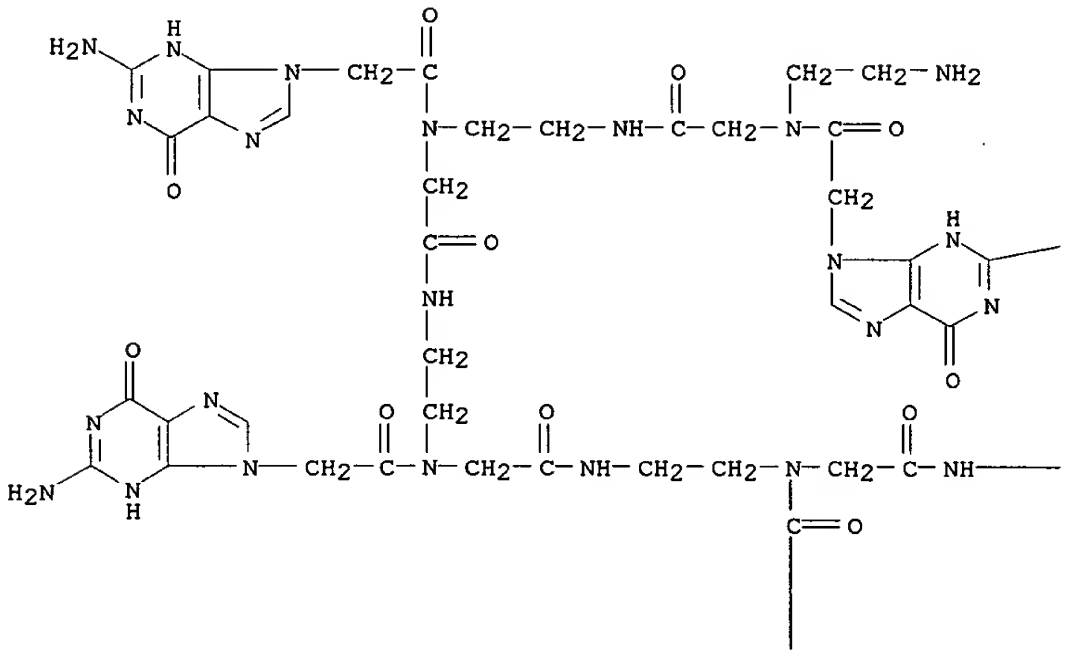


RN 198069-52-2 CAPLUS
CN Peptide nucleic acid, (H-G-G-G-T-T-A)-OH (9CI) (CA INDEX NAME)

PAGE 1-A



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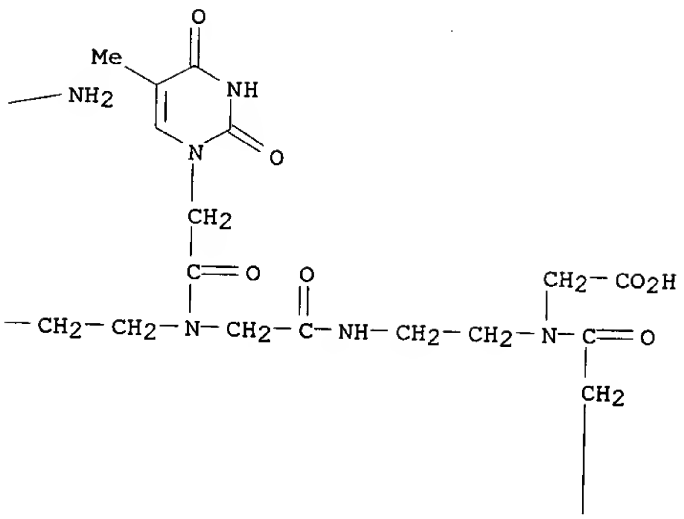
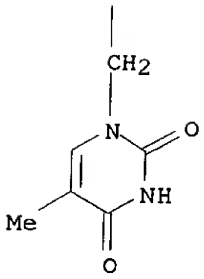


PAGE 2-A



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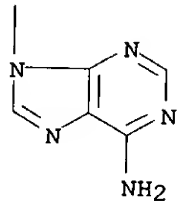
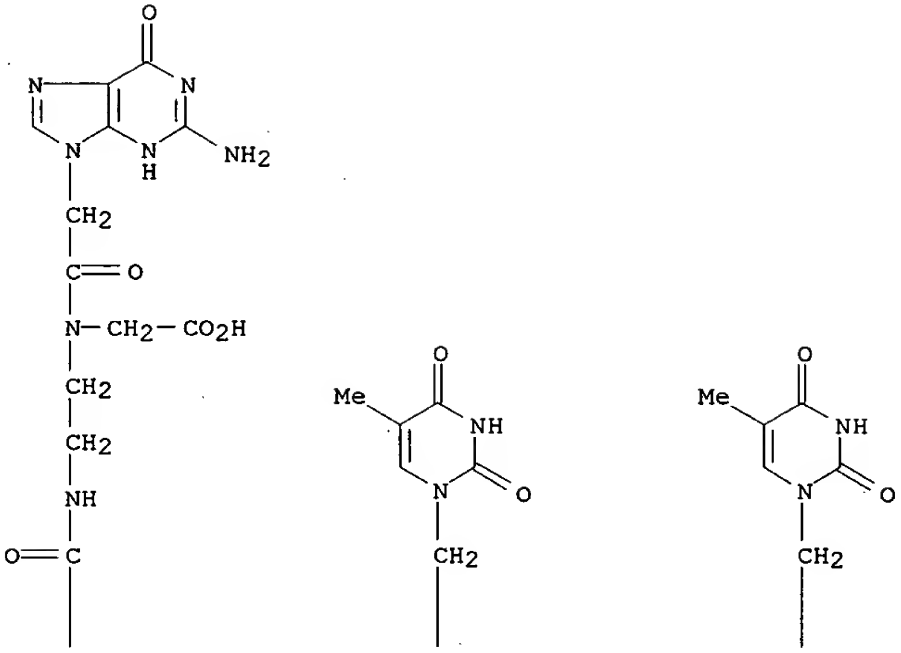


RN 198069-53-3 CAPLUS
CN Peptide nucleic acid, (H-G-G-T-T-A-G)-OH (9CI) (CA INDEX NAME)

PAGE 1-A



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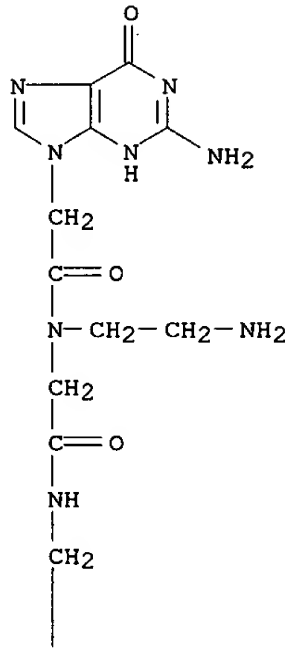

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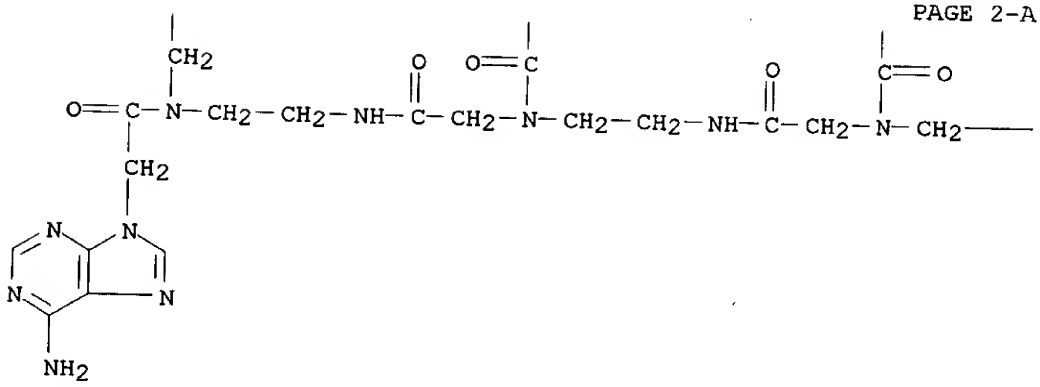

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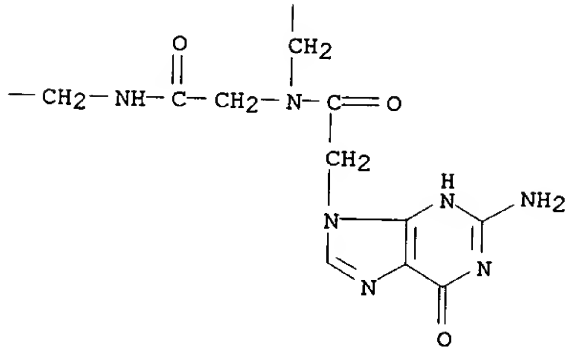
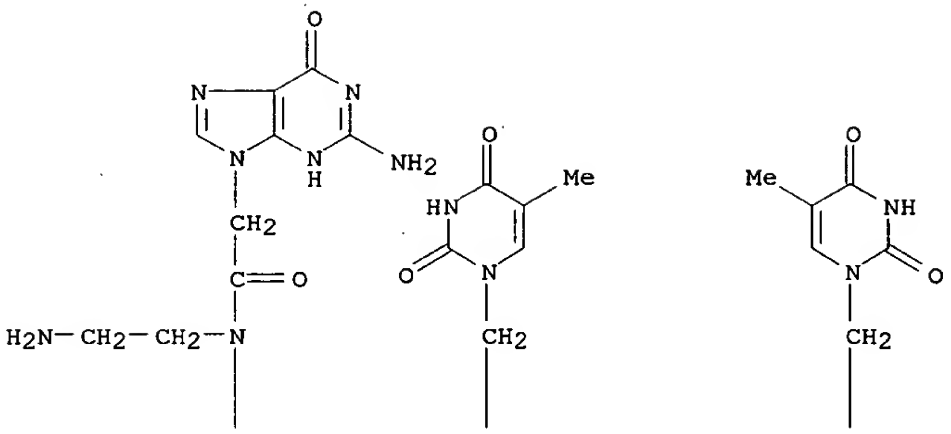


RN 198069-54-4 CAPLUS
CN Peptide nucleic acid, (H-G-T-T-A-G-G)-OH (9CI) (CA INDEX NAME)

PAGE 1-A



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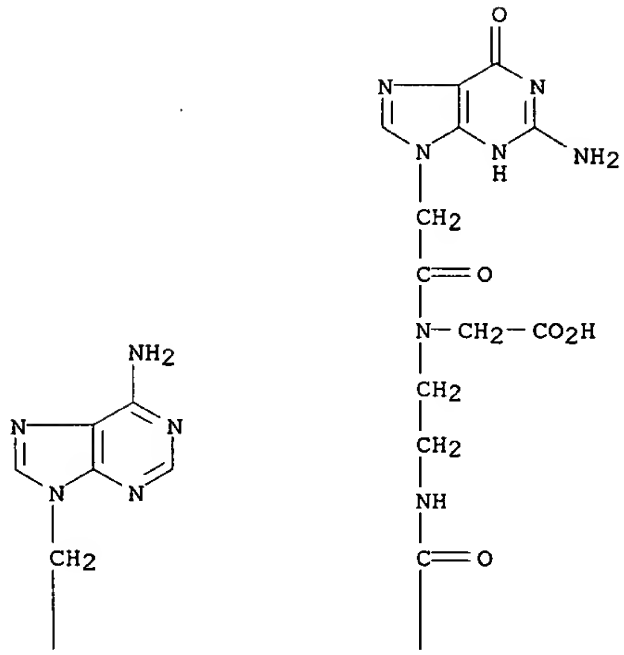

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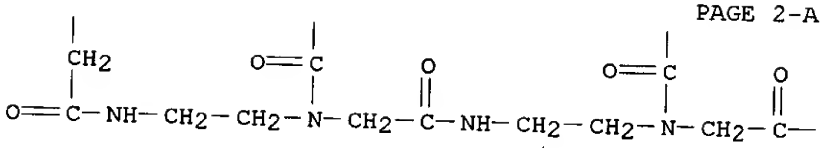

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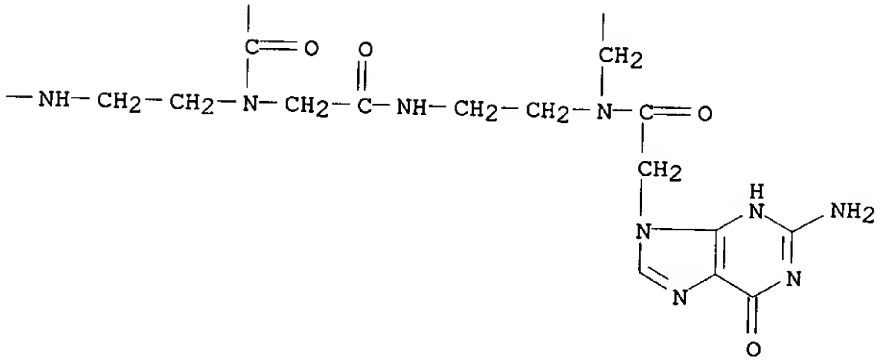


L11 ANSWER 14 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1997:618108 CAPLUS
DOCUMENT NUMBER: 127:273861
TITLE: Substituted nucleic acid mimics for use in hybridization and regulation of gene expression
INVENTOR(S): Nielsen, Peter E.; Christensen, Leif; Hansen, Henrik Frydenlund
PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., USA; Nielsen, Peter E.; Christensen, Leif; Hansen, Henrik Frydenlund
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9732888	A1	19970912	WO 97-US3584	19970307
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9720723	A1	19970922	AU 97-20723	19970307
EP 885238	A1	19981223	EP 97-908939	19970307
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 11507950	T2	19990713	JP 97-531960	19970307

PRIORITY APPLN. INFO.: US 96-612661 19960308
WO 97-US3584 19970307

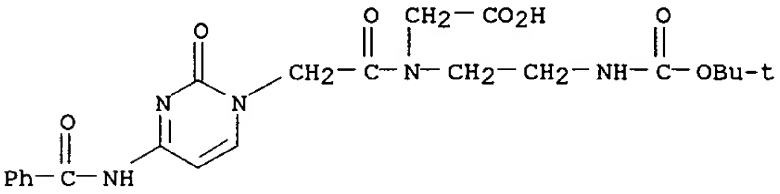
OTHER SOURCE(S): MARPAT 127:273861
Searched by Barb O'Bryen,. STIC 308-4291

AB Compns. and methods are provided for the nucleic acid mimic detn. of nucleic acids. The compns. and methods may be used in the diagnosis and treatment of diseases amenable through modulation of nucleic acids which encode proteins that are implicated in disease states. In accordance with preferred embodiments, mimics are comprised of non-naturally occurring backbones to which are appended modified heterocyclics bases. Such bases preferably have sterically balky substituents 1, 2, or 3 atoms removed from the sites of attachment to the backbone. Homopyrimidine peptide nucleic acids contg. N-4-benzoylcytosine were prepd. When these PNAs were incubated with target nucleic acid at pH 7, the benzoyl group interfered with triplex formation but not duplex formation.

IT **196497-32-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(substituted nucleic acid mimics for use in hybridization and regulation of gene expression)

RN 196497-32-2 CAPLUS

CN Glycine, N-[[4-(benzoylamino)-2-oxo-1(2H)-pyrimidinyl]acetyl]-N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]- (9CI) (CA INDEX NAME)



L11 ANSWER 15 OF 56 CAPLUS COPYRIGHT 1999 ACS

ACCESSION NUMBER: 1997:458191 CAPLUS

DOCUMENT NUMBER: 127:176689

TITLE: Peptide nucleic acids with a conformationally constrained chiral cyclohexyl-derived backbone

AUTHOR(S): Lagriffoule, Pierre; Wittung, Pernilla; Eriksson, Magdalena; Jensen, Kristine Kilsa; Norden, Bengt; Buchardt, Ole; Nielsen, Peter E.

CORPORATE SOURCE: Center Biomolecular Recognition, Department Biochemistry Genetics, Laboratory B, Panum Institute, Copenhagen, DK-2200, Den.

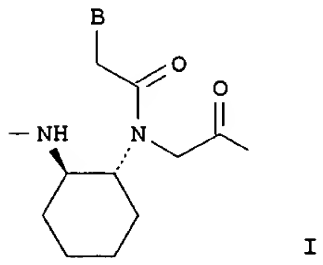
SOURCE: Chem.--Eur. J. (1997), 3(6), 912-919
CODEN: CEUJED; ISSN: 0947-6539

PUBLISHER: Wiley-VCH

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I

AB Peptide nucleic acid (PNA) is an achiral nucleic acid mimic with a backbone consisting of partly flexible aminoethyl glycine units. Conformationally constrained PNA residues I were prepd. by replacing the aminoethyl portion of the backbone by an amino cyclohexyl moiety, either in the (S,S) or the (R,R) configuration. PNA oligomers contg. (S,S)-cyclohexyl residues were able to form hybrid complexes with DNA or RNA, with little effect on the thermal stability (.DELTA.Tm = .+-.1.degree. per (S,S) unit, depending on their no. and the sequence). In contrast, incorporation of the (R,R) isomer resulted in a drastic decrease in the stability of the PNA-DNA (or RNA) complex (.DELTA.Tm = -8.degree. per (R,R) unit). In PNA-PNA duplexes, however, the (R,R)- and (S,S)-cyclohexyl residues only exerted a minor effect on the stability, and the complexes formed with the two isomers are of opposite handedness, as evidenced by CD. In some cases the introduction of a single (S,S) residue in a PNA 15-mer improves its sequence specificity for DNA or RNA. From the thermal stabilities and mol. modeling based on the soln. structure of a PNA-DNA duplex detd. by NMR techniques, the authors conclude that the right-handed helix can accommodate the (S,S) isomer more easily than the (R,R) isomer. Thermodn. measurements of .DELTA.H and .DELTA.S upon PNA-DNA duplex formation show that the introduction of an (S,S)-cyclohexyl unit in the PNA does indeed decrease the entropy loss, indicating a more conformationally constrained structure. However, the more favorable entropic contribution is balanced by a reduced enthalpic gain, indicating that the structure constrained by the cyclohexyl group is not so well suited for DNA hybridization.

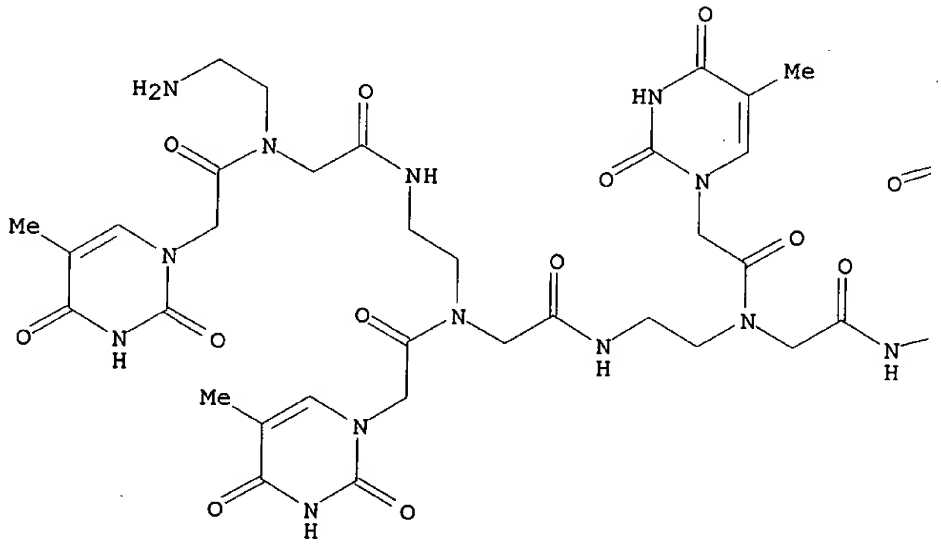
IT **139166-85-1DP**, self-assocn. duplex PNA **180688-38-4DP**, self-assocn. duplex PNA
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and stability of peptide nucleic acids with conformationally constrained chiral cyclohexyl-derived backbone)

RN 139166-85-1 CAPLUS

CN Peptide nucleic acid, (H-T-T-T-T-T-T-T-T-T-T)-L-lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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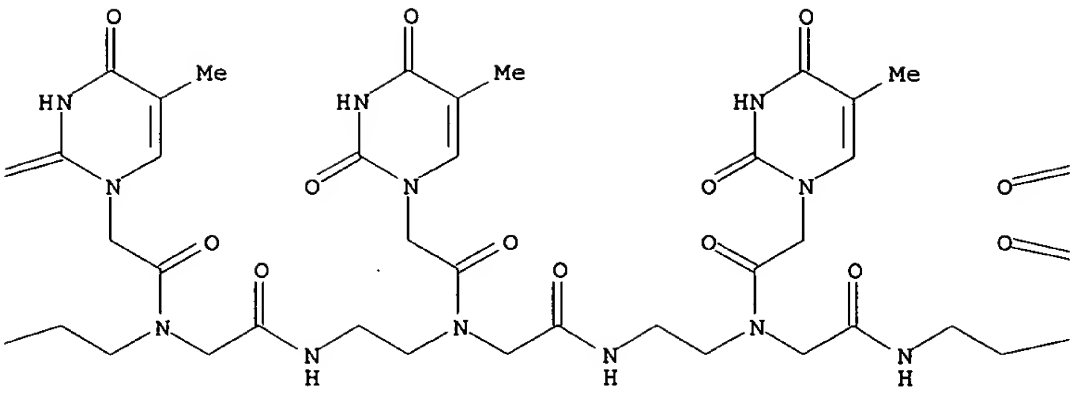

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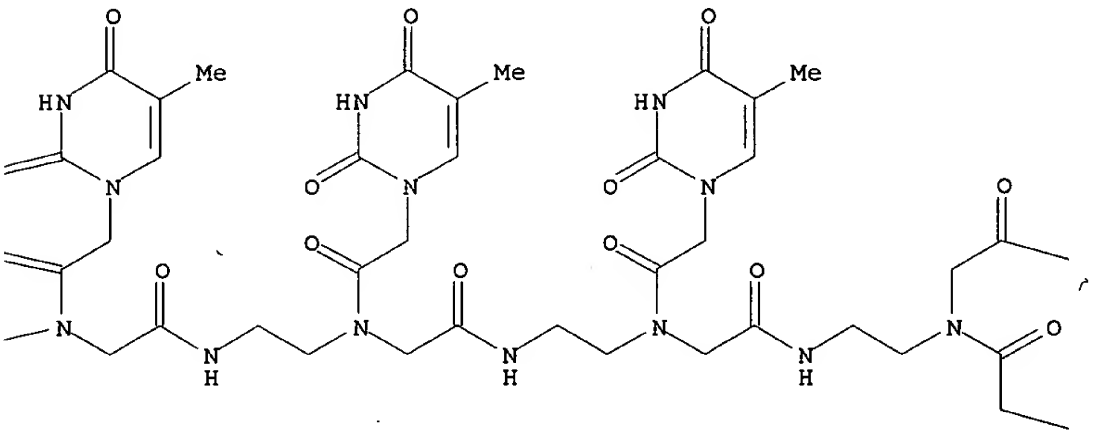

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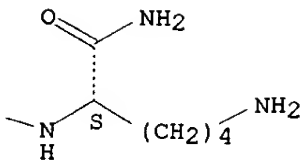
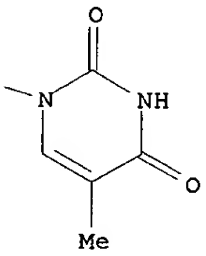




RN 180688-38-4 CAPLUS

L11 ANSWER 16 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1997:425944 CAPLUS
DOCUMENT NUMBER: 127:105215
TITLE: Strong sequence-selective binding of single- and double-stranded nucleic acids and the inhibition of gene expression and cleavage of DNA and RNA
INVENTOR(S): Ecker, David J.; Buchardt, Ole; Egholm, Michael; Nielsen, Peter E.; Berg, Rolf H.; Mollegaard, Niels E.
PATENT ASSIGNEE(S): Nielsen, Peter E., Den.; ISIS Pharmaceuticals, Inc.
SOURCE: U.S., 118 pp. Cont.-in-part of U.S. 5,539,082.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5641625	A	19970624	US 93-88658	19930702
US 5539082	A	19960723	US 93-54363	19930426
WO 9501370	A1	19950112	WO 94-US7319	19940628
W: CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 09503198	T2	19970331	JP 94-503609	19940628
EP 776331	A1	19970604	EP 94-921413	19940628
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 5773571	A	19980630	US 96-595387	19960201
PRIORITY APPLN. INFO.:			US 93-54363	19930426
			US 93-88658	19930702
			US 93-108591	19931122
			WO 94-US7319	19940628

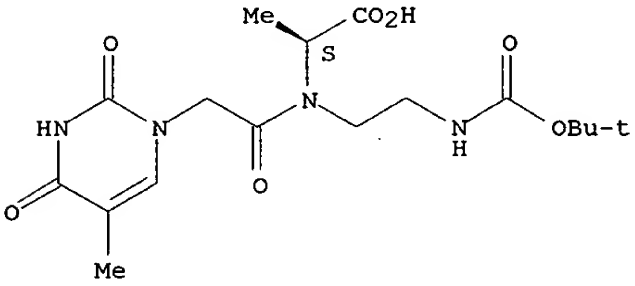
AB Peptide nucleic acids (PNAs) and their analogs are used to form duplex, triplex, and other structures with nucleic acids and to modify nucleic acids. PNAs and PNA analogs can be used to modulate gene expression by inhibiting transcription or translation, and in directing the

site-specific cleavage of nucleic acids. The use of PNAs in a no. of manipulations of nucleic acids is demonstrated. These include generating single-stranded regions in double-stranded DNA for site-specific cleavage by S1 nuclease; formation of triple-stranded complexes; inhibition of restriction enzymes and RNA and DNA polymerases and unwinding of closed circular DNA. Inhibition of transcription, primer elongation, and other processes could be made site-specific with peptide nucleic acids. PNAs can be used to direct non-specific nucleases, such as S1 to a specific cleavage site. Synthetic schemes for the synthesis of monomers of peptide nucleic acids are given.

IT **149376-74-9P 159411-08-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(in synthesis of peptide nucleic acids; strong sequence-selective binding of single- and double-stranded nucleic acids and inhibition of gene expression and cleavage of DNA and RNA)

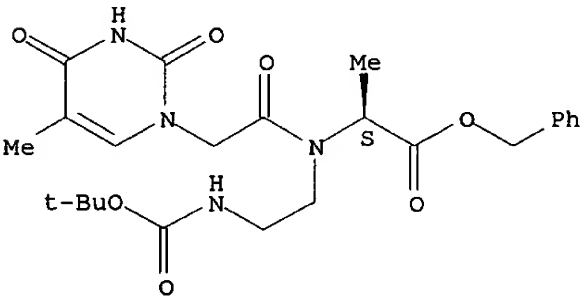
RN 149376-74-9 CAPLUS
CN L-Alanine, N-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



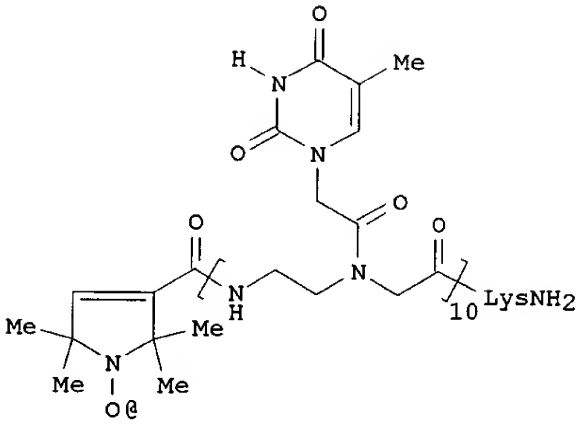
RN 159411-08-2 CAPLUS
CN L-Alanine, N-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 17 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1997:396235 CAPLUS
DOCUMENT NUMBER: 127:95594
TITLE: Solid phase in situ synthesis of spin labeled peptide nucleic acid
AUTHOR(S): Li, Xiao Xu; Wang, Yan Guang; Chen, Yao Zu; Zhang, Liang Ren; Zhang, Li He
CORPORATE SOURCE: Department of Chemistry, Lanzhou University, Lanzhou,

SOURCE: 730000, Peop. Rep. China
Chin. Chem. Lett. (1997), 8(5), 385-386
CODEN: CCLEE7
PUBLISHER: Chinese Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB Spin labeled PNAT10 I was synthesized by the solid phase method with Boc strategy. The product was characterized by ESR and time-of-flight mass spectrometry (TOF MS) anal. revealing a facile spin labeling of PNA by in situ solid phase synthesis.

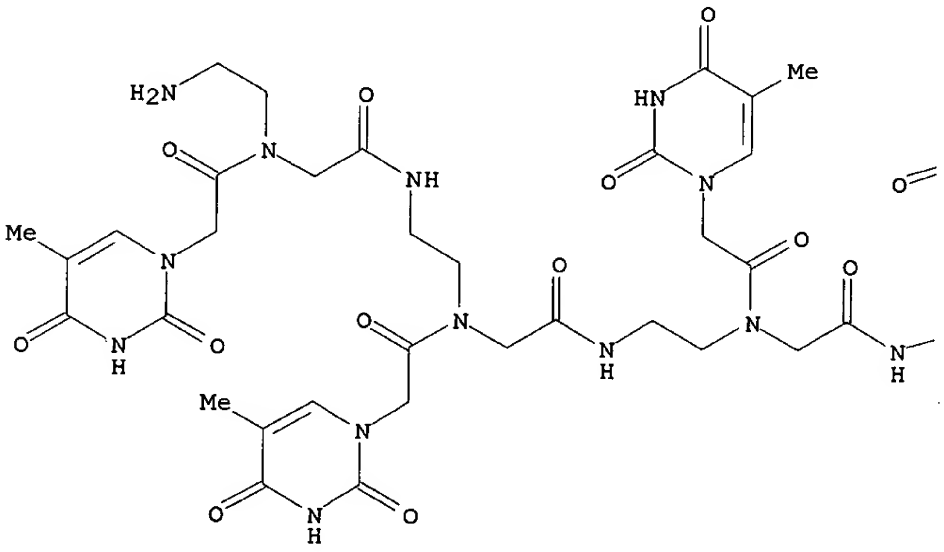
IT **139166-85-1DP**, resin-bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(solid phase in situ synthesis of spin labeled peptide nucleic acid)

RN 139166-85-1 CAPLUS

CN Peptide nucleic acid, (H-T-T-T-T-T-T-T-T-T-T)-L-lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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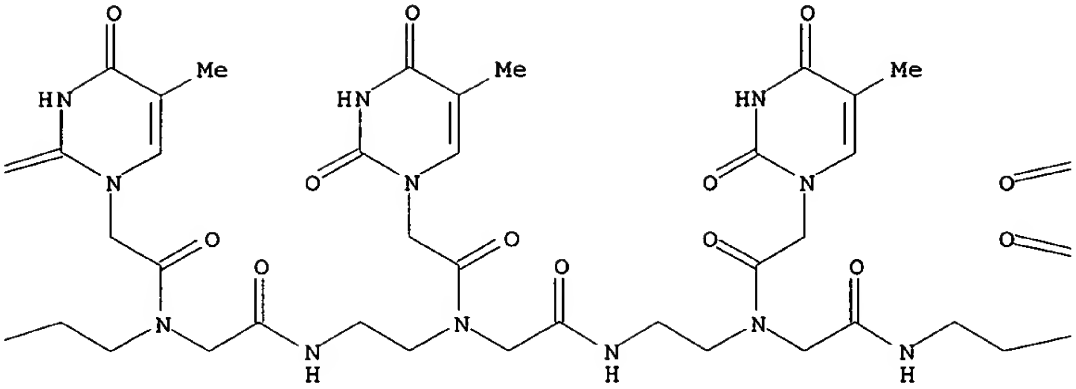
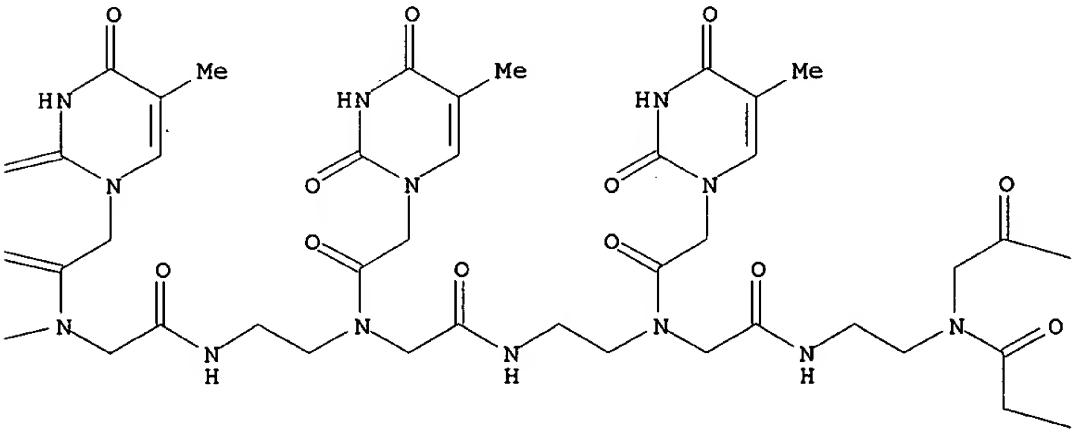


PAGE 1-C



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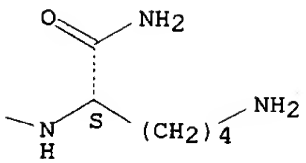
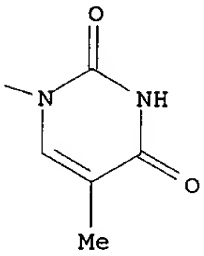




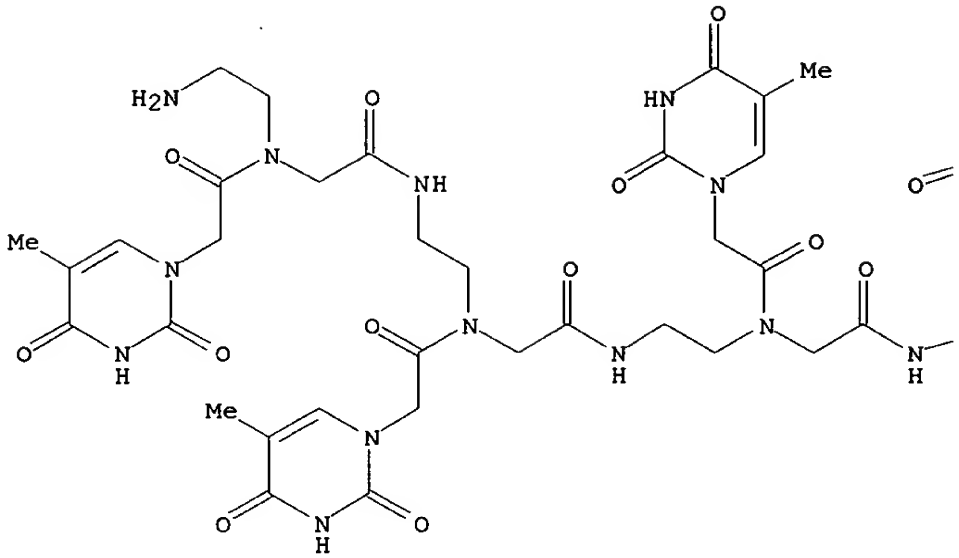
L11 ANSWER 18 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1997:372280 CAPLUS
DOCUMENT NUMBER: 127:105717
TITLE: Extended DNA-recognition repertoire of peptide nucleic acid (PNA): PNA-dsDNA triplex formed with cytosine-rich homopyrimidine PNA
AUTHOR(S): Wittung, Pernilla; Nielsen, Peter; Norden, Bengt
CORPORATE SOURCE: Department of Physical Chemistry, Chalmers University of Technology, Sweden, S-41296, Swed.
SOURCE: Biochemistry (1997), 36(26), 7973-7979
CODEN: BICHAW; ISSN: 0006-2960
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Peptide nucleic acid (PNA) is an oligonucleotide mimic in which the backbone of DNA has been replaced by a pseudopeptide. Thymine-rich homopyrimidine PNA oligomers have been found to recognize double-stranded DNA targets by displacement of the pyrimidine DNA strand and forming an internal Watson-Crick-Hoogsteen base-paired PNA(pyr)-DNA(pu)-PNA(pyr) triplex. We here show that cytosine-rich homopyrimidine PNA sequences instead add to double-stranded polynucleotide targets as Hoogsteen strands forming PNA(pyr)-DNA(pu)-DNA(pyr) triplexes. Furthermore, PNA strands with homopurine or alternating thymine-guanine sequences are shown to invade their resp. DNA targets by displacing the identical DNA strands of the polynucleotides and forming new PNA-DNA duplexes. These results indicate distinct mechanistic variations as to how PNA interacts with a DNA target depending on choice of nucleobases, which could be of importance for future design of gene-specific diagnostic or therapeutic agents.

IT **139166-85-1**
RL: BPR (Biological process); BIOL (Biological study); PROC (Process)
(extended DNA-recognition repertoire of peptide nucleic acid (PNA): PNA-dsDNA triplex formed with cytosine-rich homopyrimidine PNA)
RN 139166-85-1 CAPLUS
CN Peptide nucleic acid, (H-T-T-T-T-T-T-T-T-T-T)-L-lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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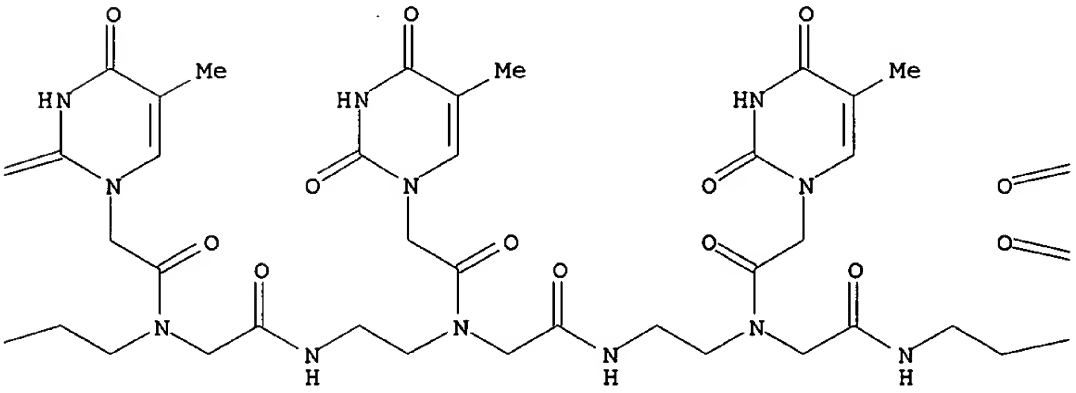

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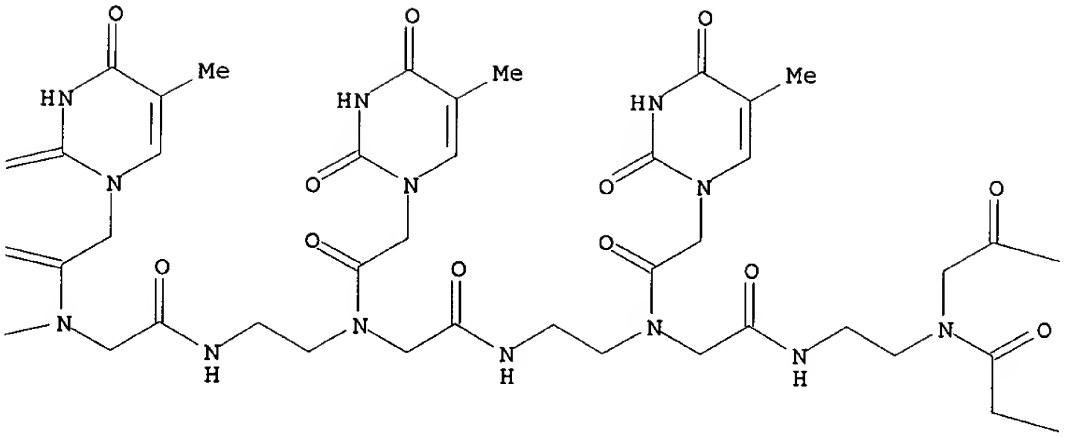

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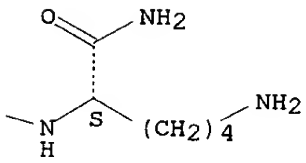
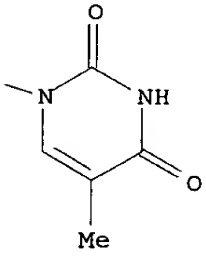




L11 ANSWER 19 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1997:356548 CAPLUS
DOCUMENT NUMBER: 126:326433
TITLE: a FISH method for detecting and quantifying multiple copies of a repeat sequence in a nucleic acid molecule in a single cell
INVENTOR(S): Lansdorp, Peter
PATENT ASSIGNEE(S): Lansdorp, Peter, Can.
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

Searched by Barb O'Bryen, STIC 308-4291

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9714026	A2	19970417	WO 96-CA676	19961010
WO 9714026	A3	19970724		

W: CA, JP, US
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

EP 870055	A2	19981014	EP 96-932411	19961010

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

PRIORITY APPLN. INFO.:		
US 95-5590	19951012	
US 95-7616	19951128	
WO 96-CA676	19961010	

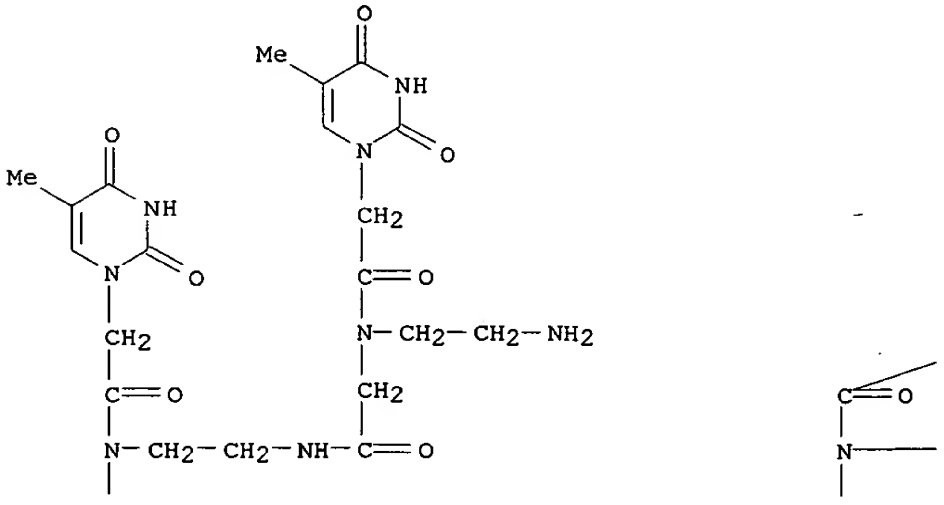
AB A hybridization method for detecting or quantifying multiple copies of a repeat sequence in a nucleic acid mol. using a labeled hybridization probe is described. The method is preferably used for quantitating multiple copies of a repeat sequence in a nucleic acid mol., preferably a telomere or centromere repeat sequence. The preferred label is a fluorescent group and quantitation is by quant. fluorimtery. Novel probes for use in the method of the invention and kits are described. Using FITC-labeled peptide nucleic acid probes, telomeres of sister chromatids showed similar fluorescence, but fluorescence levels depended upon the chromosome. Fluorescence intensity also dropped with the no. of cell divisions that the cell had gone through.

IT **189444-15-3D**, oligomers, conjugates
RL: ARG (Analytical reagent use); PRP (Properties); ANST (Analytical study); USES (Uses)
(hybridization probe; FISH method for detecting and quantifying multiple copies of repeat sequence in nucleic acid mol. in single cell)

RN 189444-15-3 CAPLUS

CN Peptide nucleic acid, (H-T-T-A-G-G-G)-OH (9CI) (CA INDEX NAME)

PAGE 1-A



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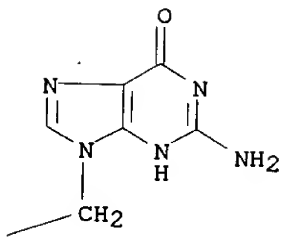

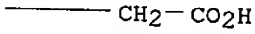

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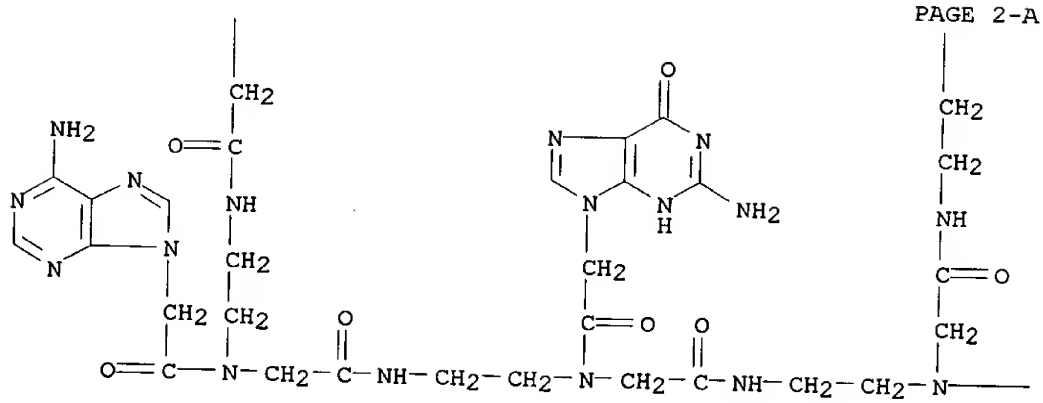

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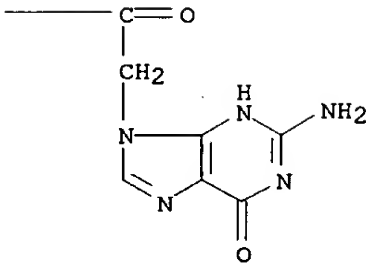


L11 ANSWER 20 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1997:219832 CAPLUS
DOCUMENT NUMBER: 126:305772
TITLE: New hetero-oligomeric peptide nucleic acids with improved binding properties to complementary DNA
AUTHOR(S): Jordan, Stephan; Schwemler, Christoph; Kosch, Winfried; Kretschmer, Axel; Stropp, Udo; Schwenner, Eckhardt; Mielke, Burkhard
CORPORATE SOURCE: Bayer AG, Central Research, Leverkusen, D-51368, Germany
SOURCE: Bioorg. Med. Chem. Lett. (1997), 7(6), 687-690
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

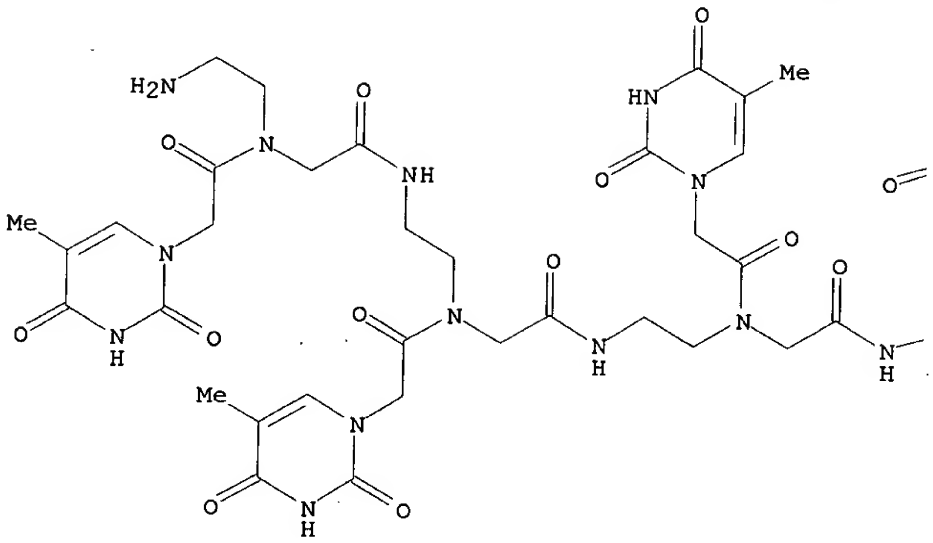
AB Hetero-oligomeric PNAs consisting of new monomeric building blocks L-trans-I, L-cis-I, D-trans-I, II, and III (X = O) and various amts. of N-(2-aminoethyl)glycine (IV) have been synthesized by solid-phase chem. Some of these new compds. show stronger binding to complementary DNA than the original PNAs, and are consequently very interesting candidates as antisense compds. for applications in therapy and in diagnostics.

IT **139166-84-0P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of new hetero-oligomeric peptide nucleic acids with improved binding properties to complementary DNA)

RN 139166-84-0 CAPLUS
CN Peptide nucleic acid, (H-T-T-T-T-T-T-T-T)-L-lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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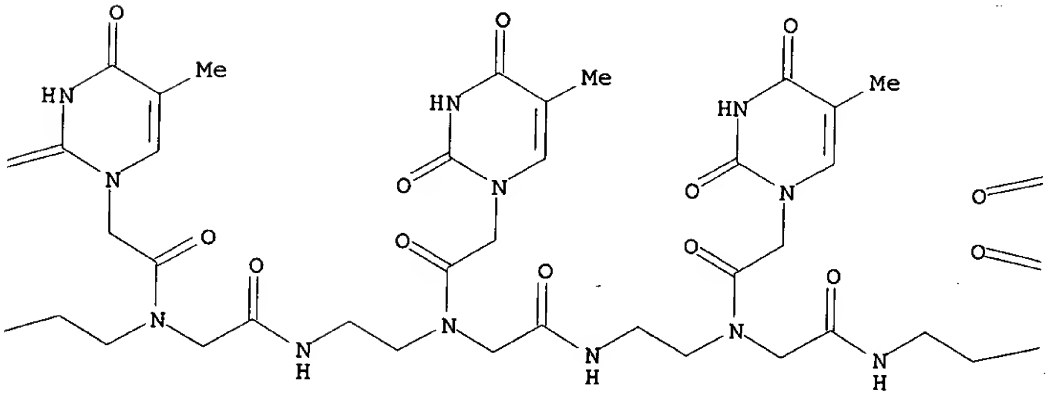

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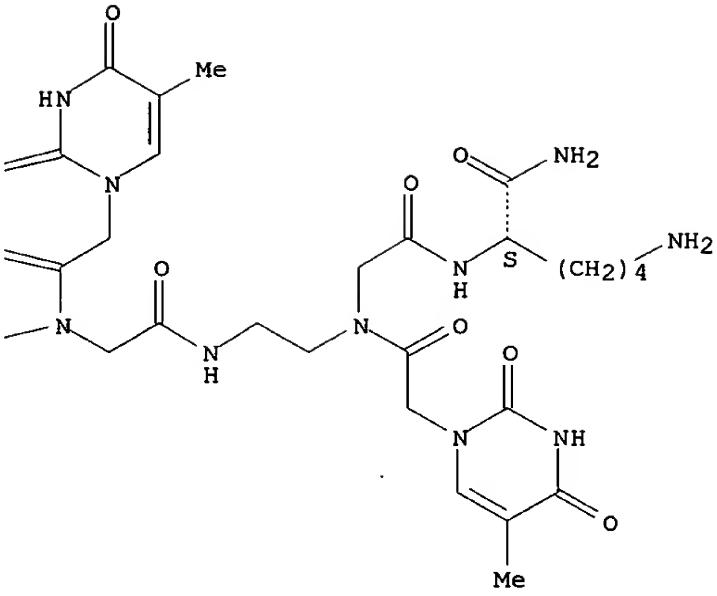
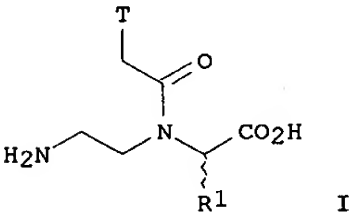


L11 ANSWER 21 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1996:595198 CAPLUS
DOCUMENT NUMBER: 125:329308
TITLE: Peptide nucleic acids (PNAs) containing thymine monomers derived from chiral amino acids: hybridization and solubility properties of D-lysine PNA
AUTHOR(S): Haaima, Gerald; Lohse, Anders; Buchardt, Ole; Nielsen, Peter E.
CORPORATE SOURCE: Dep. of Medical Biochemistry and GEnetics, The Panum Institute, Copenhagen, DK-2200, Den.
SOURCE: Angew. Chem., Int. Ed. Engl. (1996), 35(17), 1939-1941
CODEN: ACIEAY; ISSN: 0570-0833
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB The syntheses of PNA (peptide nucleic acid) monomers from D- and L-lysine, D- and L-serine, D-glutamic acid, L-aspartic acid and L-isoleucine with thymine as the nucleobase are reported, as well as the prepn. of PNA decamers contg. such monomers. The synthetic route employed a modified Merrifield solid-phase methodol. and the synthesized decamer sequence was H-GTxAGATxCACTx-(Lys)-NH2, where Tx represents the new monomer I (R1 = amino acid side chain; T = thymine) and (Lys) signifies Lys is present or

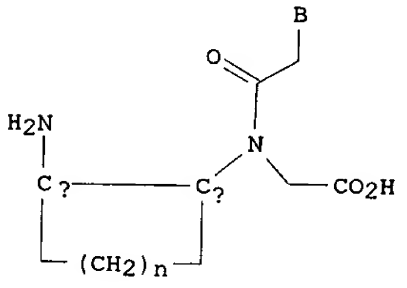
absent from the decamer. The thermal stabilities of the complexes between the new PNA oligomers and complementary DNA or RNA oligomers were measured. The results clearly showed that replacing glycine units in the PNA backbone with chiral amino acids effected a moderate loss of stability. As far as DNA hybridization potency, neg. charged amino acid side chains from Asp and Glu decreased it whereas a pos. charged side chain from Lys had a beneficial effect upon it. The authors have demonstrated that PNAs with functionalized backbones retain strong DNA and RNA hybridization properties.

IT **180688-38-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of peptide nucleic acid oligomers from chiral amino acids and study of their hybrids with DNAs and RNAs)
RN 180688-38-4 CAPLUS

L11 ANSWER 22 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1996:534869 CAPLUS
DOCUMENT NUMBER: 125:196392
TITLE: Preparation of peptide nucleic acid incorporating a chiral backbone
INVENTOR(S): Nielsen, Peter E.; Buchardt, Ole; Lagriffoul, Pierre
PATENT ASSIGNEE(S): Buchardt, Dorte, Den.
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9620212	A2	19960704	WO 95-IB1169	19951228
WO 9620212	A3	19960926		
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9647297	A1	19960719	AU 96-47297	19951228
PRIORITY APPLN. INFO.:			US 94-366231	19941228
			WO 95-IB1169	19951228

OTHER SOURCE(S): MARPAT 125:196392
GI



I

AB A novel class of peptide nucleic acid monomers I (B = nucleobase, n = 0-3, C.alpha. and/or C.beta. is S configuration) are synthesized having

chirality in the backbone. Peptide nucleic acid and oligomers are synthesized to incorporate these chiral monomers.

IT **180688-38-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of peptide nucleic acid incorporating a chiral backbone)
RN 180688-38-4 CAPLUS

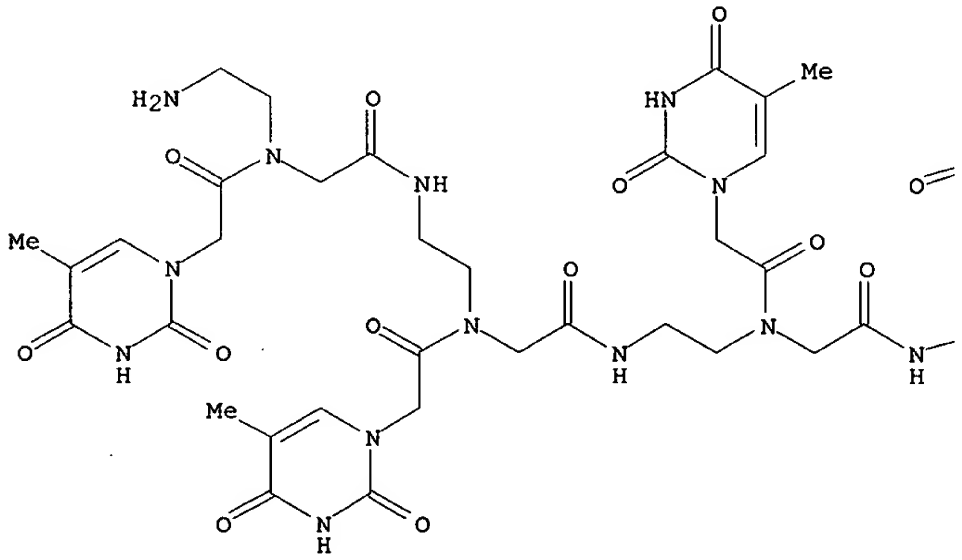
L11 ANSWER 23 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1996:508642 CAPLUS
Correction of: 1996:190218
DOCUMENT NUMBER: 125:168639
Correction of: 124:344062
TITLE: Synthesis of polyamide nucleic acids (PNAs) using a novel Fmoc/Mmt protecting-group combination
AUTHOR(S): Breipohl, G.; Knolle, J.; Langner, D.; O'Malley, G.; Uhlmann, E.
CORPORATE SOURCE: Central Pharma Res., Hoechst AG, Frankfurt, 65926, Germany
SOURCE: Bioorg. Med. Chem. Lett. (1996), 6(6), 665-670
CODEN: BMCLE8; ISSN: 0960-894X
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The prepn. of 9-fluorenylmethoxycarbonyl (Fmoc) protected building blocks for the synthesis of polyamide nucleic acids (PNAs) is described. Use of 4-methoxyphenyldiphenylmethyl (Mmt)-protecting groups for the exocyclic amino function of the nucleobases enhances the soly. of the monomers and allows final deprotection by mild acid treatment. The novel synthetic route is exemplified by the synthesis of heptameric and octameric PNAs.

IT **139166-84-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of peptide nucleic acids using a novel fluorenylmethoxycarbonyl and monomethoxytrityl protecting group combination)
RN 139166-84-0 CAPLUS
CN Peptide nucleic acid, (H-T-T-T-T-T-T-T-T)-L-lys-NH2 (9CI) (CA INDEX NAME)

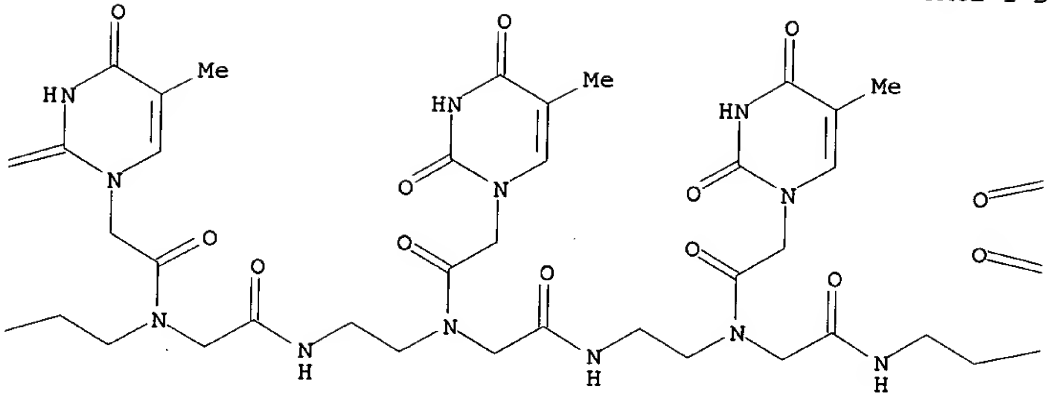
Absolute stereochemistry.

PAGE 1-A



Searched by Barb O'Bryen, STIC 308-4291

PAGE 1-B



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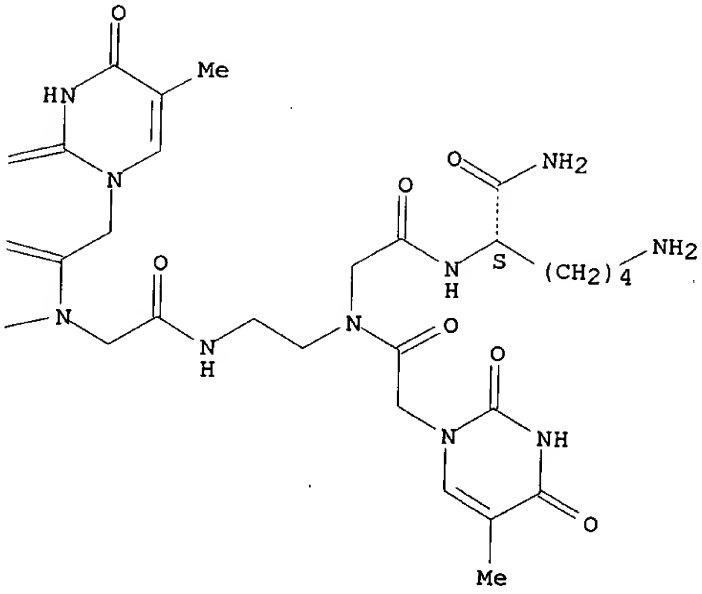

L11 ANSWER 24 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1996:464490 CAPLUS
DOCUMENT NUMBER: 125:143323
TITLE: Synthesis of peptide nucleic acids (PNAs) and analogs via a submonomer approach
INVENTOR(S): Richter, Lutz S.; Zuckermann, Ronald N.; Horn, Thomas
PATENT ASSIGNEE(S): Chiron Corporation, USA
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 9615143	A1	19960523	WO 95-US14821	19951113
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2203565	AA	19960523	CA 95-2203565	19951113
AU 9641593	A1	19960606	AU 96-41593	19951113
EP 792283	A1	19970903	EP 95-939958	19951113
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 10509947	T2	19980929	JP 95-516293	19951113
PRIORITY APPLN. INFO.:			US 94-340073	19941114
			WO 95-US14821	19951113

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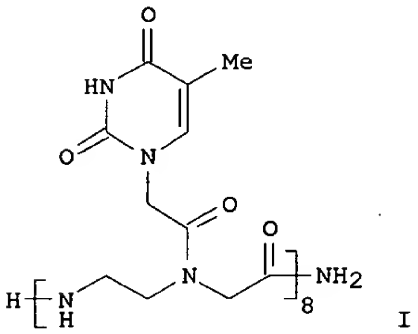


I

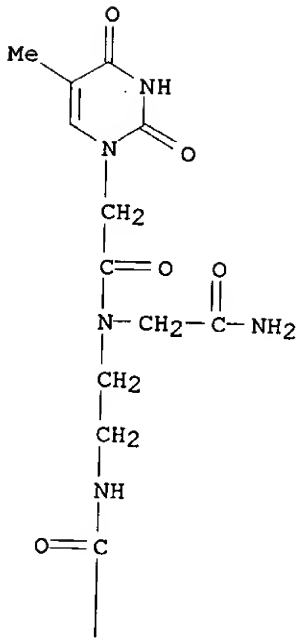
AB Methods of synthesizing peptide nucleic acids (PNAs) and analogs are disclosed. One method comprises steps (a) providing a submonomer acylating agent L-Ra-CO-Y (L = leaving group, Ra = backbone linker, Y = carbonyl protecting group or solid support Ps) (b) displacing leaving group L with diamine Pd-N(R)-Rd-NH2 (Pd = protecting group, Rd = backbone linker) to give secondary amine Pd-N(R)-Rd-NH-Ra-CO-Ps, (c) further N-alkylation on the secondary nitrogen with nucleobase submonomer Rn-Linker-CO-Y (Rn = nucleobase altered nucleobase, unnatural nucleobase) to give tertiary amide Pd-N(R)-Rd-N(CO-Linker-Rn)-Ra-CO-Ps. PNA oligomers and polymers may be prepd. by repeating steps (b) and (c) using the same or different building blocks. Thus, oligothymine octamer I was prepd. using a benzhydrylamine-linked [alanyl(aminomethyl)]polystyrene resin, bromoacetic acid, 4-MeOC6H4CH2O2CNHCH2CH2NH2, and carboxymethylthymine. The PNA:DNA mixt. of I and a decamer of oligoadenine had Tm = 58.degree., as compared to Tm < 20.degree. for the corresponding oligothymine-oligoadenine DNA duplex.

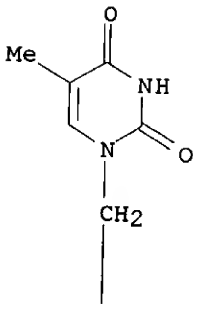
IT **142611-63-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of peptide nucleic acids and analogs via a submonomer approach)

RN 142611-63-0 CAPLUS

CN 3,6,9,12,15,18,21,24,27,30,33,36,39,42,45-Pentadecaazaheptatetracosanamide, 45-(2-aminoethyl)-47-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-3,9,15,21,27,33,39-heptakis[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-7,13,19,25,31,37,43,46-octaoxo- (9CI) (CA INDEX NAME)

PAGE 1-A





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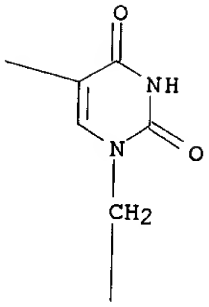
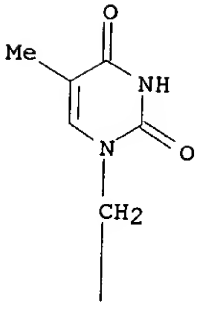
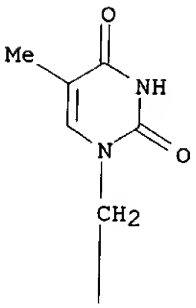
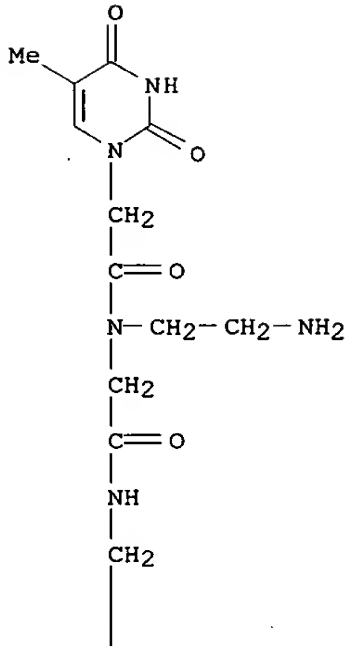
PAGE 1-B



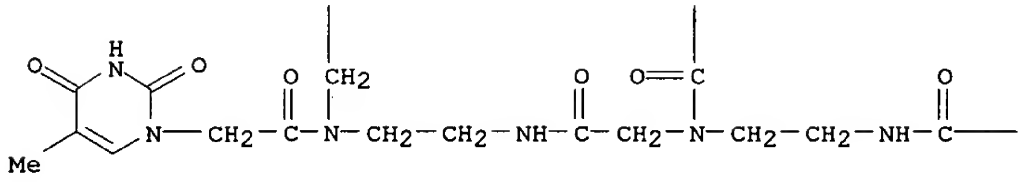




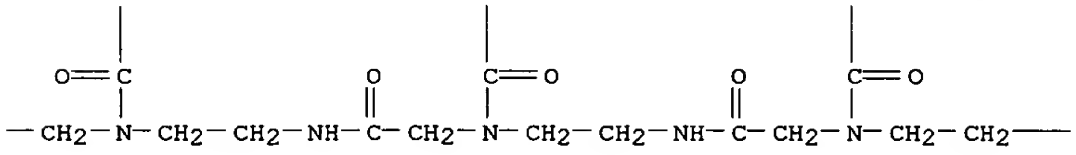
PAGE 1-C



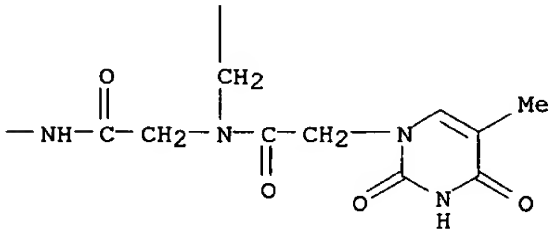
PAGE 2-A



PAGE 2-B



PAGE 2-C



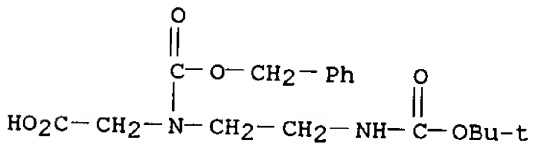
L11 ANSWER 25 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1996:455767 CAPLUS
DOCUMENT NUMBER: 125:115148
TITLE: Synthesis of peptide nucleic acid conjugates
INVENTOR(S): Nielsen, Peter; Egholm, Michael; Buchardt, Ole; Sonnechsen, Soren Holst; Lohse, Jesper; Manoharan, Muthiah; Kiely, John; Griffith, Michael; Sprankle, Kelly
PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., USA; Buchardt, Dorte
SOURCE: PCT Int. Appl., 196 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9611205	A1	19960418	WO 95-US12931	19951006
W: AL, AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9539994	A1	19960502	AU 95-39994	19951006
EP 804456	A1	19971105	EP 95-938726	19951006
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
JP 10503524	T2	19980331	JP 95-512669	19951006
PRIORITY APPLN. INFO.:			US 94-319411	19941006
			WO 95-US12931	19951006

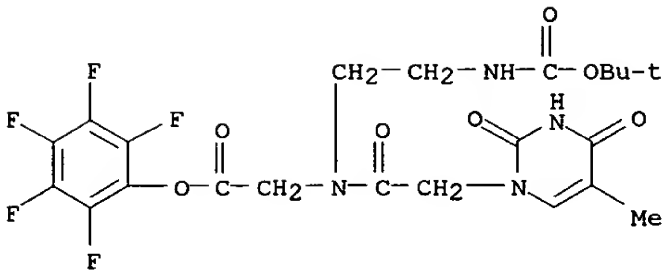
AB Synthesis of a novel class of peptide nucleic acids is reported. The peptide nucleic acids generally comprise ligands such as naturally occurring DNA bases attached to a peptide backbone through a suitable linker.

IT **34046-07-6P 139166-81-7P**
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation)
(synthesis of peptide nucleic acid conjugates)

RN 34046-07-6 CAPLUS
CN Glycine, N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-N-[(phenylmethoxy)carbonyl]- (9CI) (CA INDEX NAME)



RN 139166-81-7 CAPLUS
CN Glycine, N-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-, pentafluorophenyl ester (9CI) (CA INDEX NAME)



L11 ANSWER 26 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1996:265320 CAPLUS
DOCUMENT NUMBER: 124:317794
TITLE: Peptide nucleic acids and bis-peptide nucleic acids containing C-pyrimidines and isopyrimidines
INVENTOR(S): Egholm, Michael; Nielsen, Peter; Buchardt, Ole; Dueholm, Kim L.; Christensen, Leif; Coull, James M.; Kiely, John; Griffith, Michael
PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., USA; Perseptive Biosystems; Buchardt, Dorte
SOURCE: PCT Int. Appl., 115 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

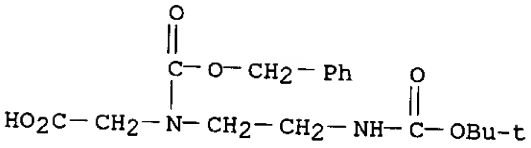
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9602558	A1	19960201	WO 95-US9084	19950713
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TT, UA				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9531967	A1	19960216	AU 95-31967	19950713
EP 773950	A1	19970521	EP 95-928084	19950713
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 10503759	T2	19980407	JP 95-505245	19950713
PRIORITY APPLN. INFO.:			US 94-275951	19940715
			WO 95-US9084	19950713

AB Novel peptide nucleic acids and novel linked peptide nucleic acids, form triple stranded structures with nucleic acids. The peptide nucleic acids include ligands such as naturally occurring nucleobases attached to a peptide backbone through a suitable linker. Other nucleobases including C-pyrimidines and iso-pyrimidines can be used as the ligands in Hoogsteen strands to increase binding affinity. Two peptide nucleic acid strands are joined together with a linker to form a bis-peptide nucleic acid. The individual strands of the peptide nucleic acids in the bis compds. can be oriented either parallel or antiparallel to each other.

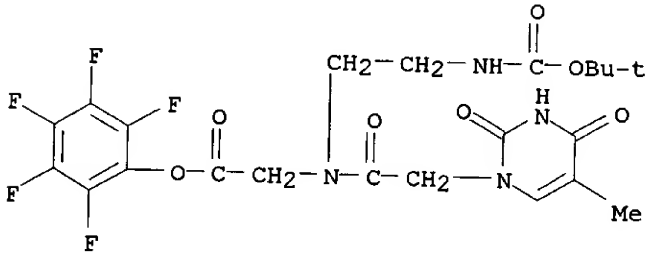
IT **34046-07-6P 139166-81-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(peptide nucleic acids and bis-peptide nucleic acids contg. C-pyrimidines and isopyrimidines)

RN 34046-07-6 CAPLUS
CN Glycine, N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-N-

[(phenylmethoxy)carbonyl]- (9CI) (CA INDEX NAME)



RN 139166-81-7 CAPLUS
CN Glycine, N-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-, pentafluorophenyl ester (9CI) (CA INDEX NAME)



L11 ANSWER 27 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1996:190218 CAPLUS
DOCUMENT NUMBER: 124:344062
TITLE: Synthesis of polyamide nucleic acids (PNAs) using a novel Fmoc/Mmt protecting-group combination
AUTHOR(S): Breipohol, G.; Knolle, J.; Langner, D.; O,Malley, G.; Uhlmann, E.
CORPORATE SOURCE: Central Pharma Research, Hoechst AG, Frankfurt, 65926, Germany
SOURCE: Bioorg. Med. Chem. Lett. (1996), 6(6), 665-70
CODEN: BMCLE8; ISSN: 0960-894X
DOCUMENT TYPE: Journal
LANGUAGE: English

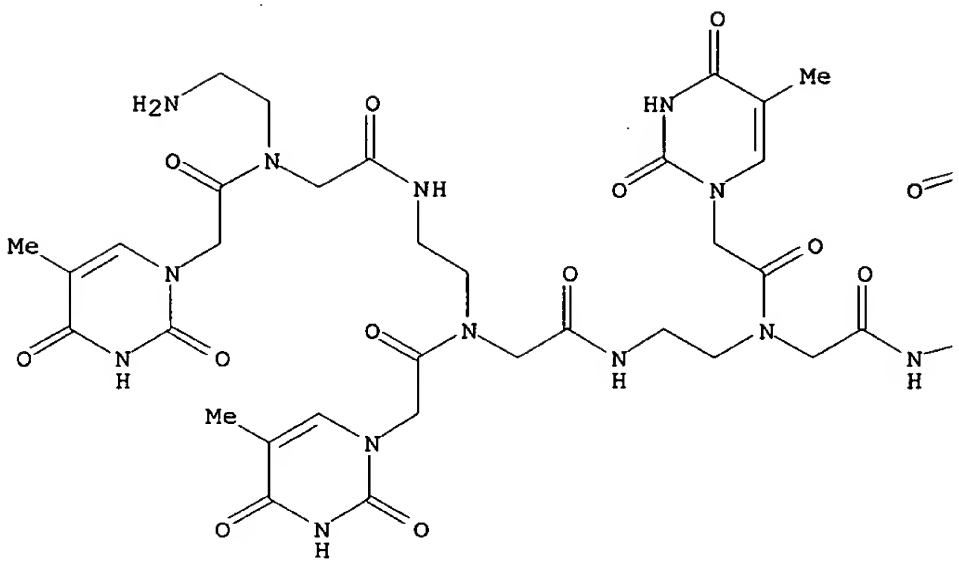
AB The prepn. of 9-fluorenylmethoxycarbonyl (Fmoc) protected building blocks for the synthesis of polyamide nucleic acids (PNAs) is described. Use of 4-methoxyphenyldiphenylmethyl (Mmt)-protecting groups for the exocyclic amino function of the nucleobases enhances the soly. of the monomers and allows final deprotection by mild acid treatment. The novel synthetic route is exemplified by the synthesis of heptameric and octameric PNAs.

IT **139166-84-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of peptide nucleic acids using a novel fluorenylmethoxycarbonyl and monomethoxytrityl protecting group combination)

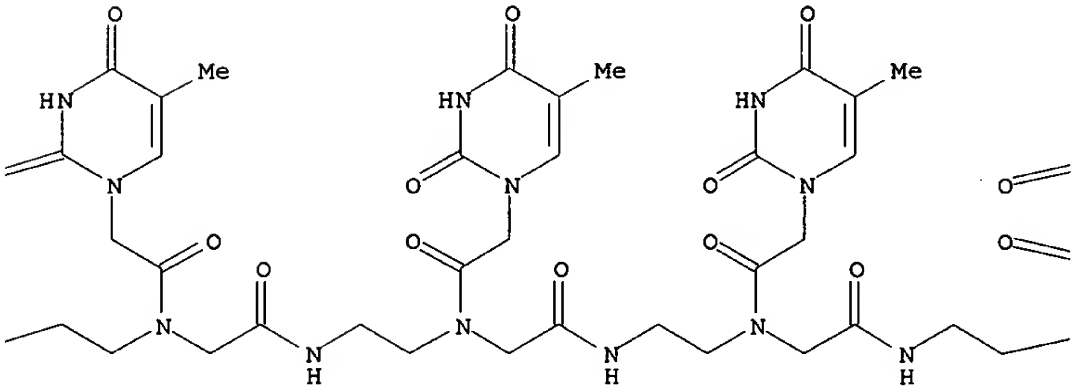
RN 139166-84-0 CAPLUS
CN Peptide nucleic acid, (H-T-T-T-T-T-T-T-T)-L-lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

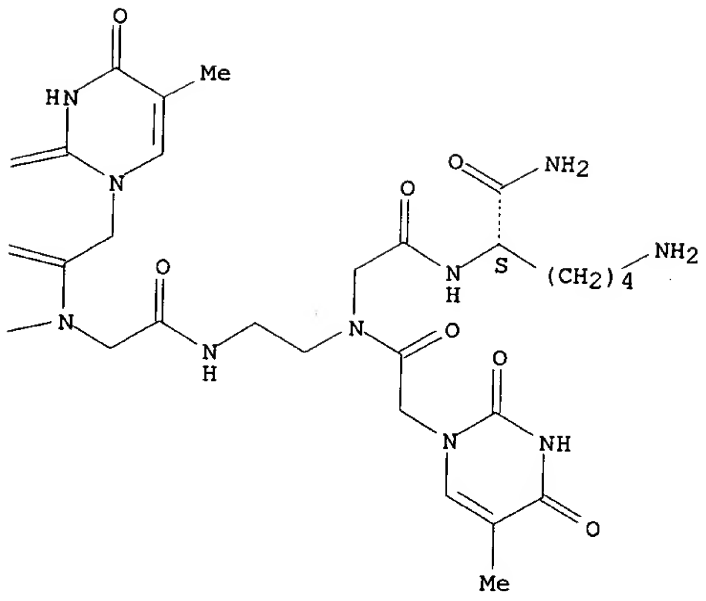
PAGE 1-A



PAGE 1-B



PAGE 1-C



L11 ANSWER 28 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1996:161948 CAPLUS
DOCUMENT NUMBER: 124:311481
TITLE: Separation of "uncharged" oligodeoxynucleotide analogs by anion-exchange chromatography at high pH
AUTHOR(S): Schmidt, Juergen G.; Nielsen, Peter E.; Orgel, Leslie E.
CORPORATE SOURCE: Salk Inst. Biol. Studies, San Diego, CA, 92186-5800, USA
SOURCE: Anal. Biochem. (1996), 235(2), 239-41
CODEN: ANBCA2; ISSN: 0003-2697
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Peptide nucleic acid (PNA) oligomers of the type PNA-Lys-NH2 were sepd. by anion-exchange chromatog. on an RPC-5 column using 20 mM NaOH and 1 mM Tris-HClO4 in water as the A buffer and 20 mM NaOH and 1 mM Tris-HClO4, and 0.1 M NaClO4 as the B buffer. Stock solns. of PNA oligomers contg. about 5 x 10-3 ODU/.mu.L at 254 nm were prepd. Sample mixts. for anal. contained 1 .mu.L of each relevant stock soln. in 1 mL total vol. Components of mixts. sepd. principally on the basis of charge (the no. of G and T residues). However, the replacement of T by G resulted in an increased retention time. The sepn. method is probably restricted to oligomers contg. 4 or more ionizable residues. A pair of oligomers with the same base compn. but different sequences was resolved successfully. HPLC at alk. pH is not a useful technique for the purifn. of unmodified PNAs. PHA rearranges slowly at neutral pH and more rapidly under alk. conditions via the attack of the main-chain terminal amino group on the carbonyl function of the adjacent side chain.

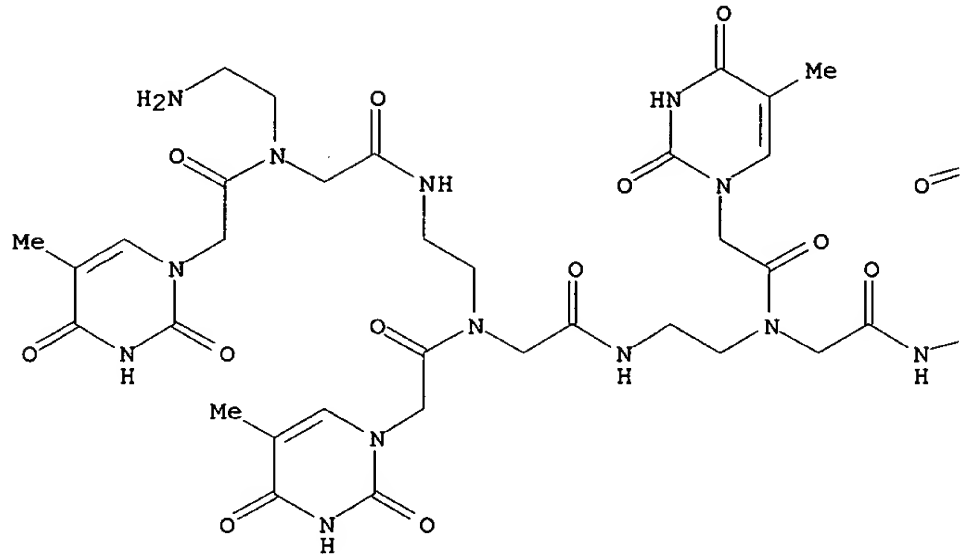
IT **149376-88-5P 158097-23-5P 162876-58-6P 176026-19-0P**
RL: ANT (Analyte); PEP (Physical, engineering or chemical process); PUR (Purification or recovery); ANST (Analytical study); PREP (Preparation); PROC (Process)
(sepn. of "uncharged" oligodeoxynucleotide analogs by anion-exchange chromatog. at high pH)

RN 149376-88-5 CAPLUS

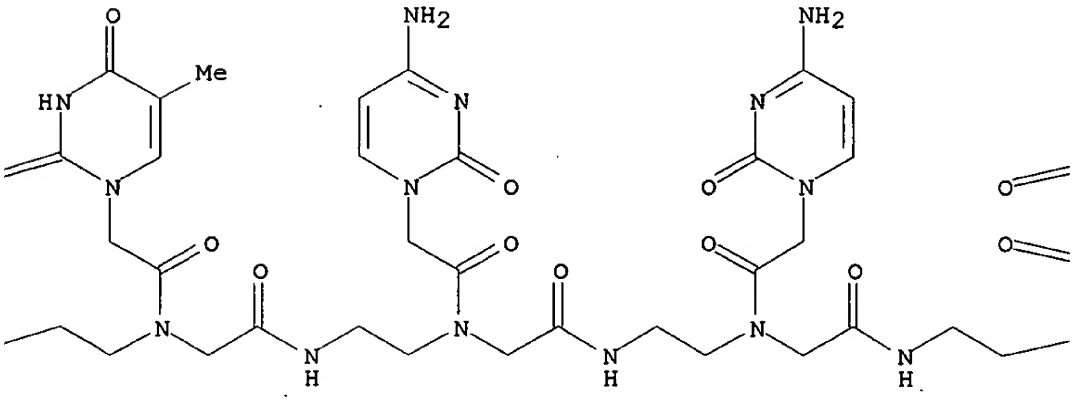
CN Peptide nucleic acid, (H-T-T-T-T-C-C-T-C-T-C)-L-lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

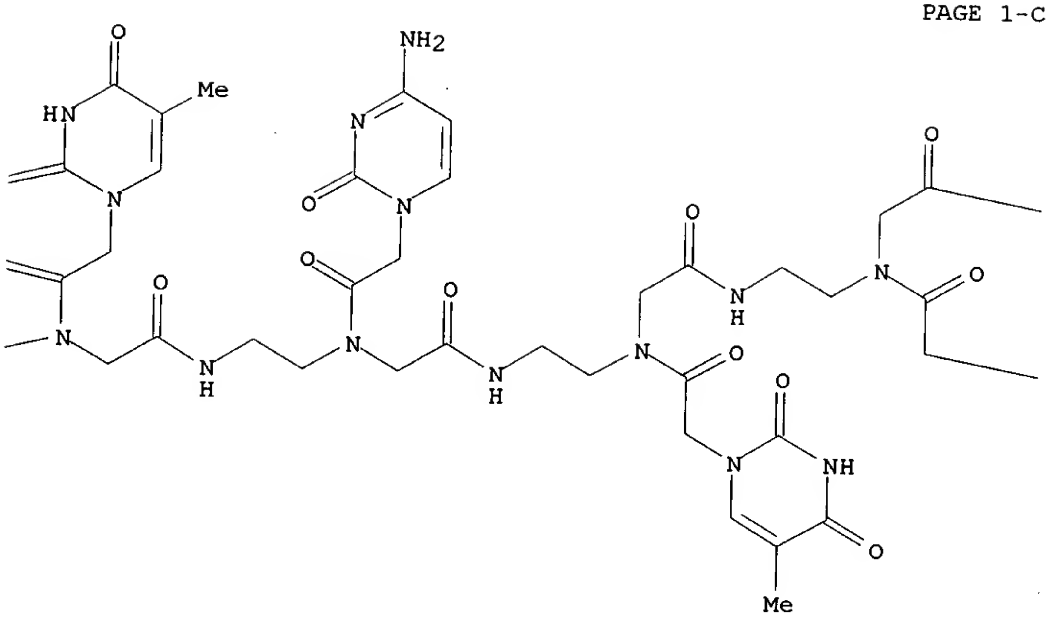
PAGE 1-A



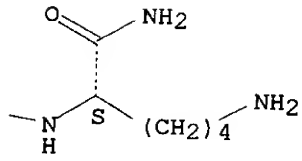
PAGE 1-B

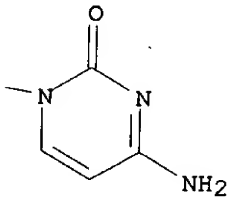


PAGE 1-C



PAGE 1-D

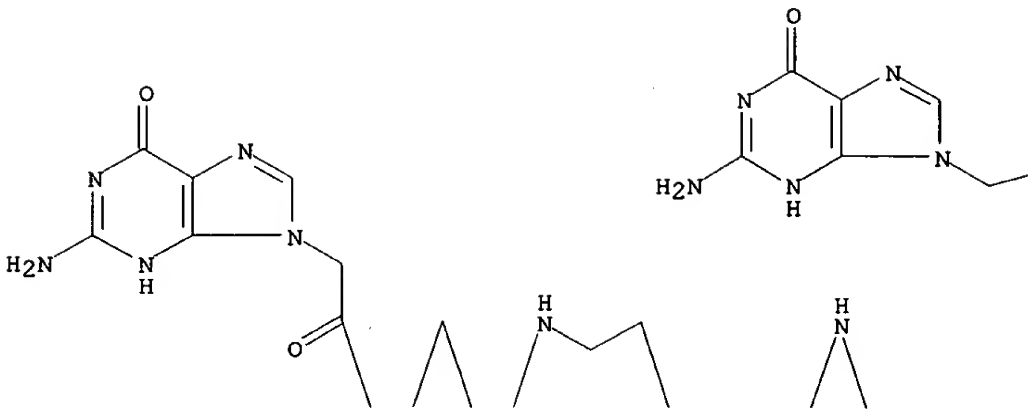




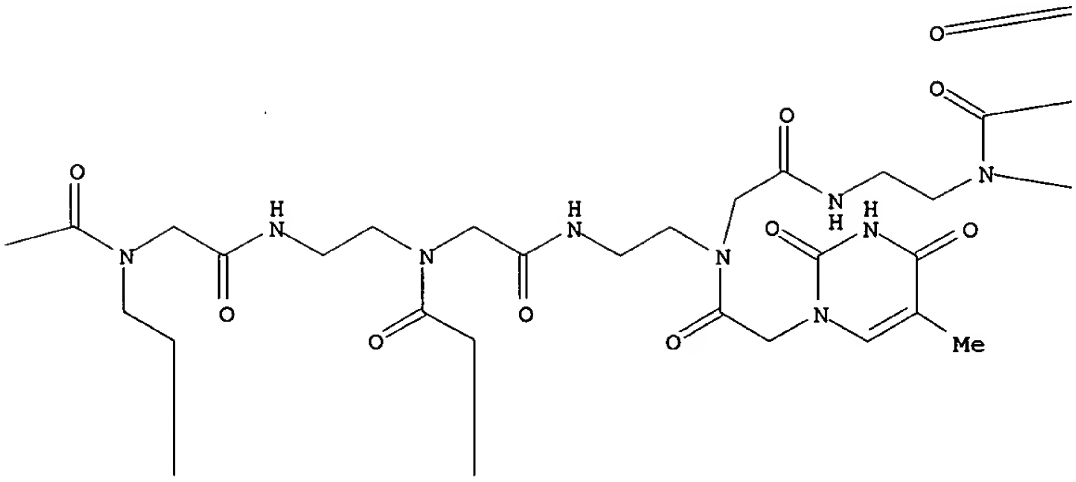
RN 158097-23-5 CAPLUS
CN Peptide nucleic acid, (H-G-T-A-G-A-T-C-A-C-T)-L-lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

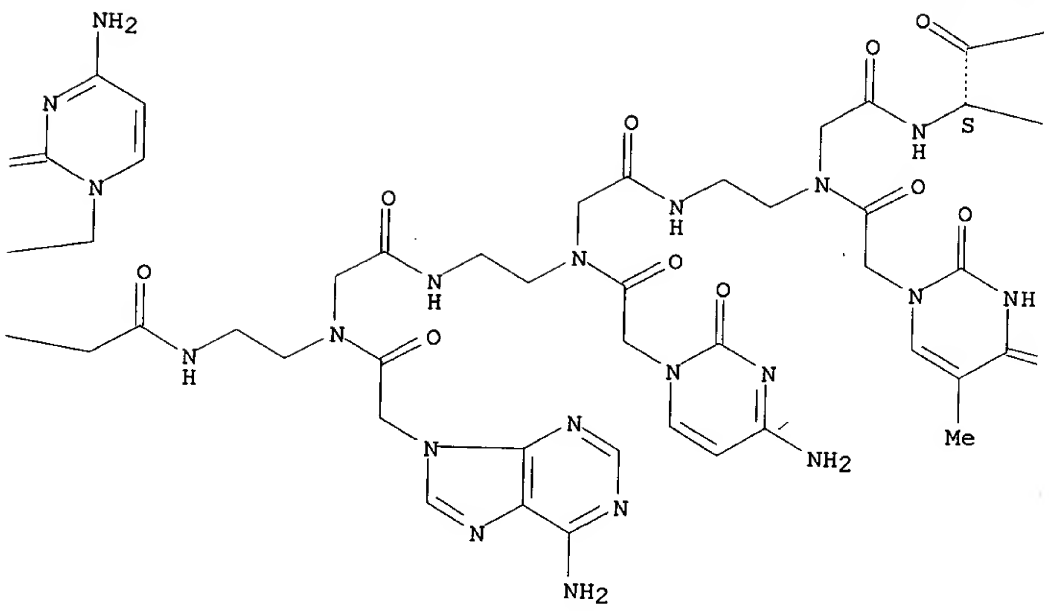
PAGE 1-A



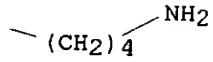
PAGE 1-B

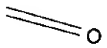


PAGE 1-C

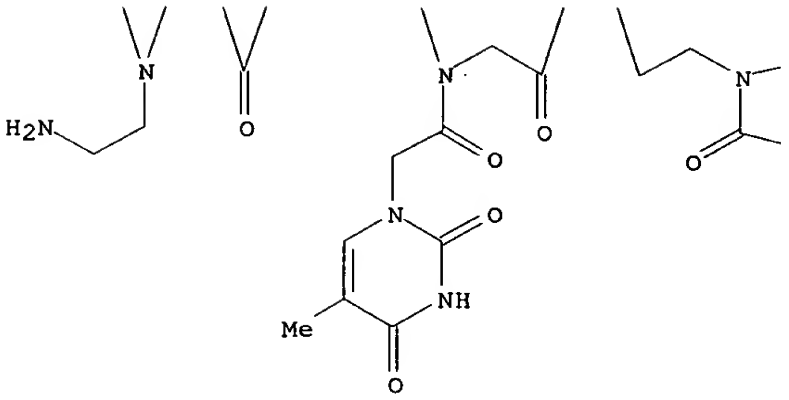


PAGE 1-D

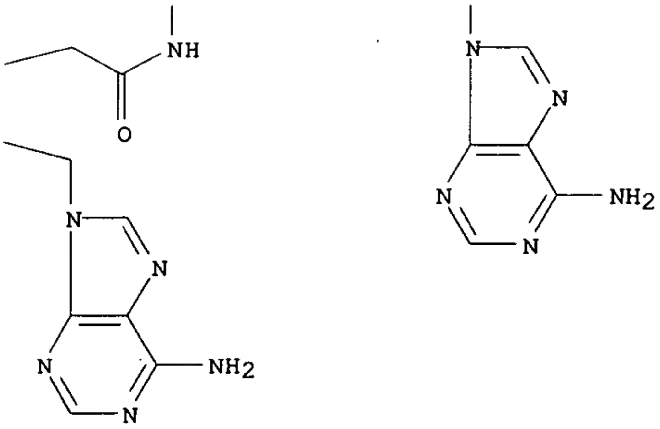




PAGE 2-A



PAGE 2-B



RN 162876-58-6 CAPLUS
RN 176026-19-0 CAPLUS

L11 ANSWER 29 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1996:108346 CAPLUS
DOCUMENT NUMBER: 124:282134
TITLE: Antisense properties of duplex- and triplex-forming PNAs
AUTHOR(S): Knudsen, Helle; Nielsen, Peter E.
CORPORATE SOURCE: Department Medical Biochemistry Genetics, Panum Institute, Copenhagen, DK-2200, Den.
SOURCE: Nucleic Acids Res. (1996), 24(3), 494-500
CODEN: NARHAD; ISSN: 0305-1048
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The potential of peptide nucleic acids (PNAs) as specific inhibitors of translation was studied. PNAs with a mixed purine/pyrimidine sequence form duplexes, whereas homopyrimidine PNAs form (PNA)2/RNA triplexes with complementary sequences on RNA. Neither of these PNA/RNA structures are substrates for RNase H. Translation expts. performed in cell-free exts. showed that a 15mer duplex-forming RNA blocked translation in a dose-dependent manner when the target was 5'-proximal to the AUG start codon on the RNA, whereas similar 10-, 15- or 20mer PNAs had no effect when targeted towards sequences in the coding region. Triplex-forming

10mer PNAs were efficient and specific antisense agents with a target overlapping the AUG start codon and caused arrest of ribosome elongation with a target positioned in the coding region of the mRNA. Furthermore, translation could be blocked with a 6mer bisPNA or with a clamp PNA, forming partly a triplex, partly a duplex, with its target sequence in the coding region of the mRNA.

IT **162876-58-6**
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(antisense properties of duplex- and triplex-forming PNAs)
RN 162876-58-6 CAPLUS

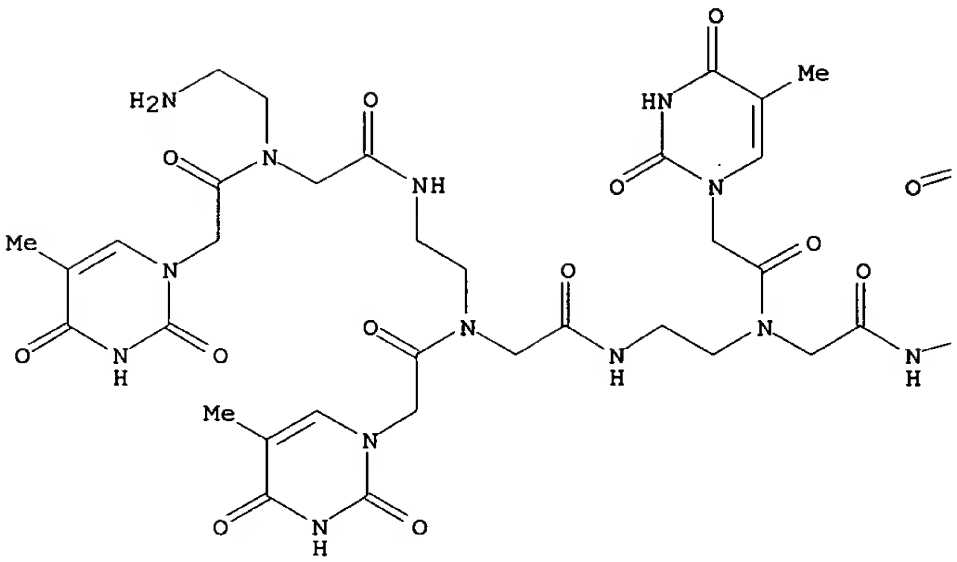
L11 ANSWER 30 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1996:106784 CAPLUS
DOCUMENT NUMBER: 124:168813
TITLE: Strand displacement binding of a duplex-forming homopurine PNA to a homopyrimidine duplex DNA target
AUTHOR(S): Nielsen, Peter E.; Christensen, Leif
CORPORATE SOURCE: Center for Biomolecular Recognition, Panum Institute, Copenhagen, DK-2200, Den.
SOURCE: J. Am. Chem. Soc. (1996), 118(9), 2287-8
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A homopurine PNA (H-AAAAGGAGAG-LysNH2) is shown by diethylpyrocarbonate and permanganate probing to bind a complementary double stranded DNA target via strand displacement. Furthermore, it is found that this PNA forms a duplex (and not a triplex) with a complementary oligonucleotide (5'-d(CTCTCCTTTT)) with a Tm of 69.degree., which is comparable to the thermal stability obsd. for decameric PNA2-DNA triplexes. These results indicate that general PNA strand invasion by mixed purine-pyrimidine sequence (duplex forming) PNA should be possible using PNAs that bind their single strand targets more strongly.

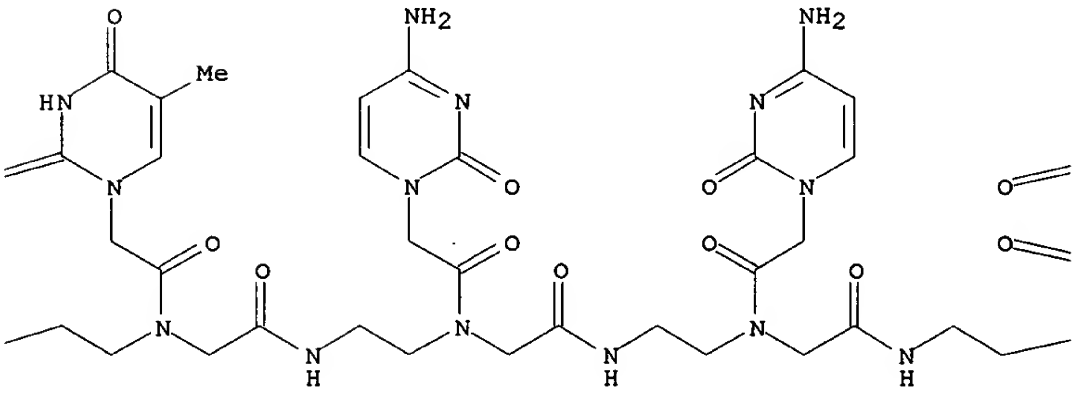
IT **149376-88-5**
RL: BPR (Biological process); BIOL (Biological study); PROC (Process)
(strand displacement binding of duplex-forming homopurine peptide-nucleic acid (PNA) to homopyrimidine duplex DNA target and other PNAs)
RN 149376-88-5 CAPLUS
CN Peptide nucleic acid, (H-T-T-T-T-C-C-T-C-T-C)-L-lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

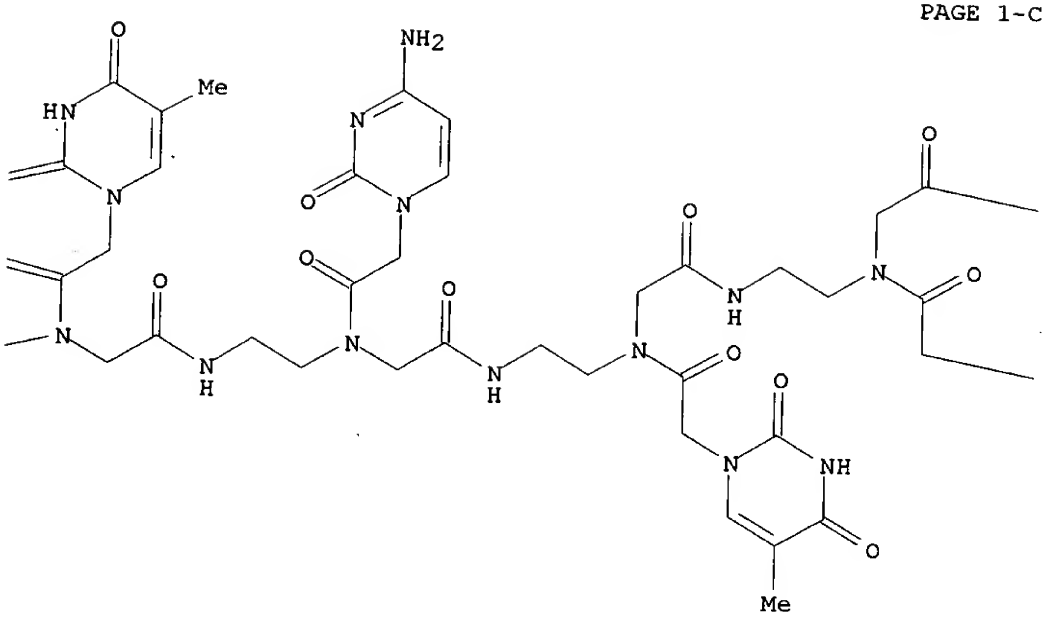
PAGE 1-A



PAGE 1-B



PAGE 1-C



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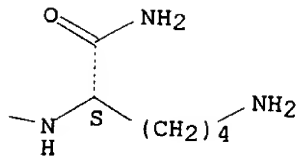
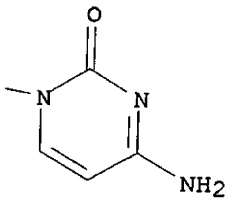




L11 ANSWER 31 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1995:994427 CAPLUS
DOCUMENT NUMBER: 124:87804
TITLE: Peptide nucleic acid synthesis using a base labile amino protecting group.
INVENTOR(S): Breipohl, Gerhard Dr; Uhlmann, Eugen Dr; Knolle, Jochen Dr
PATENT ASSIGNEE(S): Hoechst A.-G., Germany
SOURCE: Eur. Pat. Appl., 31 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
Searched by Barb O'Bryen, STIC 308-4291

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 672701	A1	19950920	EP 95-103319	19950308
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
DE 4408533	A1	19950928	DE 94-4408533	19940314
FI 9501129	A	19950915	FI 95-1129	19950310
AU 9514800	A1	19950921	AU 95-14800	19950310
AU 683714	B2	19971120		
NO 9500958	A	19950915	NO 95-958	19950313
CA 2144473	AA	19950915	CA 95-2144473	19950313
JP 07291909	A2	19951107	JP 95-54641	19950314
PRIORITY APPLN. INFO.:			DE 94-4408533	19940314

AB RAk[NHCH2CH2N(COCH2B)CH2CO]nQlQ1 (R = H, alkanoyl, alkoxycarbonyl, cycloalkanoyl, aroyl, heteroaroyl, group which promotes intracellular uptake or interacts with target nucleic acids; A, Q = amino acid residue; Q1 = OH, amino; B = nucleobase or prodrug form thereof; l = 0-20; n = 1-50), were prepd. by solid phase synthesis. Thus, H-[Aeg(T)]8-Lys-NH2 [Aeg(T) = N-(2-aminoethyl)-N-[(1-thyminyl)acetyl]glycyl] was prepd. by coupling of FMOC-Lys(BOC)-OH and FMOC-Aeg(T)-OH (prepn. given) on 5-(FMOC-amino-4-methoxybenzyl)-2,4-dimethoxyphenylpropionic acid-derivatized aminomethylpolystyrene resin using an activator soln. of PyBOP (PyBOP = benzotriazolyl-1-oxytripyrrolidiniophosphonium hexafluorophosphate) in DMF, NEM (N-ethylmorpholine) in DMF as base for activation, and 20% piperidine in DMF for deprotection.

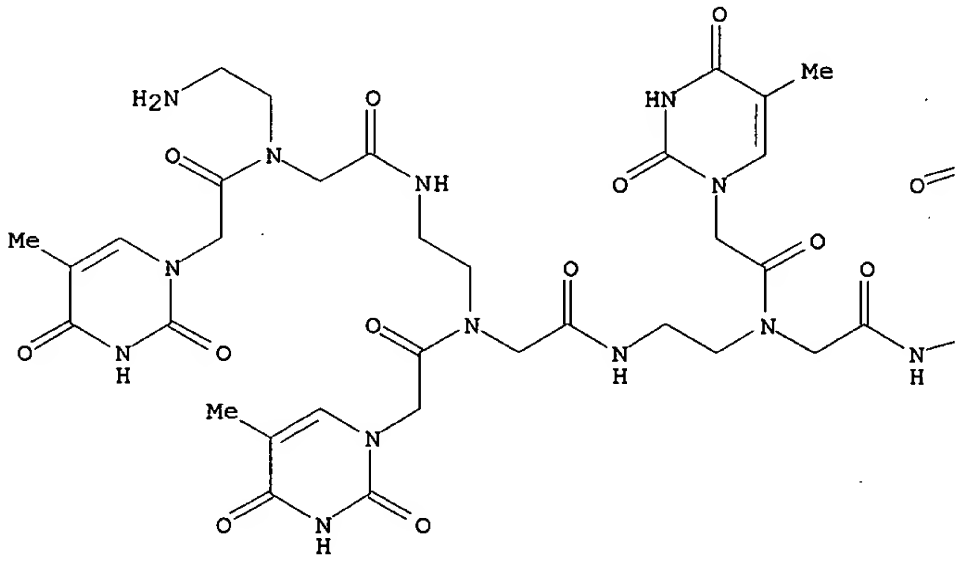
IT **139166-84-0P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(peptide nucleic acid synthesis using a base labile amino protecting group)

RN 139166-84-0 CAPLUS

CN Peptide nucleic acid, (H-T-T-T-T-T-T-T-T)-L-lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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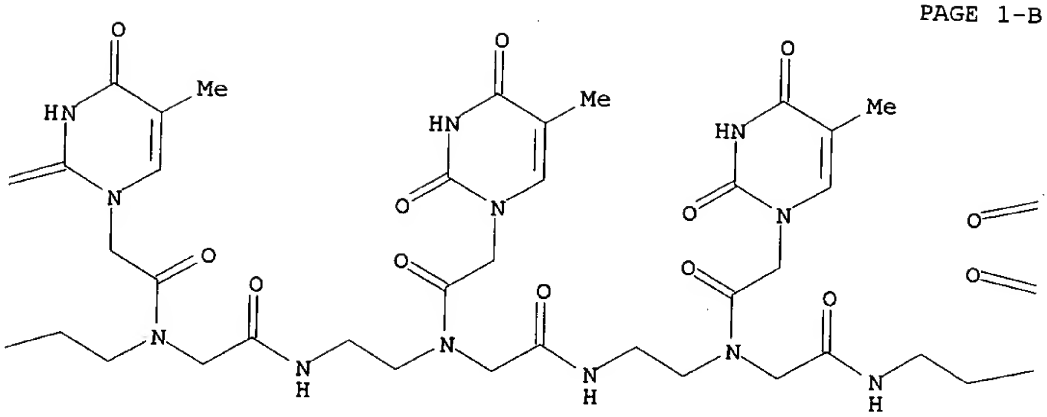

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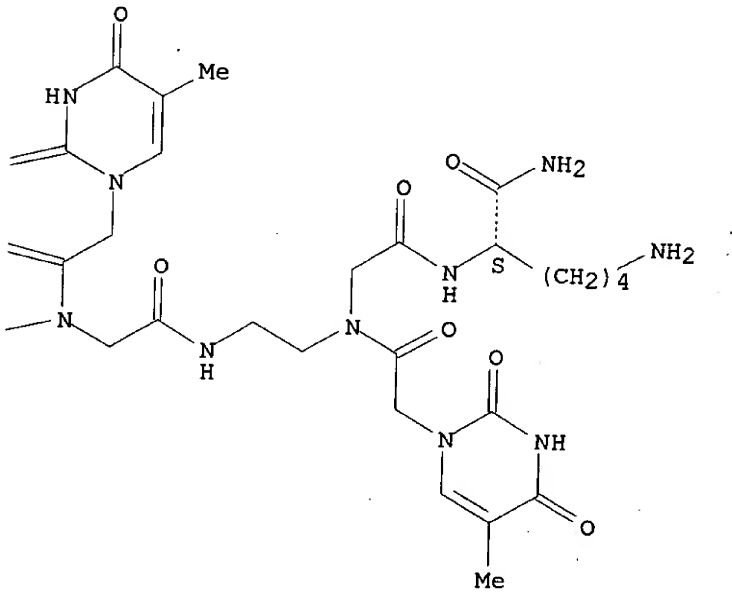


L11 ANSWER 32 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1995:979018 CAPLUS
DOCUMENT NUMBER: 124:79675
TITLE: Phospholipid membrane permeability of peptide nucleic acid. [Erratum to document cited in CA123:136222]
AUTHOR(S): Wittung, Pernilla; Kajanus, Johan; Edwards, Katherina; Nielsen, Peter; Norden, Bengt; Malmstroem, Bo G.
CORPORATE SOURCE: Department of Physical Chemistry, Chalmers University of Technology, S-41296, Goteborg, S-412 96, Swed.
SOURCE: FEBS Lett. (1995), 375(3), 317-20
CODEN: FEBLAL; ISSN: 0014-5793
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The errors were not reflected in the abstr. or the index entries.
IT **166877-36-7**
RL: BPR (Biological process); BIOL (Biological study); PROC (Process)
(phospholipid membrane permeability of peptide nucleic acid (Erratum))

RN 166877-36-7 CAPLUS

L11 ANSWER 33 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1995:822984 CAPLUS
DOCUMENT NUMBER: 123:228904
TITLE: Method and apparatus for degradation and sequencing of polymers which sequentially eliminate terminal residues
INVENTOR(S): Coull, James M.; Christensen, Leif
PATENT ASSIGNEE(S): Biosearch, Inc., USA
SOURCE: Eur. Pat. Appl., 22 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 639607	A2	19950222	EP 94-112438	19940809
EP 639607	A3	19960703		
EP 639607	B1	19980107		
R: DE, FR, GB				
US 5527675	A	19960618	US 93-109548	19930820
JP 07213298	A2	19950815	JP 94-215243	19940818

PRIORITY APPLN. INFO.: US 93-109548 19930820

AB A method and app. for sequentially degrading at least a portion of a polymer of backbone repeating units, the polymer having a terminal repeating unit comprised of a nucleophile and a backbone carbonyl carbon distance from the nucleophile, comprising the steps of first initiating attack of the nucleophile upon the backbone carbonyl carbon by raising the energy level to activate the said nucleophile for said attack. Secondly, forming a ring comprising the terminal repeating unit, thereby simultaneously releasing the ring and generating a shortened polymer having a terminal repeating unit capable of nucleophile attack upon the backbone carbonyl carbon and, lastly, maintaining the reaction conditions necessary for repeating steps a and b until the portion of the polymer desired is degraded. In a related embodiment, polyamide nucleic acid (PNA) sequences can be detd. by generating a nested set of polymer fragments, each fragment having Nx repeating units (N = total no. of repeating units in the parent polymer; x = no. of degrdn. cycles the fragment has been subjected to), and then analyzing the nested set of polymer fragments to det. the polymer sequence. An app. embodying the method of sequential degrdn. is also described and an app. schematic is presented. The anal. may accomplished using matrix-assisted laser desorption time-of-flight mass spectroscopy.

IT **168535-52-2**
RL: ANT (Analyte); BPR (Biological process); PRP (Properties); ANST (Analytical study); BIOL (Biological study); PROC (Process)
(method and app. for degrdn. and sequencing of polymers which sequentially eliminate terminal residues)

RN 168535-52-2 CAPLUS

L11 ANSWER 34 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1995:596442 CAPLUS
DOCUMENT NUMBER: 123:136222
TITLE: Phospholipid membrane permeability of peptide nucleic acid
AUTHOR(S): Wittung, Pernilla; Kajanus, Johan; Edwards, Katarina; Nielsen, Peter; Norden, Bengt; Malmstroem, Bo G.
CORPORATE SOURCE: Department of Physical Chemistry, Chalmers University

SOURCE: of Technology, Goteborg, S-412 96, Swed.
FEBS Lett. (1995), 365(1), 27-9
CODEN: FEBLAL; ISSN: 0014-5793
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Phospholipid vesicles (liposomes) as membrane models have been used to study the penetration properties of peptide nucleic acid (PNA), a new DNA analog in which the nucleobases are attached to a pseudo-peptide backbone. The liposomes were characterised by carboxyfluorescein efflux, light-scattering and cryogenic transmission electron microscopy. The liposome structure was not affected by the incorporation of PNA or an oligonucleotide. Two 10-mer fluorescein-labeled PNAs were found to have low efflux rates (half-times of 5.5 and 11 days), comparable to a 10-mer oligonucleotide (half-time of 7 days). We conclude that passive diffusion of unmodified PNA is not an effective way of transport into biol. cells.

IT **166877-36-7**
RL: BPR (Biological process); BIOL (Biological study); PROC (Process)
(phospholipid membrane permeability of peptide nucleic acid)

RN 166877-36-7 CAPLUS

L11 ANSWER 35 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1995:496928 CAPLUS
DOCUMENT NUMBER: 123:50310
TITLE: Raman spectroscopic studies of some biochemically relevant molecules
AUTHOR(S): Colaianni, S. E. May; Aubard, J.; Hansen, S. Hoime; Nielsen, O. Faurskov
CORPORATE SOURCE: Chemical Institute, H.C. Orsted Institute, Copenhagen University, Universitetsparken 5, Copenhagen, DK-2100, Den.
SOURCE: Vib. Spectrosc. (1995), 9(1), 111-20
CODEN: VISPEK; ISSN: 0924-2031
DOCUMENT TYPE: Journal
LANGUAGE: English

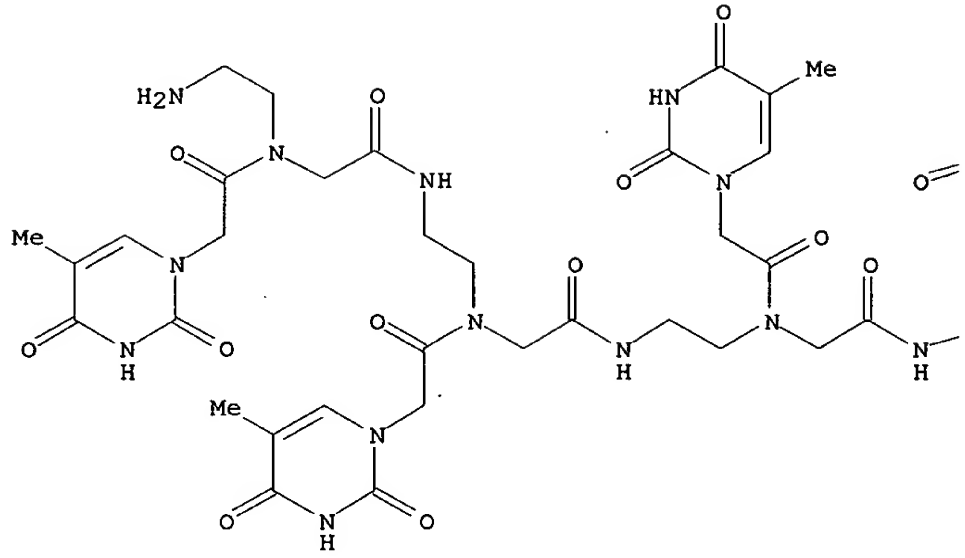
AB Near-IR (NIR) Raman spectra of the protein aprotinin, in both powder form and aq. solns., are presented. The amide I and amide III bands give information about the secondary structure. The conformation around the sulfur bridges and the environment of tyrosine were also studied. Due to the low scattering efficiency, only aq. solns. in the concn. range 2-20% (wt./wt.) were used. Use of a windowless cell improved the quality of the spectra, as compared to spectra obtained with quartz cells. Fluorescence can be a serious problem in Raman studies of biol. relevant mols. Some examples are shown, which illustrate that the use of NIR excitation can frequently eliminate this fluorescence. Heating effects give rise to serious problems with excitation at 1064 nm in the NIR-FT-Raman spectrum of some strongly colored macromols., like Hb. To avoid complications due to both heating and fluorescence, an excitation wavelength around 800 nm is suggested. A preliminary surface enhanced Raman (SER) spectrum of a peptide nucleic acid (PNA) in aq. silver colloid soln. is shown. Low-frequency Raman spectra of aprotinin in aq. soln. are presented. The low-frequency limit in the NIR-FT-Raman spectrum is .apprx.80 cm-1. Several models are used to describe the bands assigned to hydrogen bonding in the systems. The low-frequency modes can be of importance for the formation and breaking of hydrogen bonds, and thus may be of importance for biol. activity.

IT **139166-85-1**
RL: PRP (Properties)
(Raman spectroscopic studies of some biochem. relevant mols.)

RN 139166-85-1 CAPLUS
CN Peptide nucleic acid, (H-T-T-T-T-T-T-T-T-T-T)-L-lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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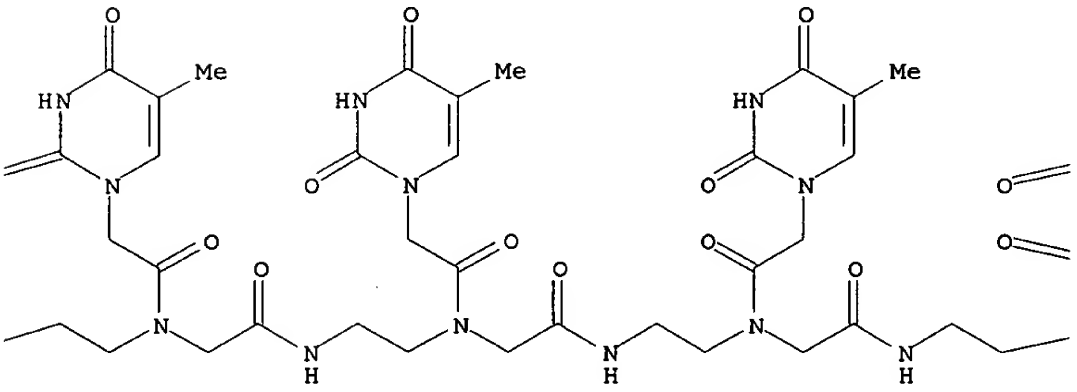

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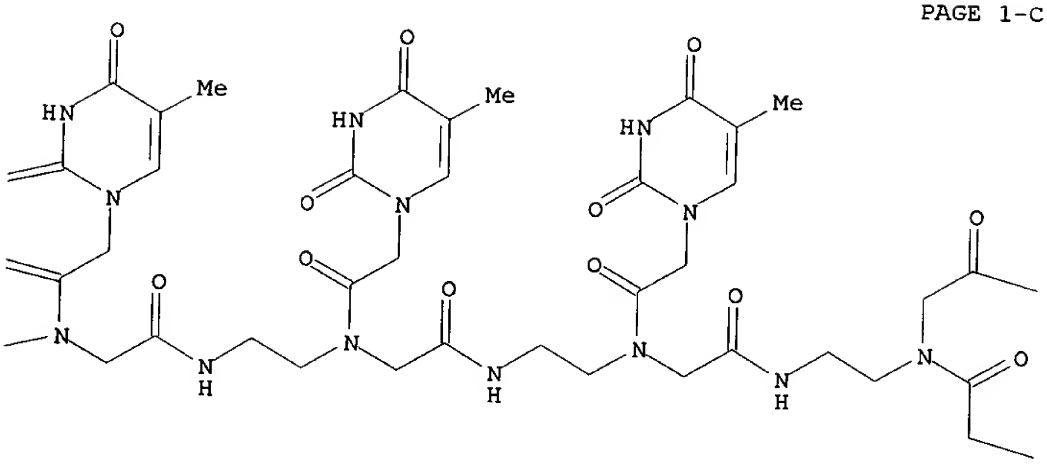

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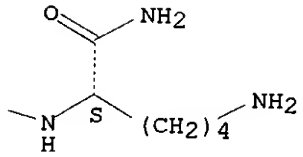
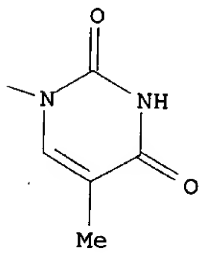




L11 ANSWER 36 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1995:492026 CAPLUS
DOCUMENT NUMBER: 122:232646
TITLE: Peptide nucleic acids and analogs and their use in promotion of nuclease cleavage and modulation of transcription
INVENTOR(S): Buchardt, Ole; Egholm, Michael; Nielsen, Peter E.; Berg, Rolf H.; Ecker, David J.; Mollegaard, Niels E.
PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 139 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
Searched by Barb O'Bryen, STIC 308-4291

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9501370	A1	19950112	WO 94-US7319	19940628
W: CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5641625	A	19970624	US 93-88658	19930702
JP 09503198	T2	19970331	JP 94-503609	19940628
EP 776331	A1	19970604	EP 94-921413	19940628
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
PRIORITY APPLN. INFO.:			US 93-88658	19930702
			US 93-54363	19930426
			WO 94-US7319	19940628

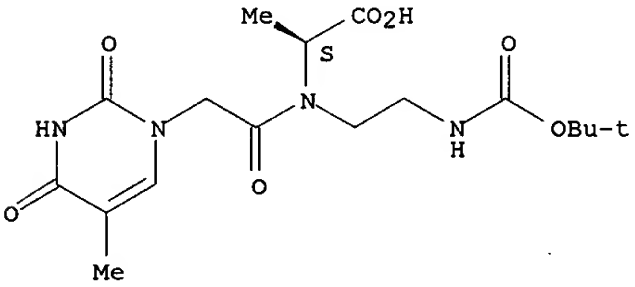
AB Peptide nucleic acids (PNA's) and analogs of peptide nucleic acids are used to form duplex, triplex, and other structures with nucleic acids and to modify nucleic acids. The peptide nucleic acids and analogs thereof also are used to modulate protein activity through, for example, transcriptions arrest, transcription initiation, and site specific cleavage of nucleic acids. Homopyrimidine PNA bound strongly to ssDNA, dsDNA and RNA with 2:1 stoichiometry and effected stable strand displacement complexes with dsDNA. E. coli RNA polymerase bound to the PNA/dsDNA strand displacement complexes and initiated transcription therefrom. Purine-pyrimidine PNA bound to Watson-Crick complementary oligonucleotides, either DNA or RNA, with 1:1 stoichiometry. Efficient transcription arrest was found in both prokaryotic and eukaryotic systems when the PNA was targeted to the transcribed strand.

IT **149376-74-9P 159411-08-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of peptide nucleic acids)

RN 149376-74-9 CAPLUS

CN L-Alanine, N-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]- (9CI) (CA INDEX NAME)

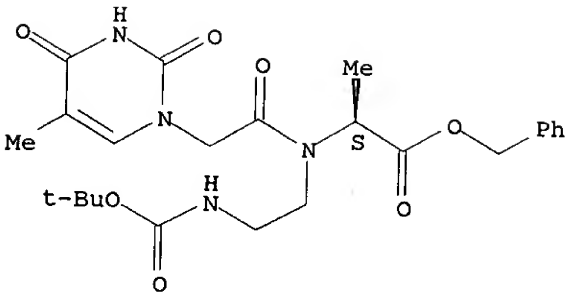
Absolute stereochemistry.



RN 159411-08-2 CAPLUS

CN L-Alanine, N-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 37 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1995:479812 CAPLUS
DOCUMENT NUMBER: 123:136210
TITLE: Kinetics and mechanism of polyamide ("peptide") nucleic acid binding to duplex DNA
AUTHOR(S): Demidov, Vadim V.; Yavnilovich, Michael V.; Belotserkovskii, Boris P.; Frank-Kamenetskii, Maxim D.; Nielsen, Peter E.
CORPORATE SOURCE: Institute of Molecular Genetics, Russian Academy of Sciences, Moscow, 123182, Russia
SOURCE: Proc. Natl. Acad. Sci. U. S. A. (1995), 92(7), 2637-41
CODEN: PNASA6; ISSN: 0027-8424
DOCUMENT TYPE: Journal
LANGUAGE: English

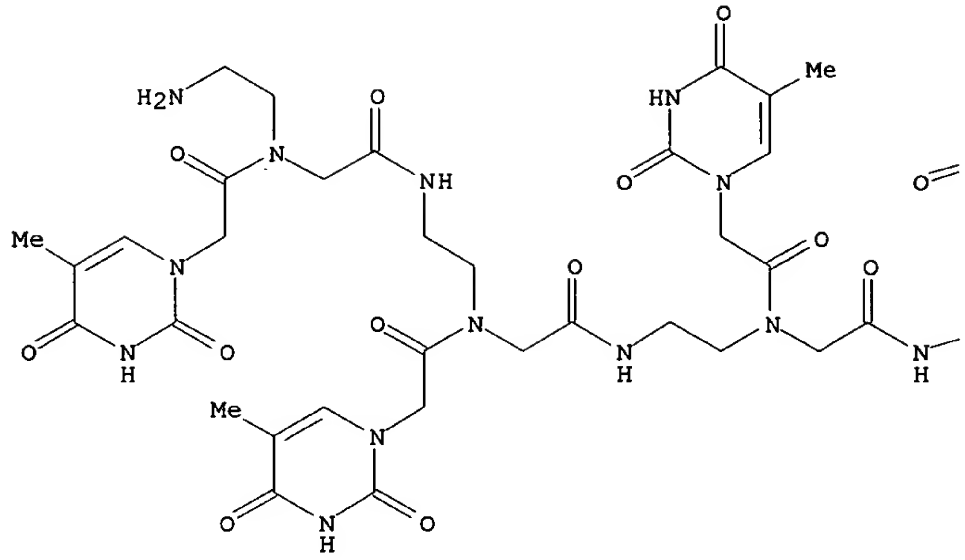
AB To elucidate the mechanism of recognition of double-stranded DNA (dsDNA) by homopyrimidine polyamide (peptide) nucleic acid (PNA) leading to the strand-displacement, the kinetics of the sequence-specific PNA/DNA binding have been studied. The binding was monitored with time by the gel retardation and nuclease S1 cleavage assays. The exptl. kinetic curves obey pseudo-first-order kinetics and the dependence of the pseudo-first-order rate const., kps, on PNA concn., P, obeys a power law kps .apprx. P.gamma. with 2 < .gamma. < 3. The kps values for binding of decamer PNA to dsDNA target sites with one mismatch are hundreds of times slower than for the correct site. A detailed kinetic scheme for PNA/DNA binding is proposed that includes two major steps of the reaction of strand invasion: (i) a transient partial opening of the PNA binding site on dsDNA and incorporation of one PNA mol. with the formation of an intermediate PNA/DNA duplex and (ii) formation of a very stable PNA2/DNA triplex. A simple theor. treatment of the proposed kinetic scheme is performed. The interpretation of our exptl. data in the framework of the proposed kinetic scheme leads to the following conclusions. The sequence specificity of the recognition is essentially provided at the search step of the process, which consists in the highly reversible transient formation of duplex between one PNA mol. and the complementary strand of duplex DNA while the other DNA strand is displaced. This search step is followed by virtually irreversible locking step via PNA2/DNA triplex formation. The proposed mechanism explains how the binding of homopyrimidine PNA to dsDNA meets two apparently mutually contradictory features: high sequence specificity of binding and remarkable stability of both correct and mismatched PNA/DNA complexes.

IT **139166-85-1 162876-58-6 166876-84-2**
RL: BPR (Biological process); BIOL (Biological study); PROC (Process)
(kinetics and mechanism of polyamide-nucleic acid DNA analog binding to duplex DNA)

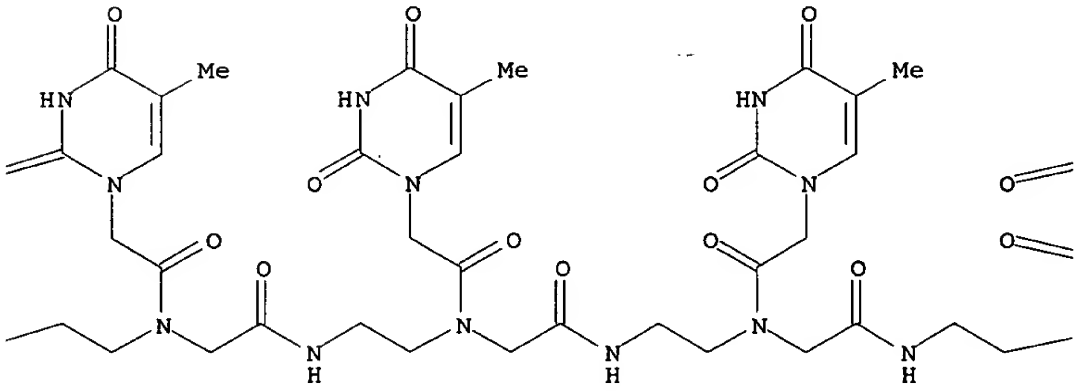
RN 139166-85-1 CAPLUS
CN Peptide nucleic acid, (H-T-T-T-T-T-T-T-T-T-T)-L-lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



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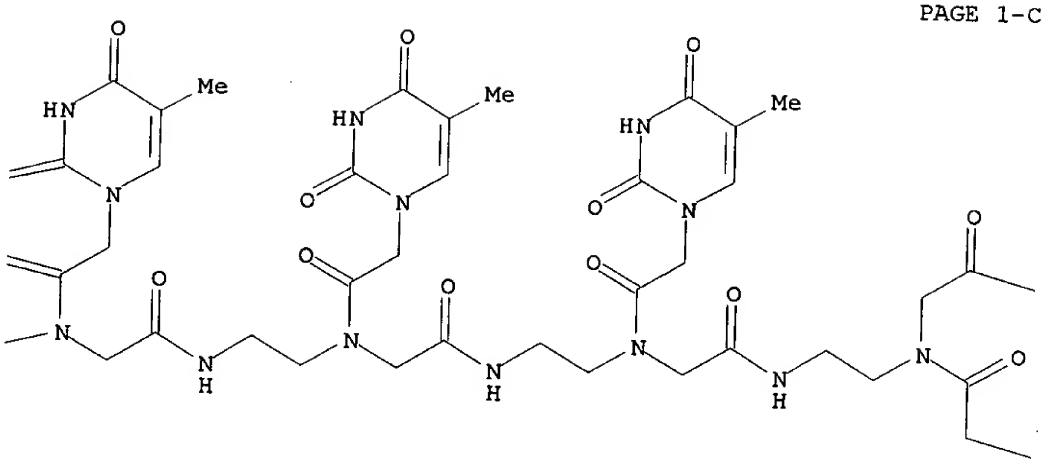

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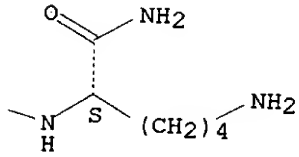
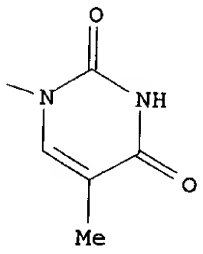




RN 162876-58-6 CAPLUS
RN 166876-84-2 CAPLUS

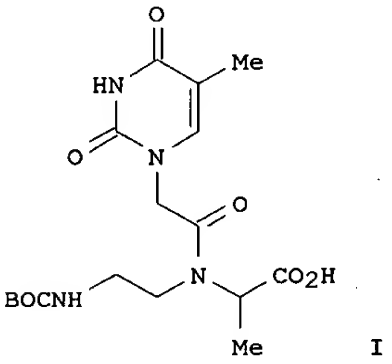
L11 ANSWER 38 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1995:229956 CAPLUS
DOCUMENT NUMBER: 122:284758
TITLE: Evidence for (PNA)2/DNA triplex structure upon binding of PNA to dsDNA by strand displacement
AUTHOR(S): Nielsen, Peter E.; Egholm, Michael; Buchardt, Ole
CORPORATE SOURCE: Center for Biomolecular Recognition, The Panum Institute, Copenhagen, DK-2200, Den.
SOURCE: J. Mol. Recognit. (1994), 7(3), 165-70
CODEN: JMORE4; ISSN: 0952-3499
DOCUMENT TYPE: Journal
LANGUAGE: English
Searched by Barb O'Bryen, STIC 308-4291

AB The binding of PNA (peptide nucleic acid) T2CT2CT4-LysNH2 to the double-stranded DNA target 5'-A2GA2GA4 was studied by KMnO4 and dimethylsulfate (DMS) probing. It is found that upon sequence-specific strand displacement binding of the PNA to the dsDNA target concomitant protection of the N-7 of guanines within the target takes place. It is furthermore shown that the binding of this PNA is more efficient at pH 5.5 than at pH 6.5 and very inefficient at pH 7.5. These results clearly indicate that C+G Hoogsteen base pairing is present and important for binding and that the strand displacement complex therefore involves a PNA.cntdot.DNA-PNA triplex.

IT **162876-58-6**
RL: BPR (Biological process); BIOL (Biological study); PROC (Process)
((peptide nucleic acid)2-DNA triplex structure after binding and strand displacement)

RN 162876-58-6 CAPLUS

L11 ANSWER 39 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1995:9132 CAPLUS
DOCUMENT NUMBER: 122:240398
TITLE: Peptide nucleic acid (PNA) with a chiral backbone based on alanine
AUTHOR(S): Dueholm, Kim L.; Petersen, Kenneth H.; Jensen, Dorte K.; Egholm, Michael; Nielsen, Peter E.; Buchardt, Ole
CORPORATE SOURCE: Res. Cent. Med. Biotechnol., Univ. Copenhagen, Copenhagen, DK-2100, Den.
SOURCE: Bioorg. Med. Chem. Lett. (1994), 4(8), 1077-80
CODEN: BMCLE8; ISSN: 0960-894X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

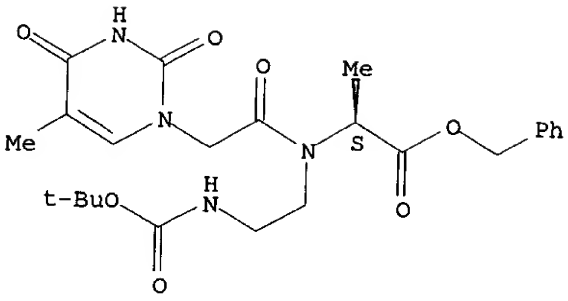
AB A synthesis of N-(2-Boc-aminoethyl)-N-(thymin-1-ylacetyl)alanine (I; Boc = Me3CO2C) is presented and the preservation of its chiral integrity demonstrated. Peptide nucleic acids (PNAs) contg. D-I hybridizes to complementary DNA with higher affinity than PNA contg. L-I.

IT **159411-08-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and catalytic deesterification of)

RN 159411-08-2 CAPLUS
CN L-Alanine, N-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

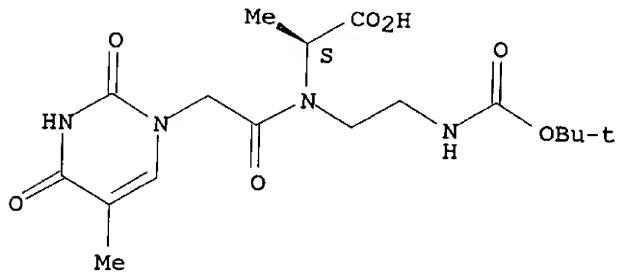
Absolute stereochemistry.

Searched by Barb O'Bryen, STIC 308-4291



IT **149376-74-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and peptide coupling reactions of, in prepn. of peptide nucleic acid analogs)
RN 149376-74-9 CAPLUS
CN L-Alanine, N-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 40 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1994:606011 CAPLUS
DOCUMENT NUMBER: 121:206011
TITLE: Synthesis of Peptide Nucleic Acid Monomers Containing the Four Natural Nucleobases: Thymine, Cytosine, Adenine, and Guanine and Their Oligomerization
AUTHOR(S): Dueholm, Kim L.; Egholm, Michael; Behrens, Carsten; Christensen, Leif; Hansen, Henrik F.; Vulpius, Tore; Petersen, Kenneth H.; Berg, Rolf H.; Nielsen, Peter E.; Buchardt, Ole
CORPORATE SOURCE: H. C. Oersted Institute, University of Copenhagen, Copenhagen, DK-2100, Den.
SOURCE: J. Org. Chem. (1994), 59(19), 5767-73
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The prepn. of mixed-sequence peptide nucleic acids (PNAs) contg. the four natural nucleobases (thymine, cytosine, adenine, and guanine) is described. The PNA monomers contg. thymine, benzyloxycarbonyl (Cbz)-protected cytosine or adenine, or benzyl-protected guanine were prepd. via convergent syntheses. Subsequent to introduction of a carboxymethyl linker at N-1 of the pyrimidines or N-9 of the purines and suitable protection of exocyclic groups, the nucleobase derivs. were coupled to the tert-butoxycarbonyl (Boc)-protected backbone esters BocNHCH2CH2NHCH2CO2R (R = Me, Et) and finally hydrolyzed affording the monomers BocNHCH2CH2N(COCH2B)CH2CO2H (B = nucleobase). Two mixed-sequence

10-mers, each with five purines incorporated, and a mixed-sequence 15-mer contg. seven purines were assembled essentially following std. solid phase peptide synthesis protocols.

IT **158097-23-5P**

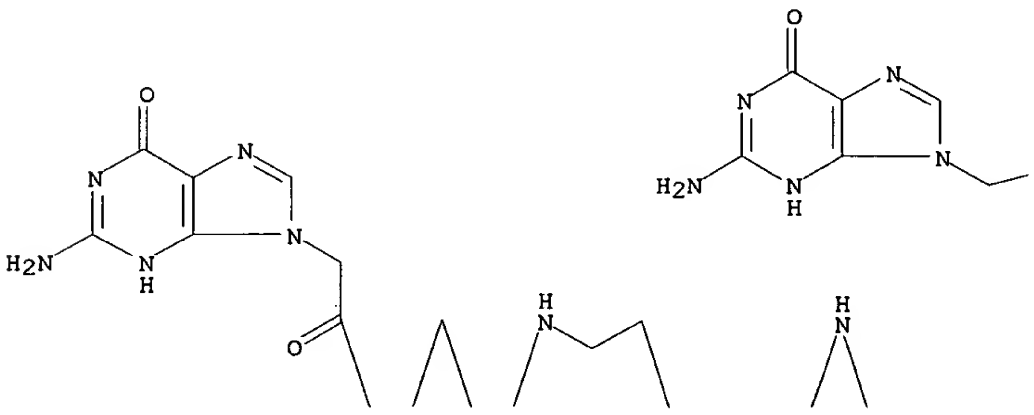
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of peptide nucleic acid monomers contg. the four natural nucleobases and their oligomerization)

RN 158097-23-5 CAPLUS

CN Peptide nucleic acid, (H-G-T-A-G-A-T-C-A-C-T)-L-lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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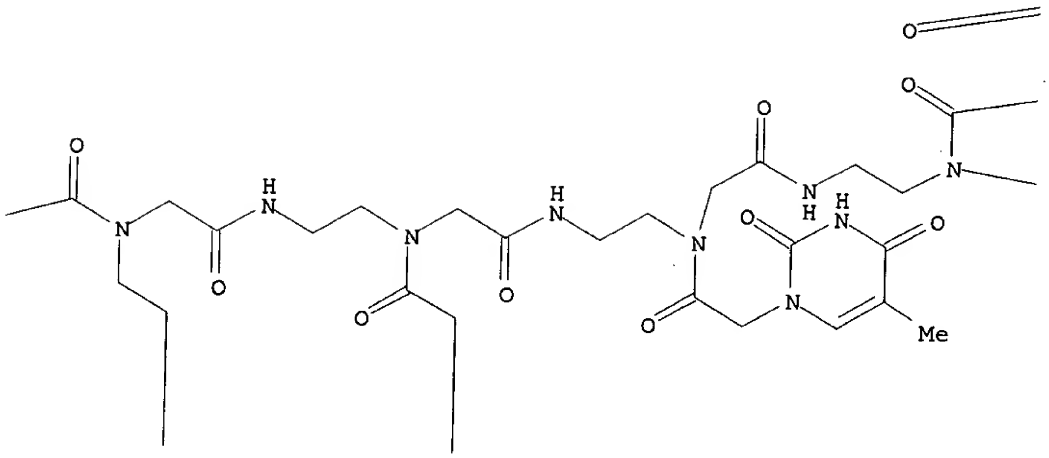

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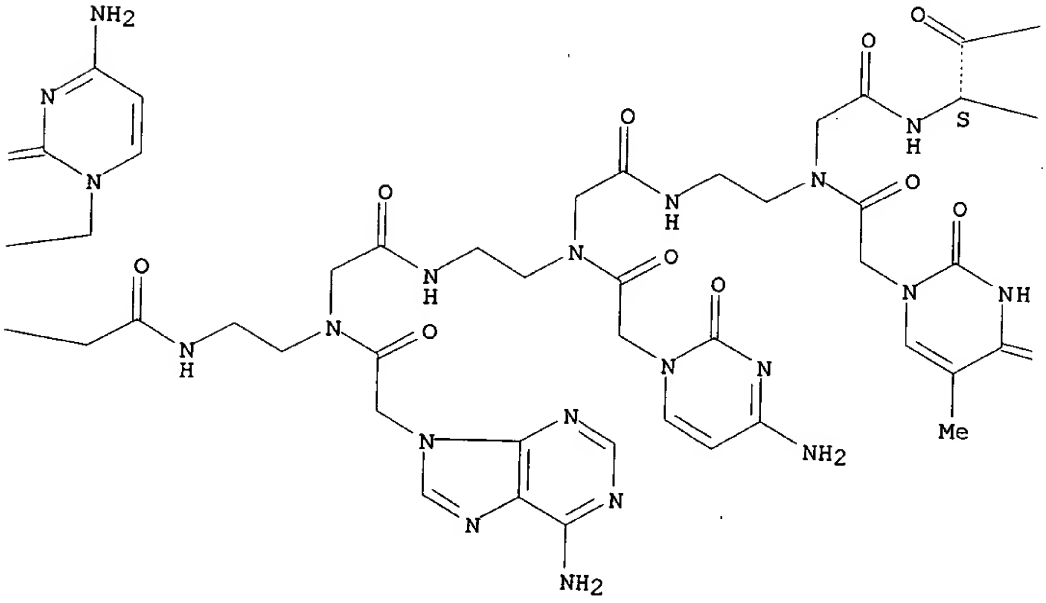

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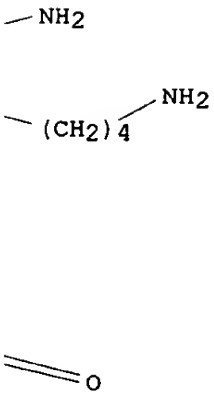

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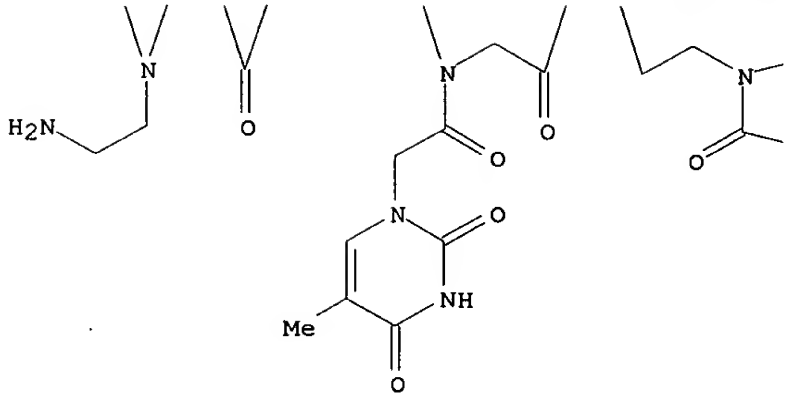

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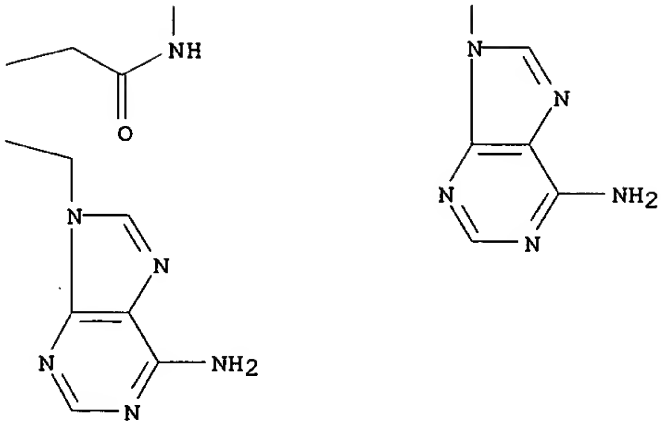


L11 ANSWER 41 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1994:210916 CAPLUS
DOCUMENT NUMBER: 120:210916
TITLE: Molecular mechanics calculations of the structures of
Searched by Barb O'Bryen, STIC 308-4291

polyamide nucleic acid DNA duplexes and triple helical hybrids

AUTHOR(S): Almarsson, Orn; Bruice, Thomas C.; Kerr, Janice; Zuckermann, Ronald N.

CORPORATE SOURCE: Dep. Chem., Univ. California, Santa Barbara, CA, 93106, USA

SOURCE: Proc. Natl. Acad. Sci. U. S. A. (1993), 90(16), 7518-22
CODEN: PNASA6; ISSN: 0027-8424

DOCUMENT TYPE: Journal
LANGUAGE: English

AB Polyamide nucleic acids (PNAs) have emerged as useful agents for recognition of single- and double-stranded nucleic acids. Interresidue hydrogen bonds between the amide carbonyl nearest the nucleobase and chain NH moieties provide inherent stability to the helical conformation of PNA 1. Moving the amide carbonyl away from the nucleobase to the backbone, and replacing it with a methylene group, results in 2 lacking the stabilizing hydrogen bond. Oligomers of 2 do not interact with DNA. Modeling suggests that 2 displays a more extended conformation than 1, and nucleobase orientation is disrupted in 2 in the absence of a cDNA strand. This is in contrast to 1, which retains a centrosym. arrangement of nucleobases. Structures for 1-T10.cntdot.DNA and (1-T10)2.cntdot.DNA species spanned by a pyrimidine strand (D-loop) were constructed. In the triple helical (1-T10)2.cntdot.DNA structure, the two PNA strands form the complementary Watson-Crick paired strand and the Hoogsteen base-paired strand in the major groove of the 1.cntdot.DNA duplex. The PNA strands are proposed to bind antiparallel to one another in (1-T10)2.cntdot.DNA structure. The factors suggested to account for the stability of this 2:1 complex are (i) a hydrophobic attraction between two PNA backbones and (ii) a favorable electrostatic effect resulting from replacement of a phosphodiester backbone by a neutral peptide backbone.

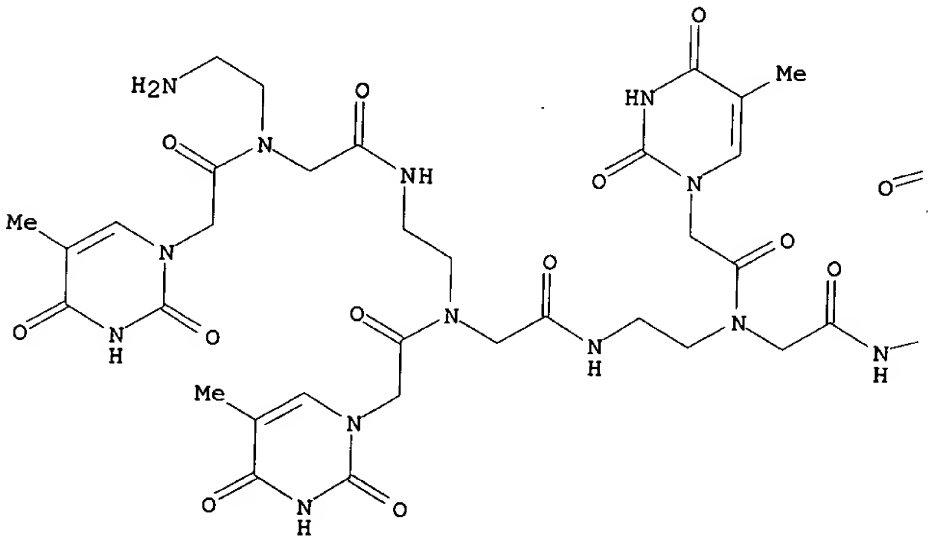
IT **139166-85-1**
RL: PRP (Properties)
(helical conformation and DNA interactions of, mol. modeling study of)

RN 139166-85-1 CAPLUS

CN Peptide nucleic acid, (H-T-T-T-T-T-T-T-T-T-T)-L-lys-NH2 (9CI) (CA INDEX NAME)

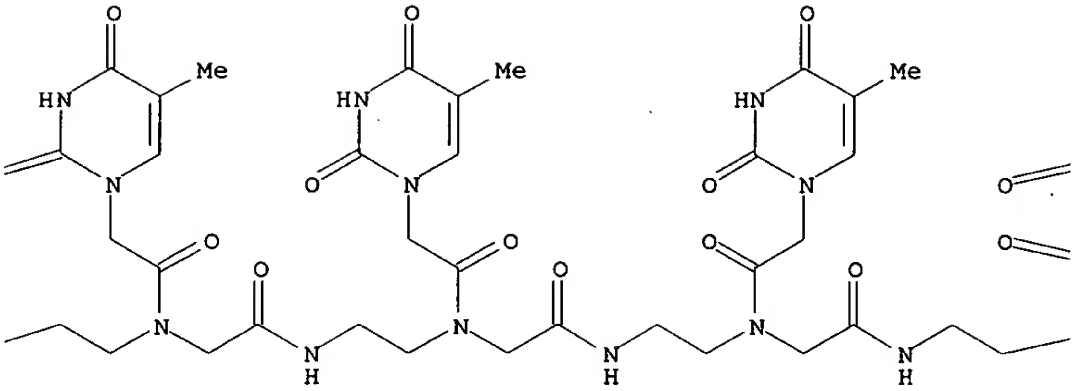
Absolute stereochemistry.

PAGE 1-A



Searched by Barb O'Bryen, STIC 308-4291

PAGE 1-B



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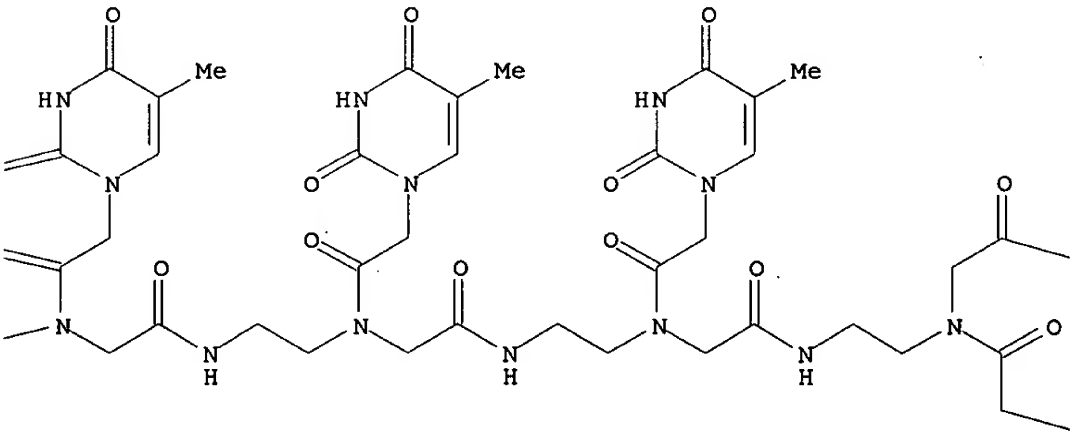

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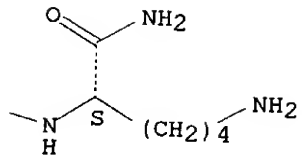
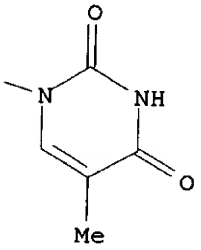




IT **153966-07-5 153966-08-6**
RL: PRP (Properties)
(structure of, mol. modeling study of)

RN 153966-07-5 CAPLUS

CN DNA, d(C-G-C-A-A-A-A-A-A-A-A-A-C-G-C), complex with N-[54-(2-aminoethyl)-56-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-6,12,18,24,30,36,42,48-octakis[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-4,10,16,22,28,34,40,46,52,55-decaoxo-3,6,9,12,15,18,21,24,27,30,33,36,39,42,45,48,51,54-octaazahexapentacont-1-yl]-N-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]glycyl-L-lysinamide and DNA d(G-C-G-T-T-T-T-T-T-T-T-T-G-C-G) (1:2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 140872-60-2
CMF C158 H203 N46 O104 P15
CCI MAN
CDES 5:ALL,B-D-ERYTHRO

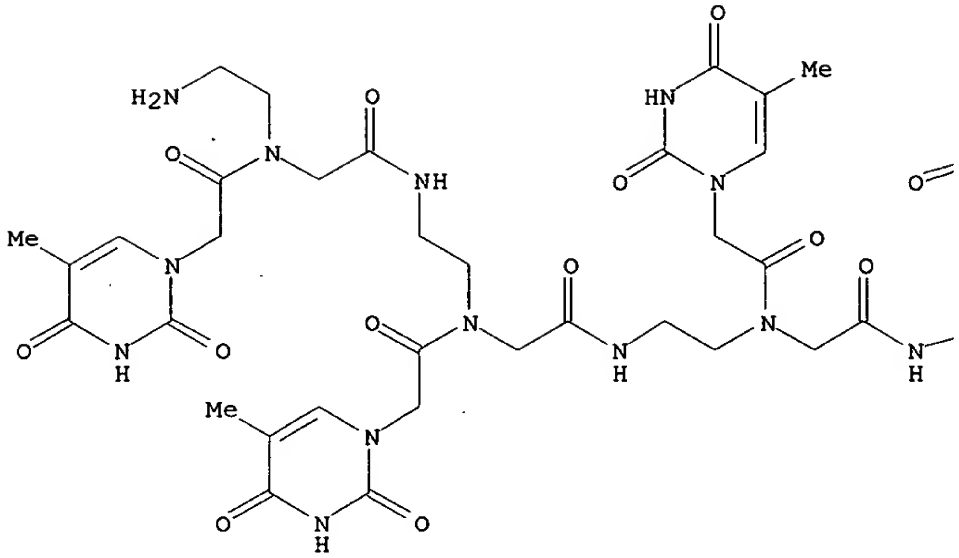
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 2

CRN 139166-85-1
CMF C116 H155 N43 O41
CDES 5:L

Absolute stereochemistry.

PAGE 1-A



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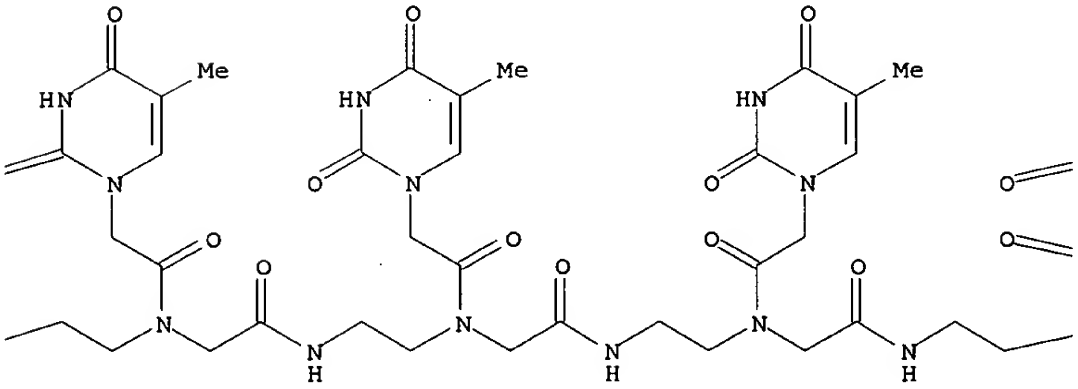

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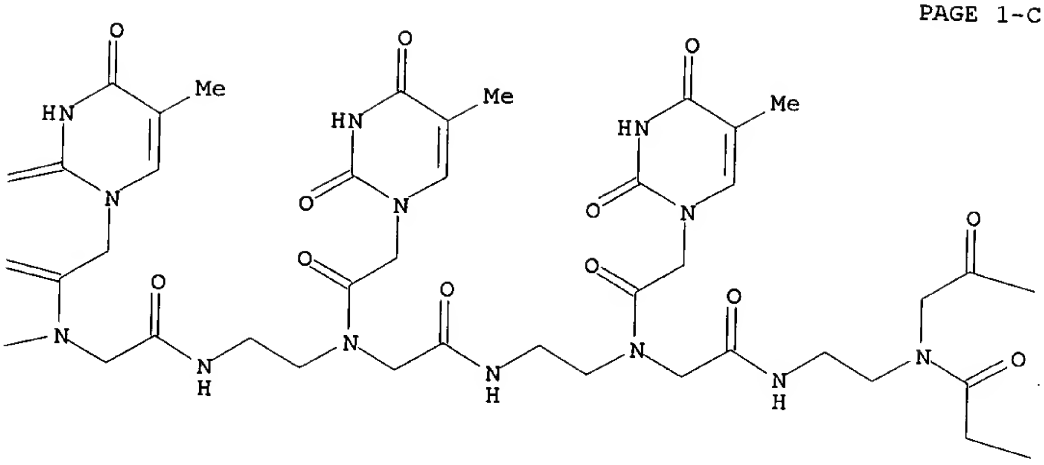

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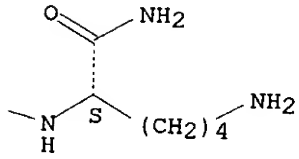
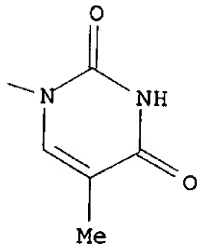




RN 153966-08-6 CAPLUS
CN DNA, d(C-G-C-A-A-A-A-A-A-A-A-A-C-G-C), complex with N-[54-(2-aminoethyl)-56-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-6,12,18,24,30,36,42,48-octakis[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-4,10,16,22,28,34,40,46,52,55-decaoxo-3,6,9,12,15,18,21,24,27,30,33,36,39,42,45,48,51,54-octaazahexapentacont-1-yl]-N-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]glycyl-L-lysinamide and DNA d(G-C-G-T-T-T-T-T-T-T-T-T-G-C-G) (1:1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 140872-60-2
CMF C158 H203 N46 O104 P15
CCI MAN

Searched by Barb O'Bryen, STIC 308-4291

CDES 5:ALL,B-D-ERYTHRO

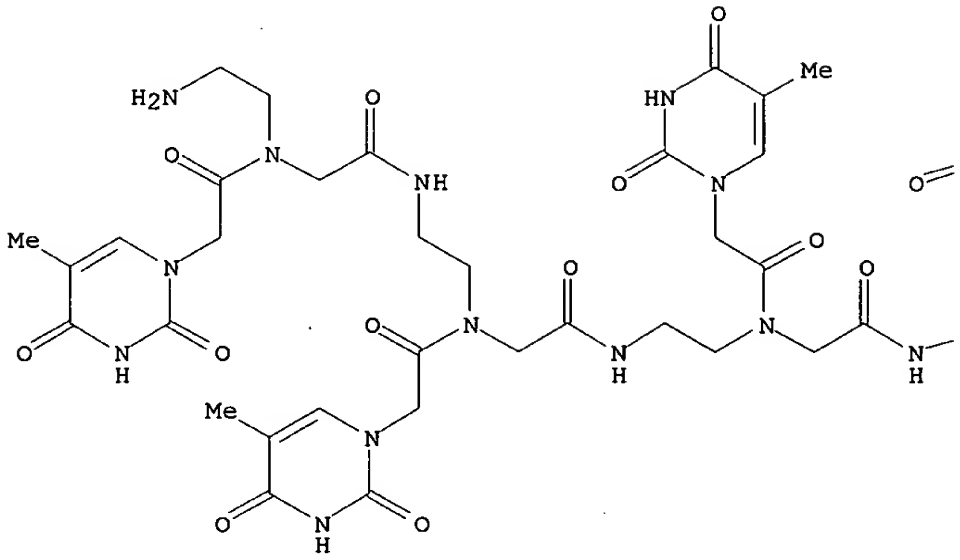
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 2

CRN 139166-85-1
CMF C116 H155 N43 O41
CDES 5:L

Absolute stereochemistry.

PAGE 1-A



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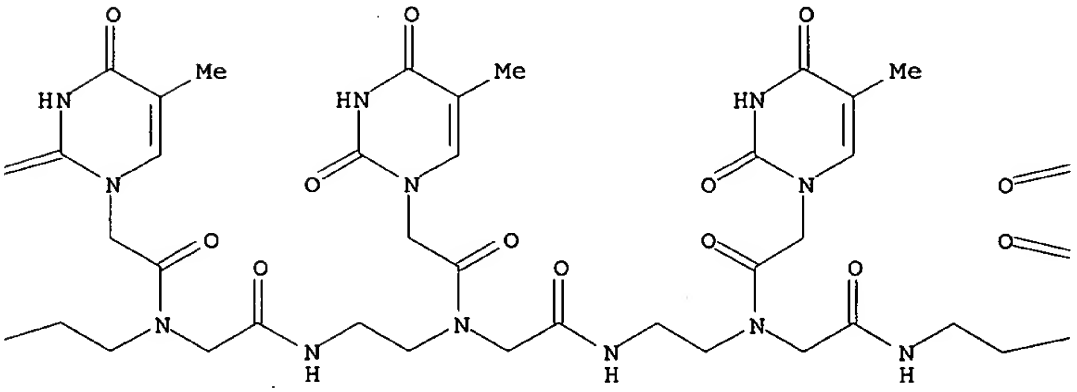

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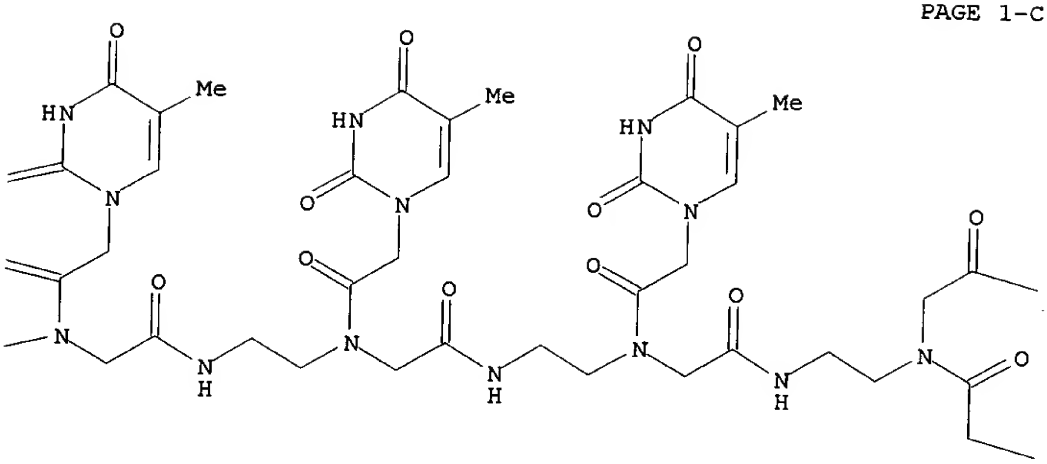

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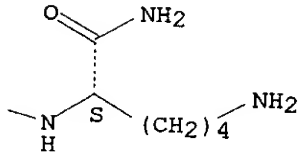

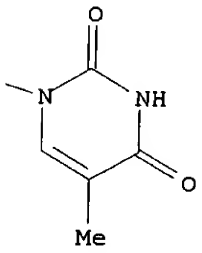

L11 ANSWER 42 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1994:135140 CAPLUS
DOCUMENT NUMBER: 120:135140
TITLE: Peptide nucleic acids and their effect on genetic material
INVENTOR(S): Thomson, Stephen A.; Noble, Stewart A.; Ricca, Daniel J.
PATENT ASSIGNEE(S): Glaxo Inc., USA
SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
Searched by Barb O'Bryen, STIC 308-4291

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9312129	A1	19930624	WO 92-US10921	19921217
W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
AU 9333254	A1	19930719	AU 93-33254	19921217
ZA 9209764	A	19931013	ZA 92-9764	19921217
EP 618923	A1	19941012	EP 93-901215	19921217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
FI 9402935	A	19940727	FI 94-2935	19940617
NO 9402327	A	19940817	NO 94-2327	19940617
AU 9670325	A1	19970116	AU 96-70325	19961021
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OTHER SOURCE(S): MARPAT 120:135140

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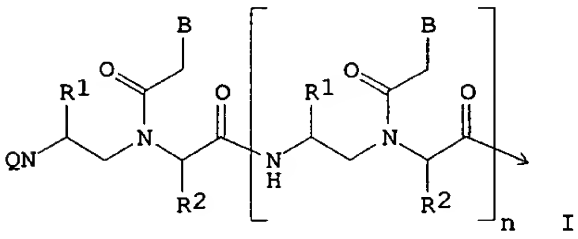

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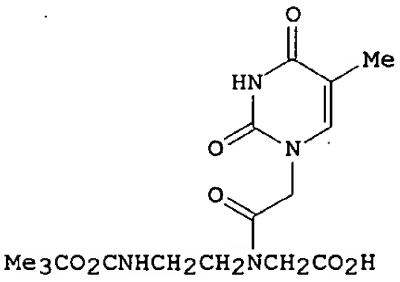


III

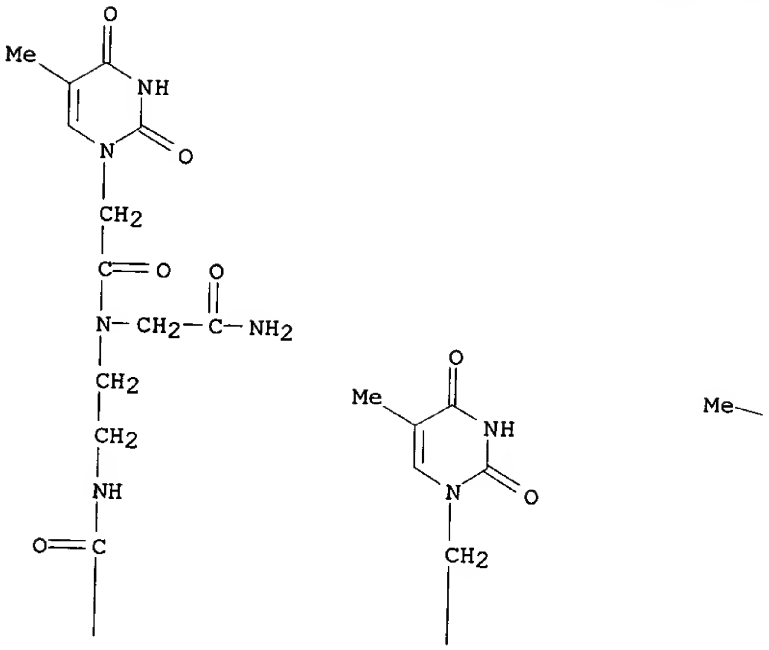
AB Nucleic acid base-contg. peptides I [B = nucleic acid base; Q, J = protective group; QJ = bond; R1, R2 = H, (un)substituted alkyl, aryl, heteroaryl; n= .gtoreq.1] were prepd. for use as inhibitors of genetic transcription. Thus, I [Q, R1, R2 = H, J = NH2, B = thymine; n = 5, II] was prepd. from resin-bound lysine and the monomer III which was obtained by reductive alkylation of H2NCH2CO2Me.HCl with Me3CO2CNHCH2CHO, followed by reaction with 1-carboxymethylthymine. II inhibited poly rA.T25-30 duplex formation at .gtoreq.0.1 .mu.M.

IT **142611-62-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and RNA duplex invasion by)

RN 142611-62-9 CAPLUS

CN 3,6,9,12,15,18,21,24,27,30,33-Undecaazapentatriacontanamide, 33-(2-aminoethyl)-35-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-3,9,15,21,27-pentakis[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-7.13.19.25.31.34-hexaoxo- (9CI) (CA INDEX NAME)

PAGE 1-A



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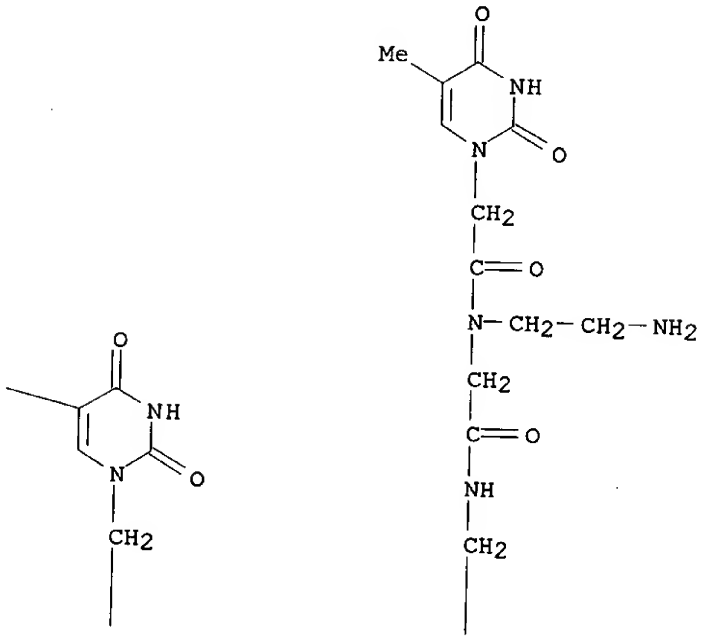

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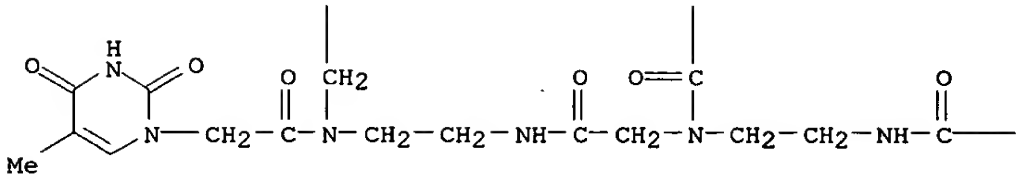

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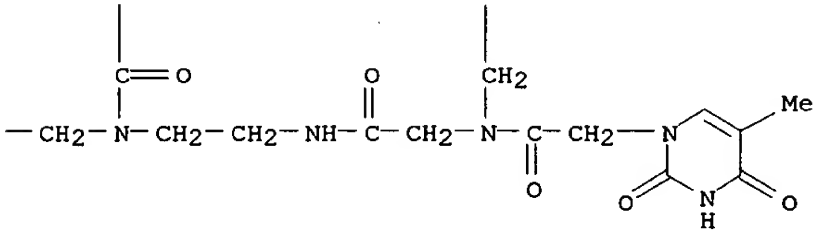
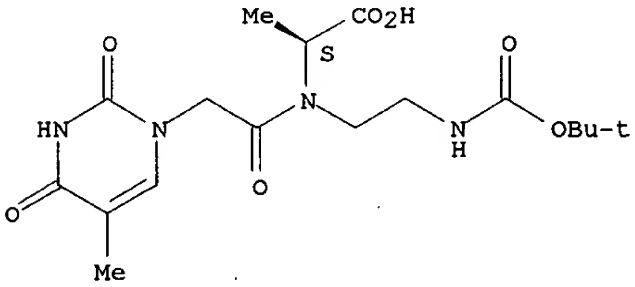


IT **149376-74-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 149376-74-9 CAPLUS
CN L-Alanine, N-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 43 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1994:127922 CAPLUS
DOCUMENT NUMBER: 120:127922
TITLE: Peptide nucleic acid (PNA) conformation and polymorphism in PNA-DNA and PNA-RNA hybrids
AUTHOR(S): Almarsson, Orn; Bruice, Thomas C.
CORPORATE SOURCE: Dep. Chem., Univ. California, Santa Barbara, CA, 93106, USA
SOURCE: Proc. Natl. Acad. Sci. U. S. A. (1993), 90(20), 9542-6
CODEN: PNASA6; ISSN: 0027-8424
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Two hydrogen-bonding motifs have been proposed to account for the extraordinary stability of polyamide "peptide" nucleic acid (PNA) hybrids with nucleic acids. These interresidue- and intraresidue-hydrogen-bond motifs were investigated by mol. mechanics calcns. Energy-minimized structures of Watson-Crick base-paired decameric duplexes of PNA with A-,

B-, and Z-DNA and A-RNA polymorphs indicate that the inherent stability of the complementary PNA helical structures is derived from interresidue, rather than from intraresidue, hydrogen bonds in all hybrids studies. Intraresidue-hydrogen-bond lengths are consistently longer than interresidue hydrogen bonds. Helical strand stability with interresidue hydrogen bond stabilization follows the order: B-(DNA.cntdot.PNA) > A-(DNA.cntdot.PNA) .simeq. A-RNA.cntdot.PNA > Z-(DNA.cntdot.PNA). In the triplex hybrids A-(RNA.cntdot.PNA2) and B-(DNA.cntdot.PNA2), differences between stabilities of the two decamers of thyminyl PNA with lysine amide attached to the C terminus (pnaT)10 strands are small. The Hoogsteen (pnaT)10 strands are of slightly higher potential energy than are the Watson-Crick (pnaT)10 strands. Antiparallel arrangement of PNAs in the triplex is slightly favored over the parallel arrangement based on the calcns. Examn. by mol. mechanics of the PNA.cntdot.DNA analog of the NMR-derived structure for the B-double-stranded DNA dodecamer d(CGCAAATTTGCG)2 in soln. suggests that use of all bases of the genetic alphabet should be possible without loss of the specific interresidue-hydrogen-bonding pattern within the PNA strand.

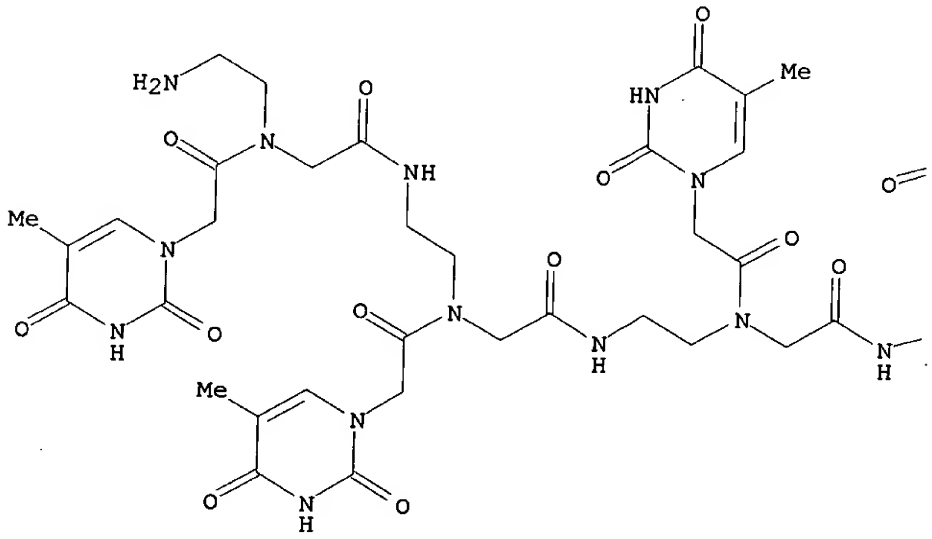
IT **139166-85-1**
RL: PRP (Properties)
(DNA and RNA binding by, as antisense nucleopeptide chimera, conformation and polymorphism in)

RN 139166-85-1 CAPLUS

CN Peptide nucleic acid, (H-T-T-T-T-T-T-T-T-T-T)-L-lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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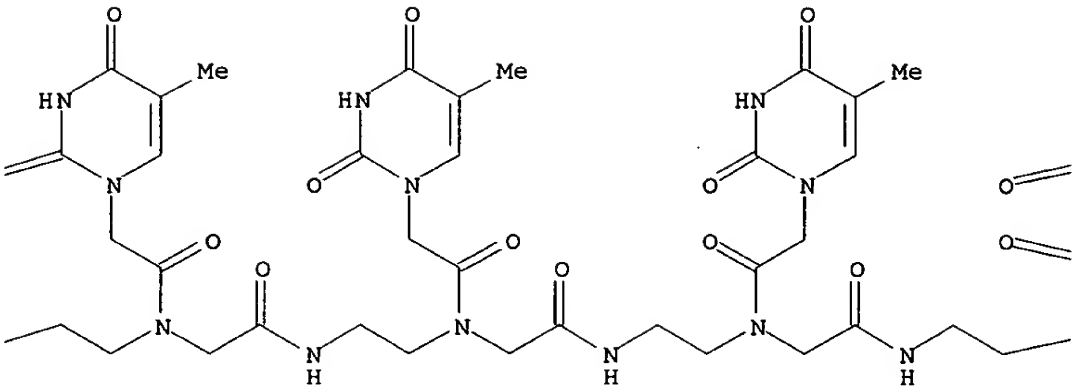

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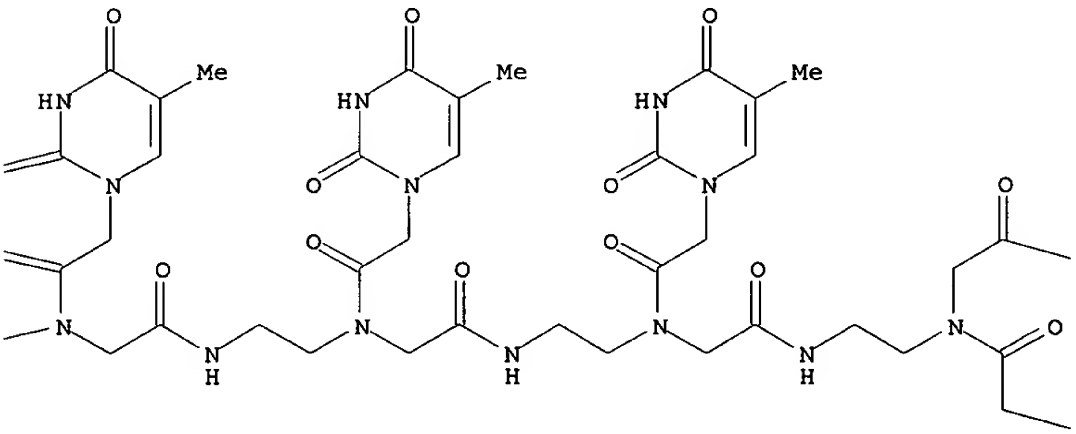

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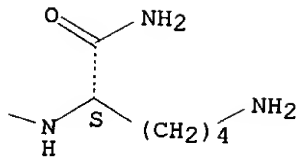

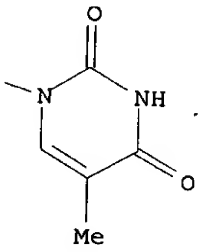

L11 ANSWER 44 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1993:581235 CAPLUS
DOCUMENT NUMBER: 119:181235
TITLE: Peptide nucleic acids
INVENTOR(S): Buchardt, Ole; Egholm, Michael; Nielsen, Peter Eigil; Berg, Rolf Henrik
PATENT ASSIGNEE(S): Den.
SOURCE: PCT Int. Appl., 192 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9220702	A1	19921126	WO 92-EP1219	19920522
W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, PL, RO, RU, SD, SE, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, MC, ML, MR, NL, SE, SN, TD, TG				
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WO 9220703	A1	19921126	WO 92-EP1220	19920522
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HU 66597	A2	19941228	HU 93-3023	19920522

EP 586618	B1	19970716	EP 92-923579	19920522
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NO 9304235	A	19940120	NO 93-4235	19931123
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OTHER SOURCE(S): MARPAT 119:181235
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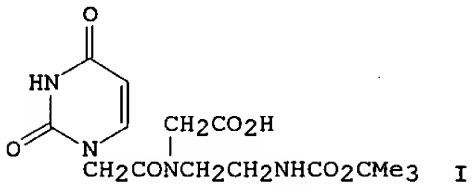


CH_2CO_2H
$CH_2CONCH_2CH_2NHCO_2CMe_3$ I

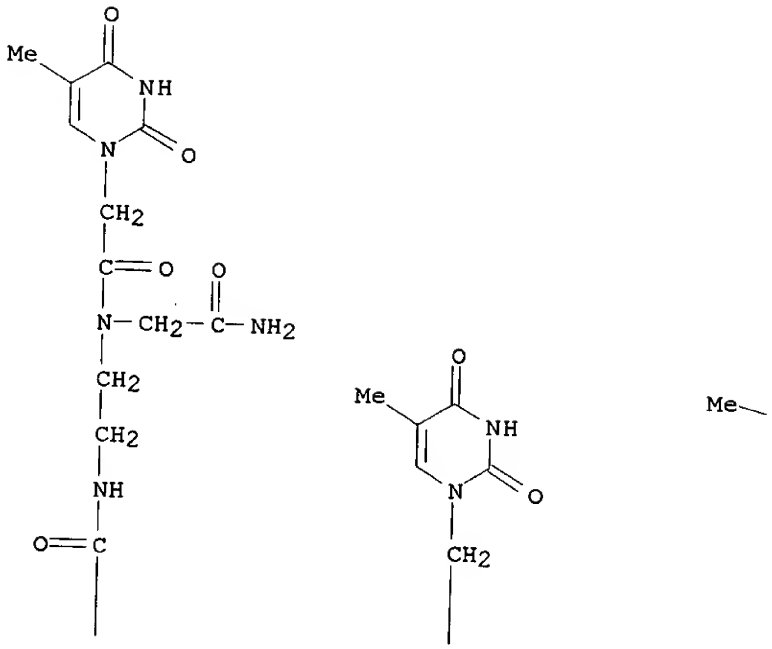
AB Peptides contg. nucleic acid bases were prepd. These peptides formed stable hybrids with oligonucleotides. Thus, H2NCH2CH2NHCH2CO2H was tert-butoxycarbonylated and treated with N1-carboxymethylthymine pentafluorophenyl ester to give the thymine deriv. Boc-Taeg-OH (I). I was used in the solid-phase synthesis of H-[Taeg]10-Lys-NH2 which formed a hybrid with (dA)10 which had a melting temp. of 73.degree..

IT **142611-64-1DP**, polymer-bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and deblocking of)

RN 142611-64-1 CAPLUS

CN Peptide nucleic acid, (H-T-T-T-T-T-T-T-T-T-T)-NH2 (9CI) (CA INDEX NAME)

PAGE 1-A



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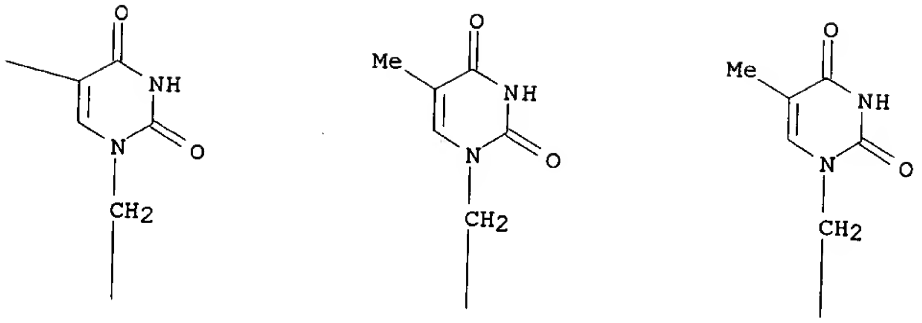
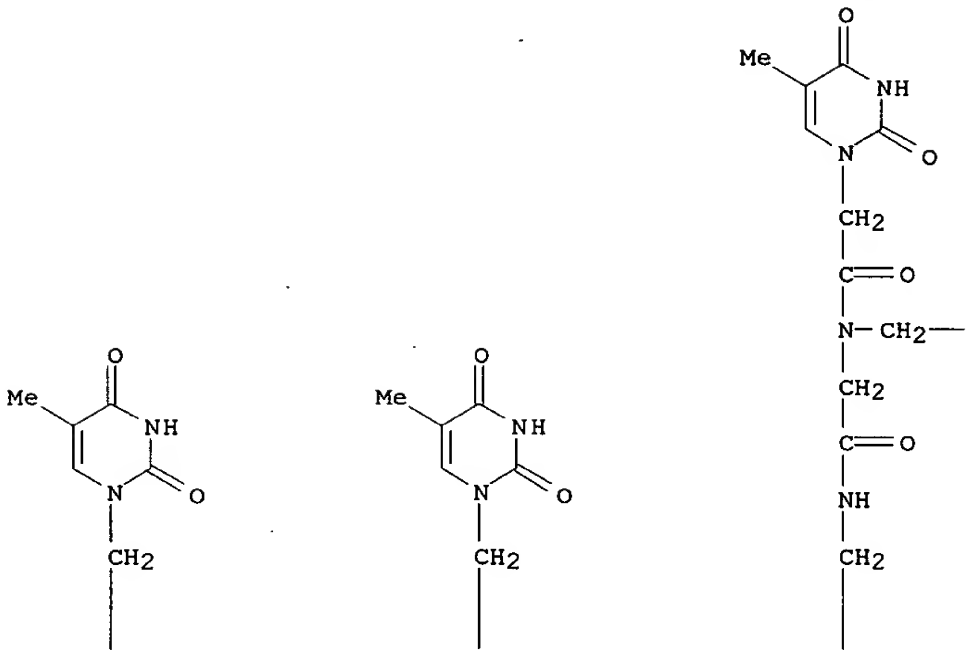


Searched by Barb O'Bryen, STIC 308-4291

PAGE 1-C



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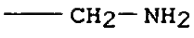

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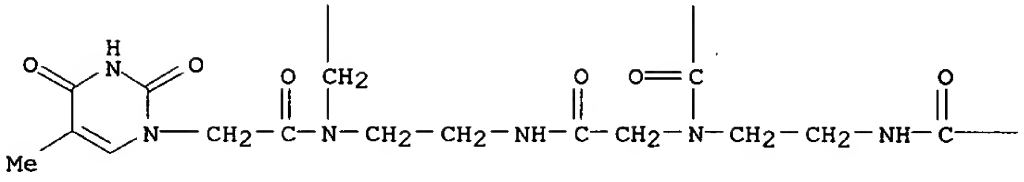

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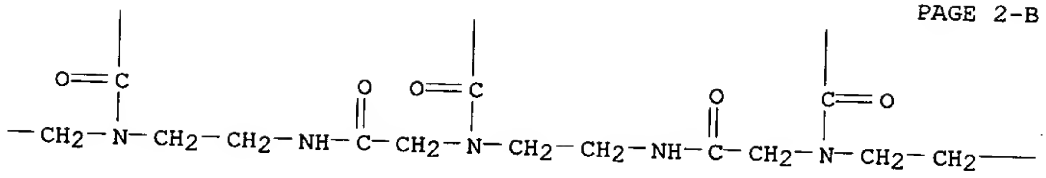

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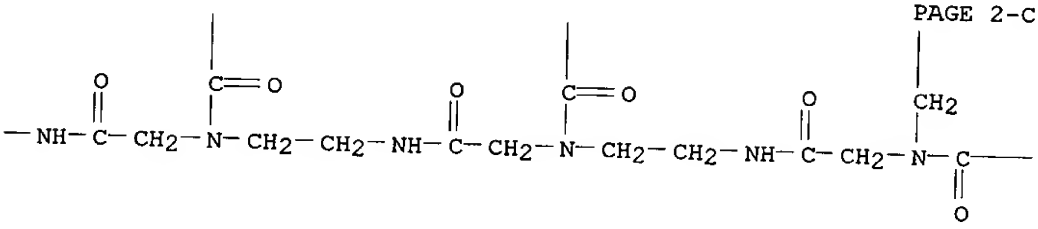

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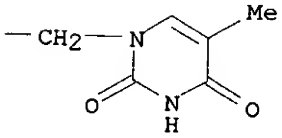
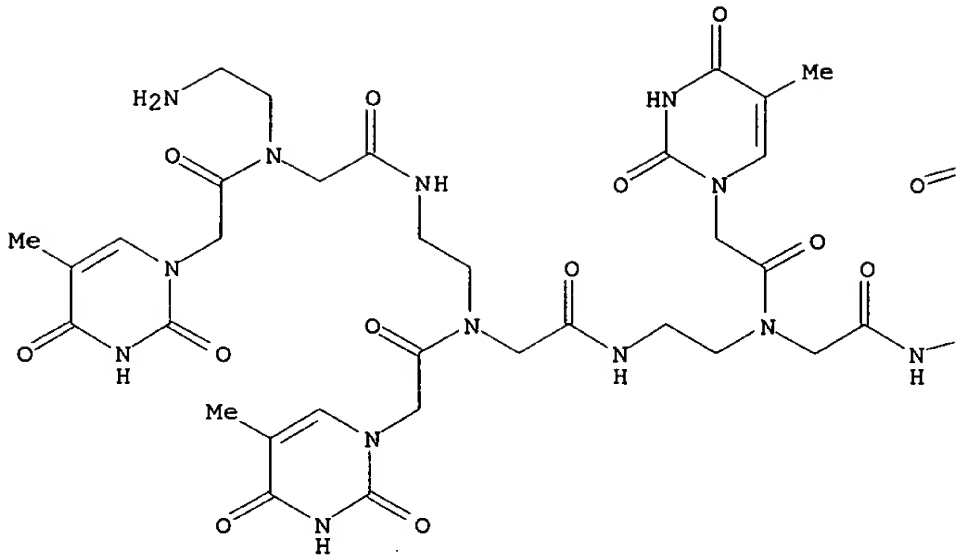


IT **139166-85-1P 149376-88-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hybridization of)
RN 139166-85-1 CAPLUS
CN Peptide nucleic acid, (H-T-T-T-T-T-T-T-T-T-T)-L-lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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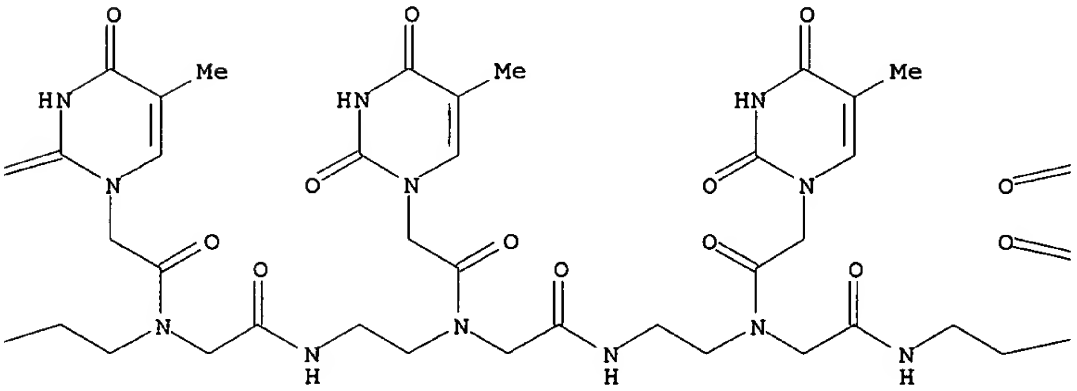

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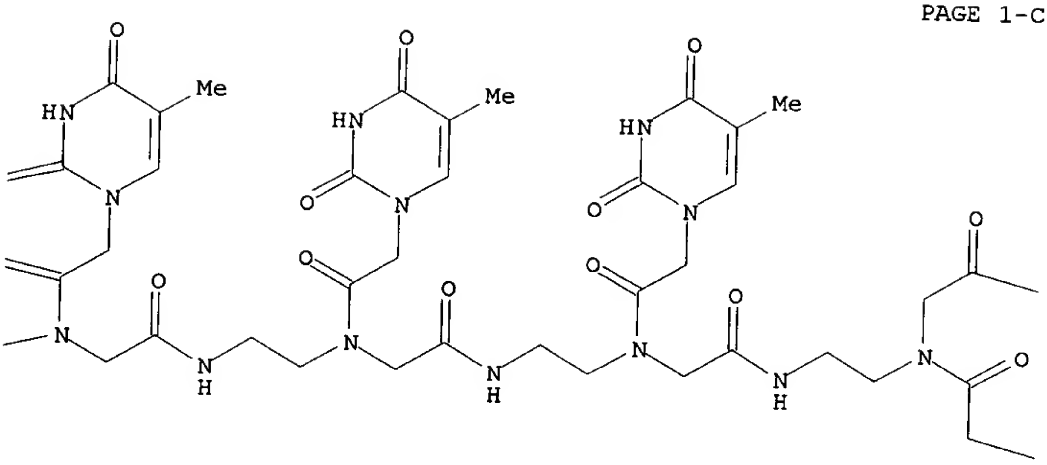

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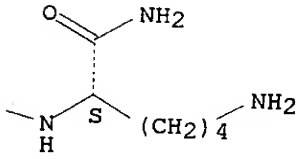
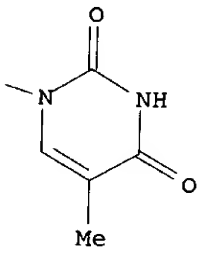
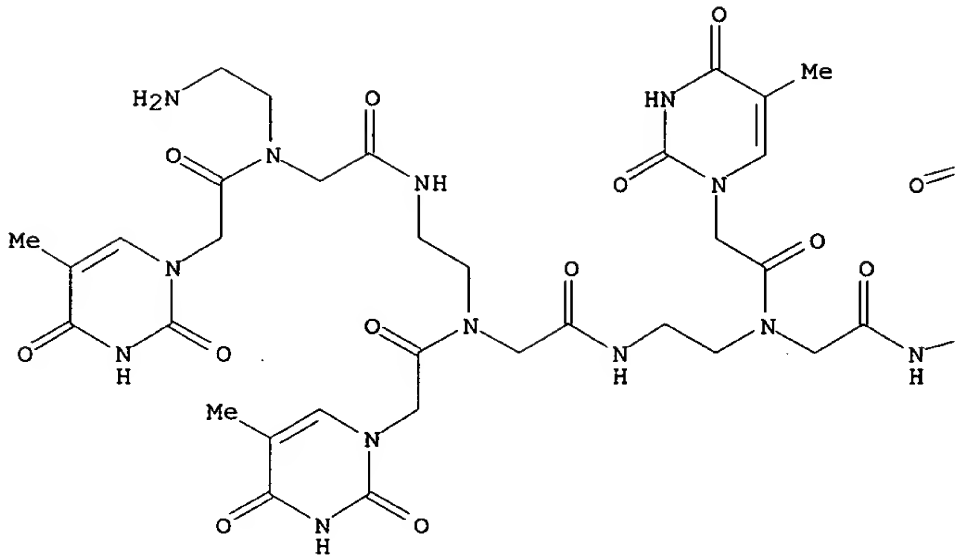




RN 149376-88-5 CAPLUS
CN Peptide nucleic acid, (H-T-T-T-T-C-C-T-C-T-C)-L-lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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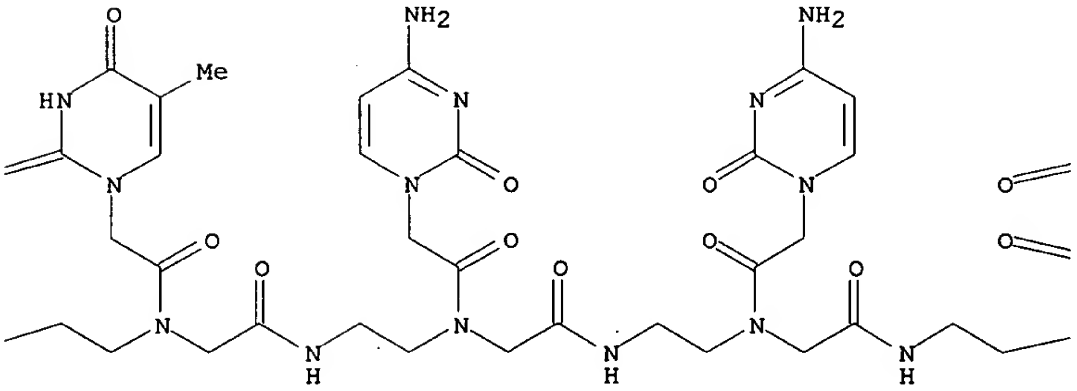

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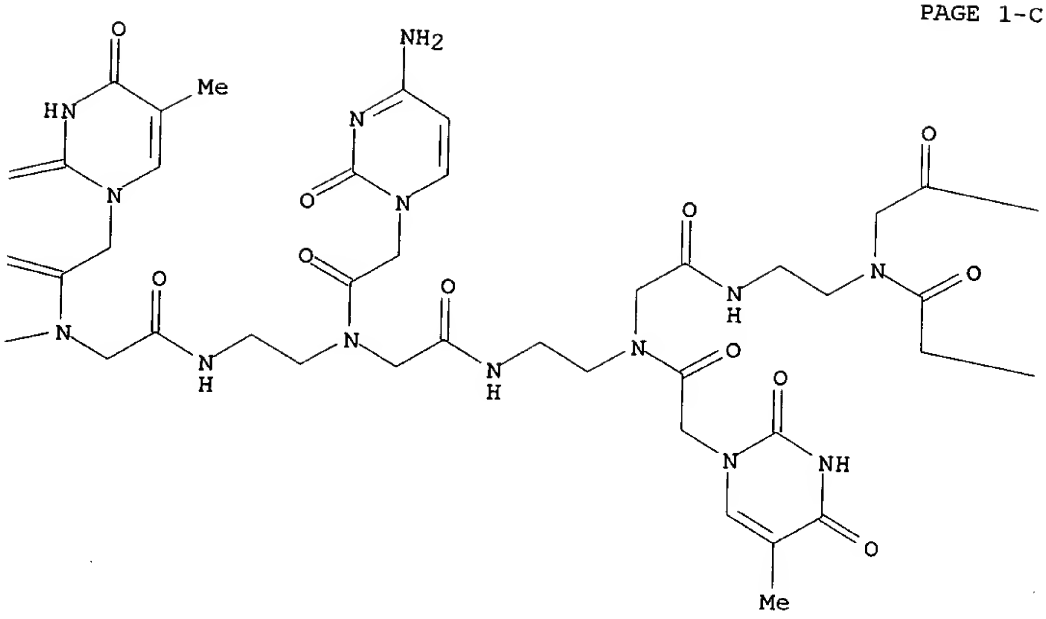

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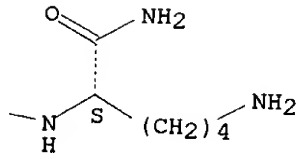
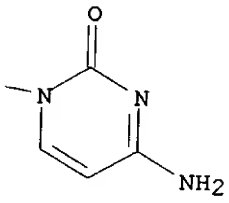
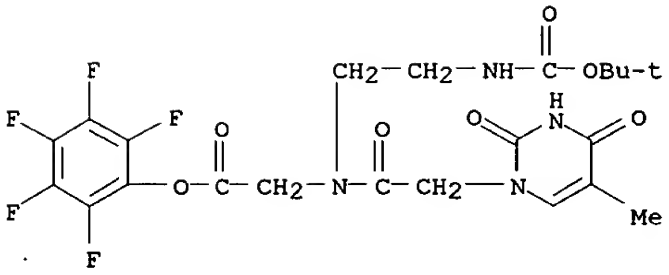


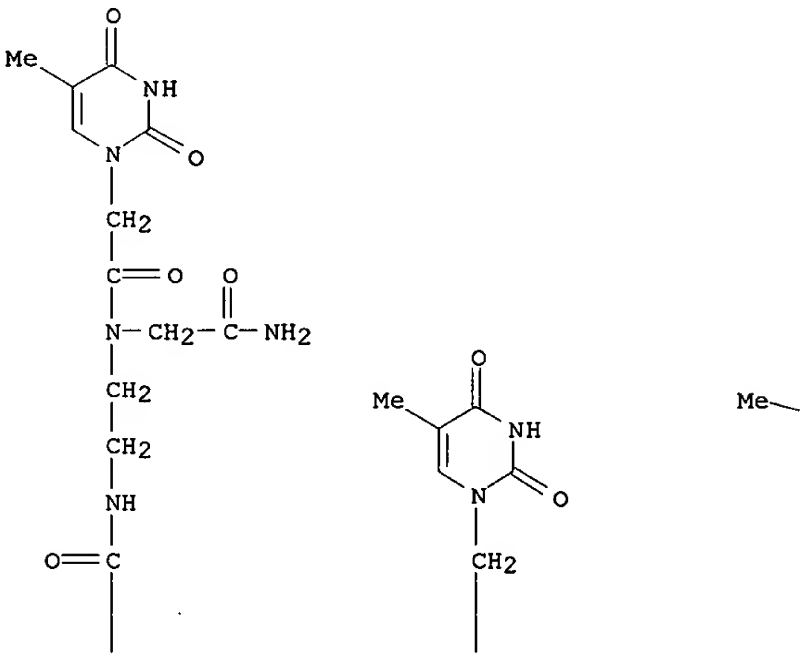


IT **139166-81-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and solid-phase oligonucleotide synthesis with)
RN 139166-81-7 CAPLUS
CN Glycine, N-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-, pentafluorophenyl ester (9CI) (CA INDEX NAME)



IT **142611-64-1P 148273-99-8P 149376-74-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 142611-64-1 CAPLUS
CN Peptide nucleic acid, (H-T-T-T-T-T-T-T-T-T-T)-NH2 (9CI) (CA INDEX NAME)

PAGE 1-A



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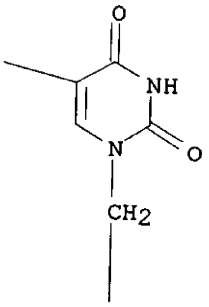

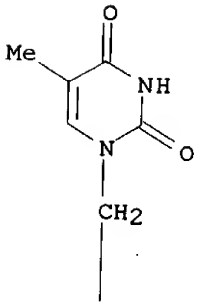

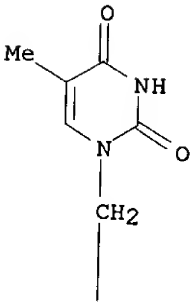

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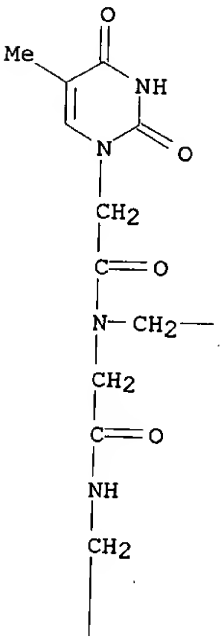
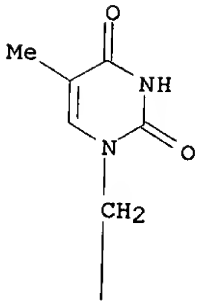
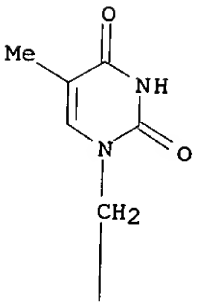
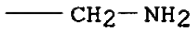






Searched by Barb O'Bryen, STIC 308-4291

PAGE 1-D



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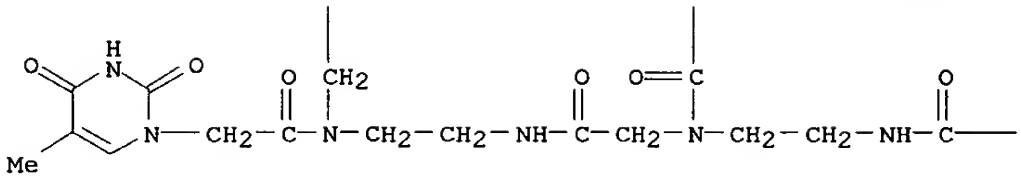

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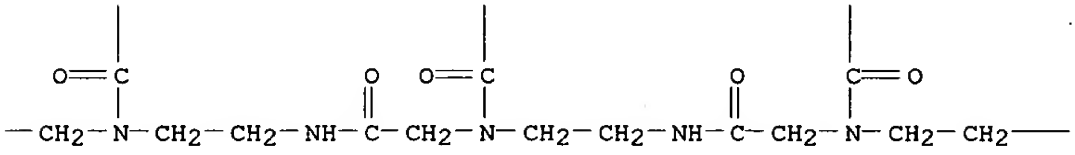

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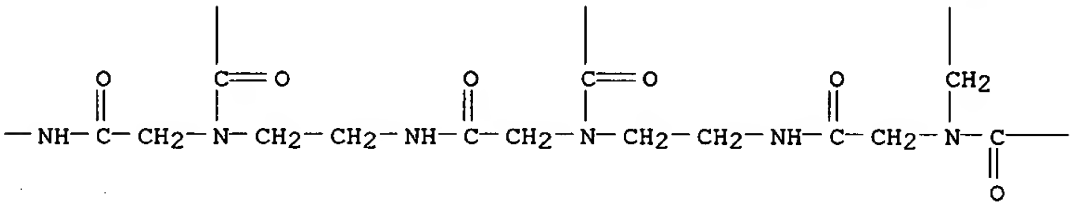

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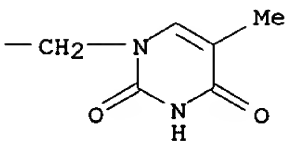
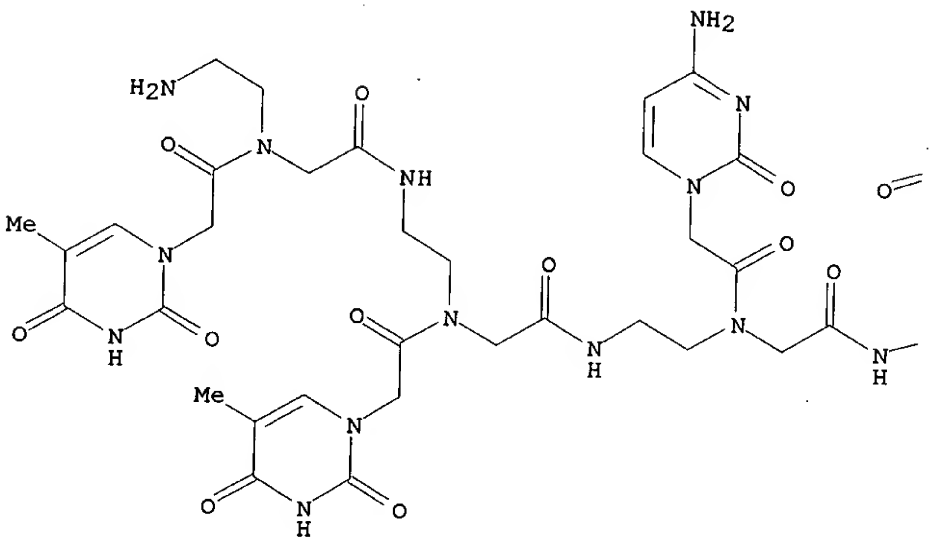


RN 148273-99-8 CAPLUS
CN Peptide nucleic acid, (H-T-T-C-T-T-C-T-T-T-T)-L-lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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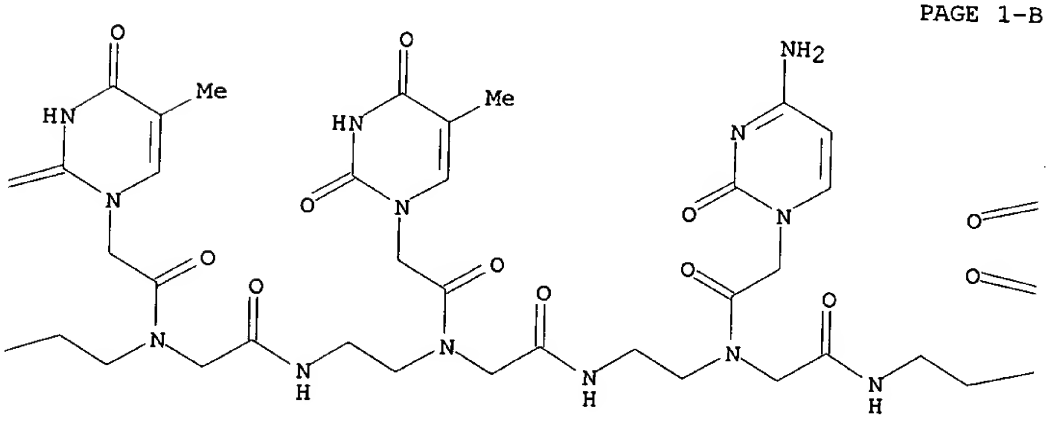

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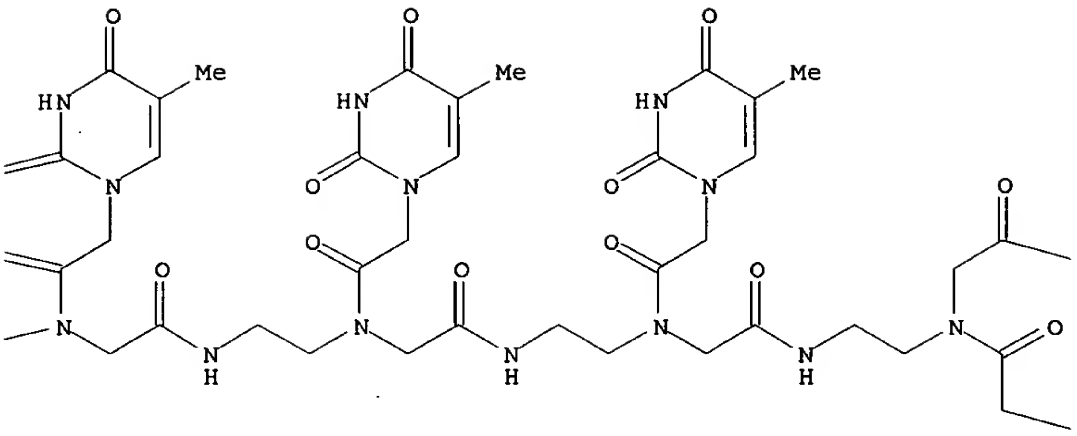

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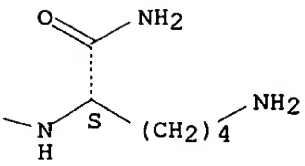
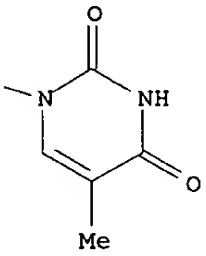
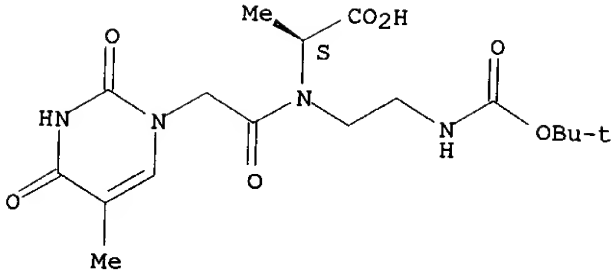


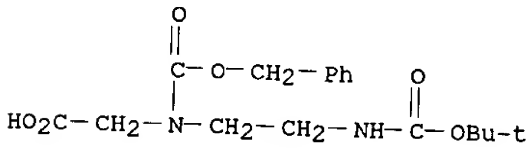


RN 149376-74-9 CAPLUS
CN L-Alanine, N-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **34046-07-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn., esterification, and deblocking of)
RN 34046-07-6 CAPLUS
CN Glycine, N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-N-[(phenylmethoxy)carbonyl]- (9CI) (CA INDEX NAME)



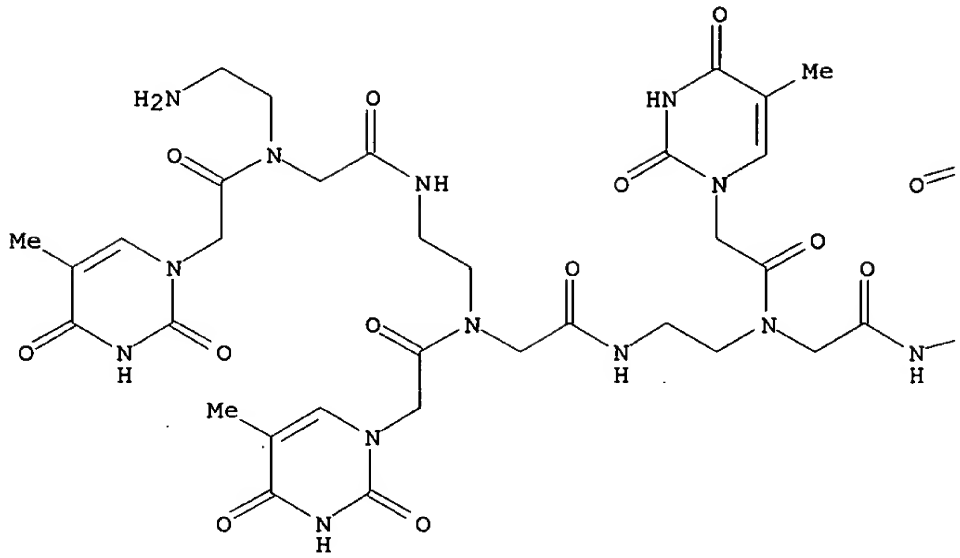
L11 ANSWER 45 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1993:531031 CAPLUS
DOCUMENT NUMBER: 119:131031
TITLE: Peptide nucleic acids (PNAs): Potential antisense and anti-gene agents
AUTHOR(S): Nielsen, Peter E.; Egholm, Michael; Berg, Rolf H.; Buchardt, Ole
CORPORATE SOURCE: Dep. Biochem. B, Panum Inst., Copenhagen, DK-2200, Den.
SOURCE: Anti-Cancer Drug Des. (1993), 8(1), 53-63
CODEN: ACDDEA; ISSN: 0266-9536
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The binding of peptide nucleic acids (PNAs) T10-LysNH2, T5CT4-LysNH2 and T2CT2CT4-LysNH2 to double-stranded DNA targets A10, A5GA4 and A2GA2GA4 was studied by nuclease S1 probing. It is found that the PNAs bind preferentially to their complementary targets, weaker to targets contg. one mismatch and not to targets contg. two mismatches. Using an RNA polymerase T3 in vitro transcription system, it is found that a PNA T10-LysNH2 bound downstream from the promoter causes transcription elongation arrest at the PNA binding site only when the PNA is bound to the template strand. Finally, it is shown that primer extension by Taq DNA polymerase on a single-stranded template is arrested at an occupied PNA T10 binding site. These results are discussed in relation to PNAs as potential anti-sense and anti-gene drugs.

IT **139166-85-1 148273-98-7 148273-99-8**
RL: BIOL (Biological study)
(DNA binding by, as antisense peptide nucleic acid chimera, specificity of)
RN 139166-85-1 CAPLUS
CN Peptide nucleic acid, (H-T-T-T-T-T-T-T-T-T-T)-L-lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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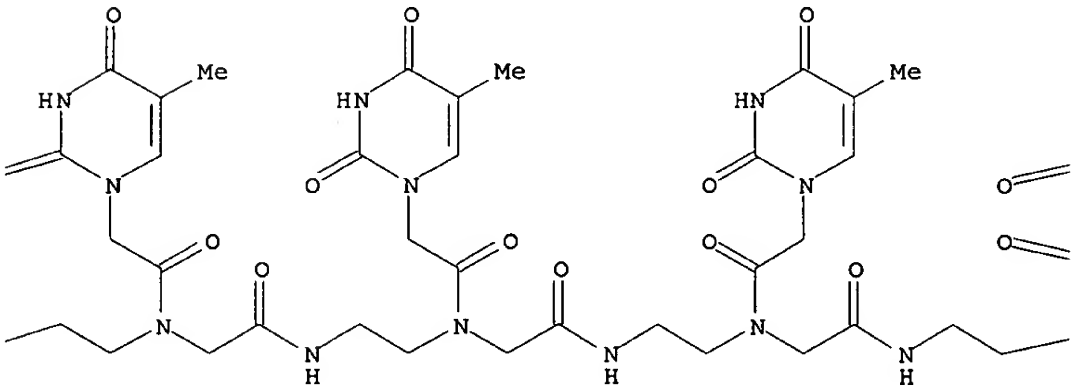

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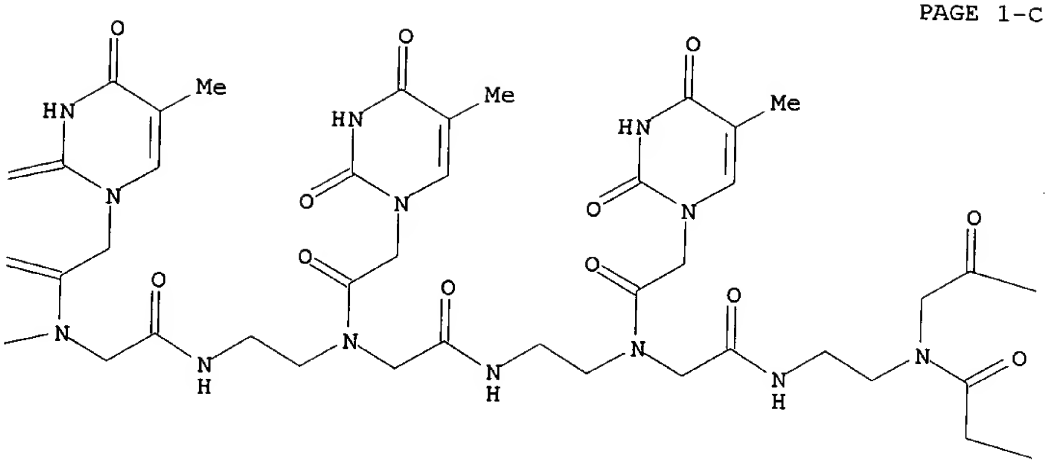

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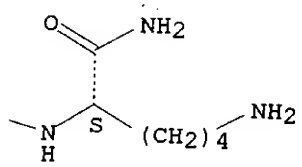
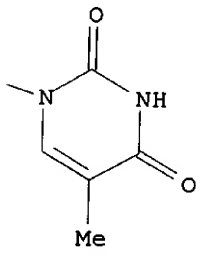
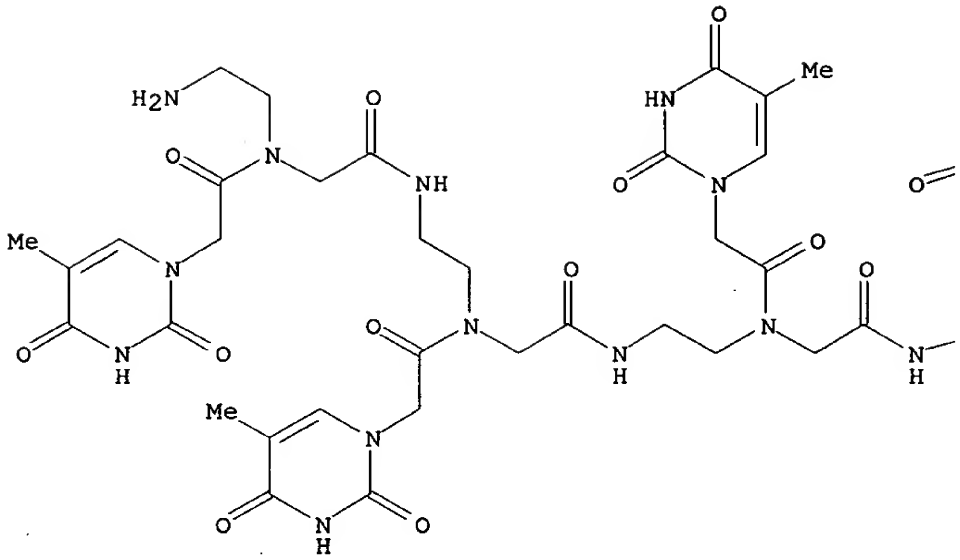




RN 148273-98-7 CAPLUS
CN Peptide nucleic acid, (H-T-T-T-T-T-C-T-T-T-T-T)-L-lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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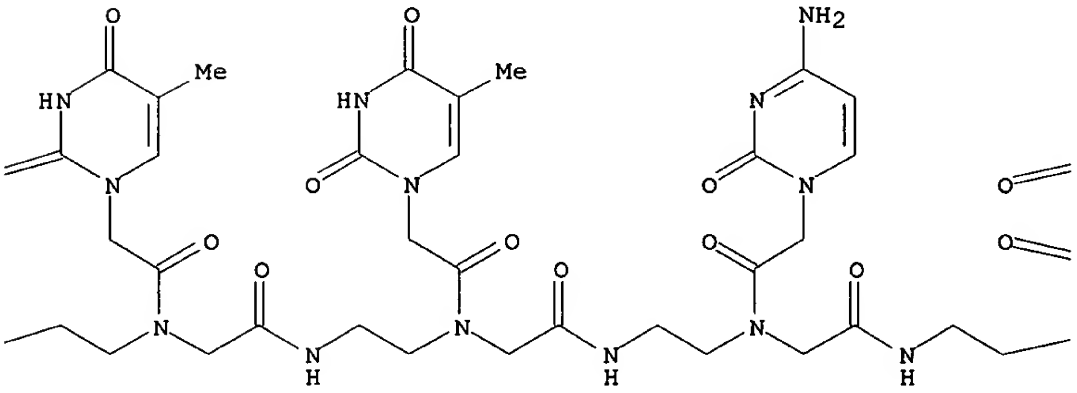

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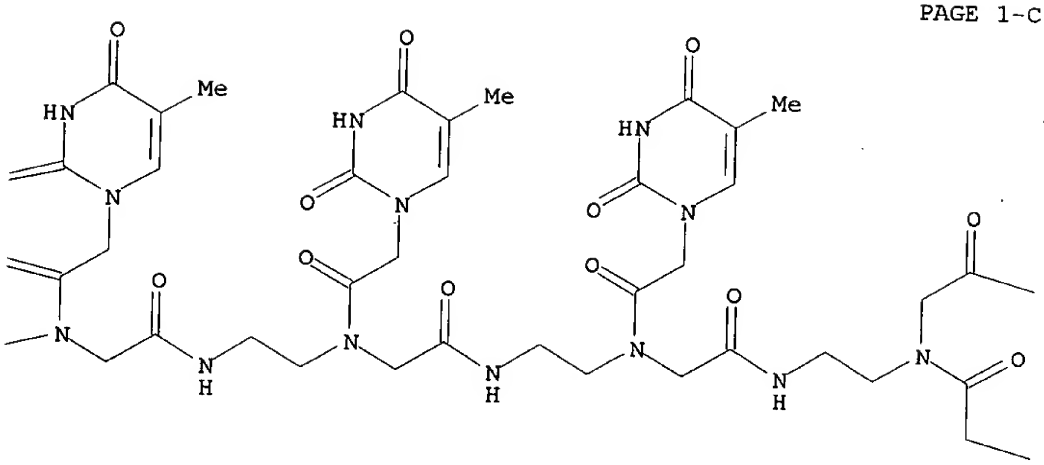

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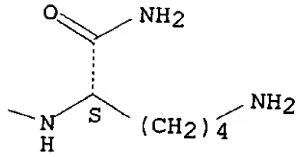
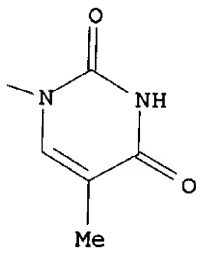
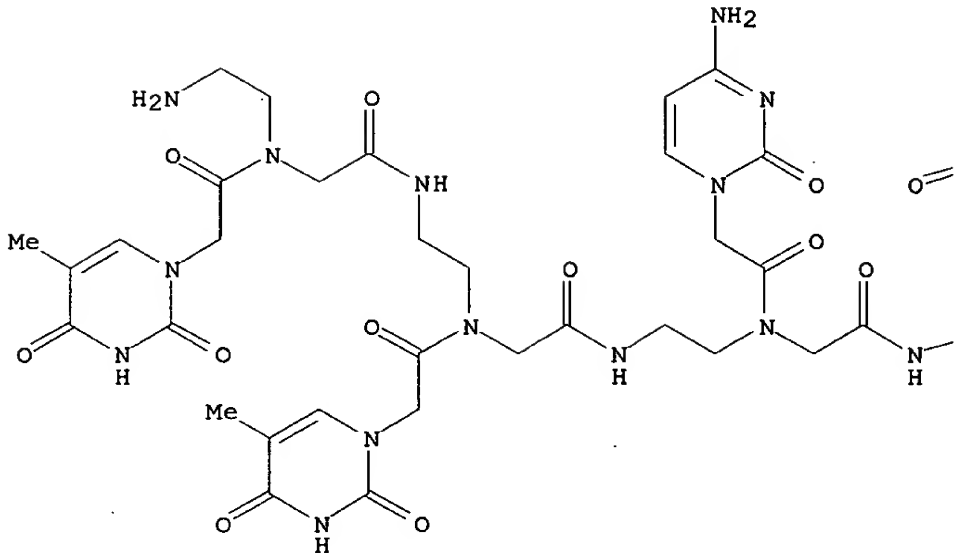




RN 148273-99-8 CAPLUS
CN Peptide nucleic acid, (H-T-T-C-T-T-C-T-T-T-T)-L-lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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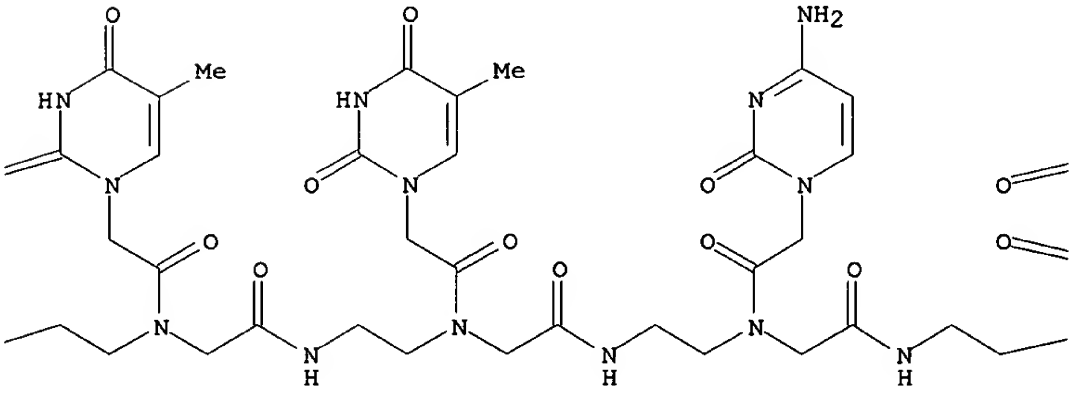

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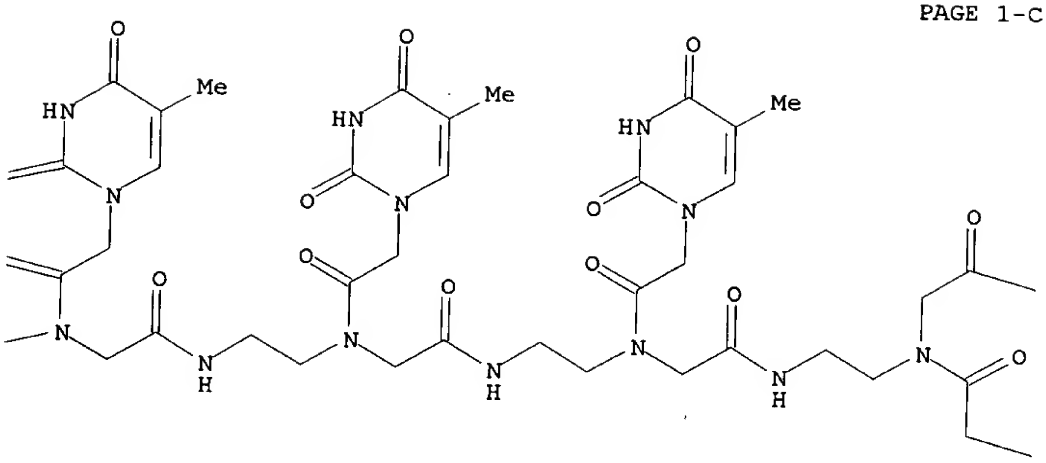

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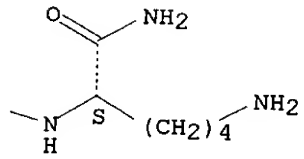
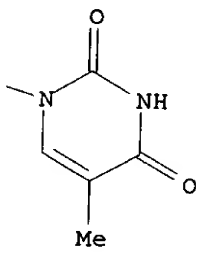




L11 ANSWER 46 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1993:496161 CAPLUS
DOCUMENT NUMBER: 119:96161
TITLE: Right-handed triplex formed between peptide nucleic acid PNA-T8 and poly(dA) shown by linear and circular dichroism spectroscopy
AUTHOR(S): Kim, Seog K.; Nielsen, Peter E.; Egholm, Michael; Buchardt, Ole; Berg, Rolf H.; Norden, Bengt
CORPORATE SOURCE: Dep. Phys. Chem., Chalmers Univ. Technol., Goeteborg, S-412 96, Swed.
SOURCE: J. Am. Chem. Soc. (1993), 115(15), 6477-81
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: English
Searched by Barb O'Bryen, STIC 308-4291

AB The binding of an eightmer of peptide nucleic acid, H-T8-Lys-NH2 (PNA-T8), to a polynucleotide, poly(dA), was studied by flow linear dichroism (LD) and CD spectroscopy. Whereas the single stranded DNA, due to its high flexibility, does not display any measurable LD signal when subjected to shear flow, the complex with PNA does. A titrn. shows that satn. occurs at a stoichiometry of two PNA thymine bases per DNA adenine base, indicating the formation of a triplex (PNA)2-DNA complex. The persistence length of the adduct remains small up to relatively high stoichiometries (above 1:1 T:A) indicating that no significant amts. of PNA:DNA duplex are formed. Instead triplex stretches seem to form surrounded by flexible parts of single stranded poly(dA). Upon approaching the stoichiometry 2:1 of T:A the LD increases dramatically demonstrating that the stiffness of the PNA-DNA triplex arises from base-base contacts preventing bending of the chain. It is also inferred that the main stiffness of duplex DNA very probably has a similar origin and is not primarily a result of the increased phosphate-phosphate repulsion. CD spectra support the conclusion that a triplex is formed as the only PNA-DNA complex and that it is a right-handed helix. The wavelength dependence of the reduced linear dichroism shows that the inclination of the bases from perpendicularity relative to the helix axis is small. The base conformation of the poly(dA)[PNA-T8]2 triplex is very similar to that of the conventional poly(dA)[poly(dT)]2 triplex.

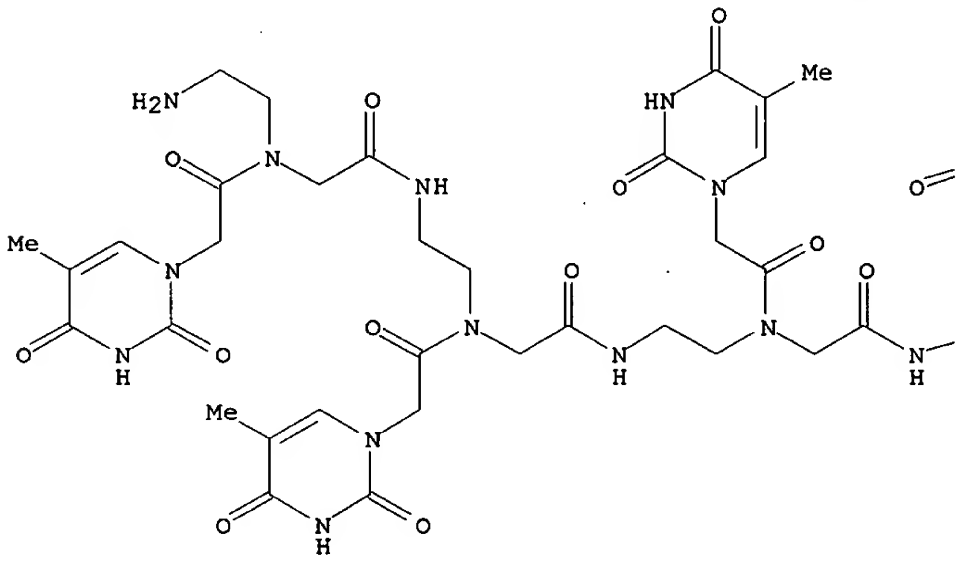
IT **139166-84-0**
RL: PROC (Process)
(triplex formation of, with poly(adenylic acid))

RN 139166-84-0 CAPLUS

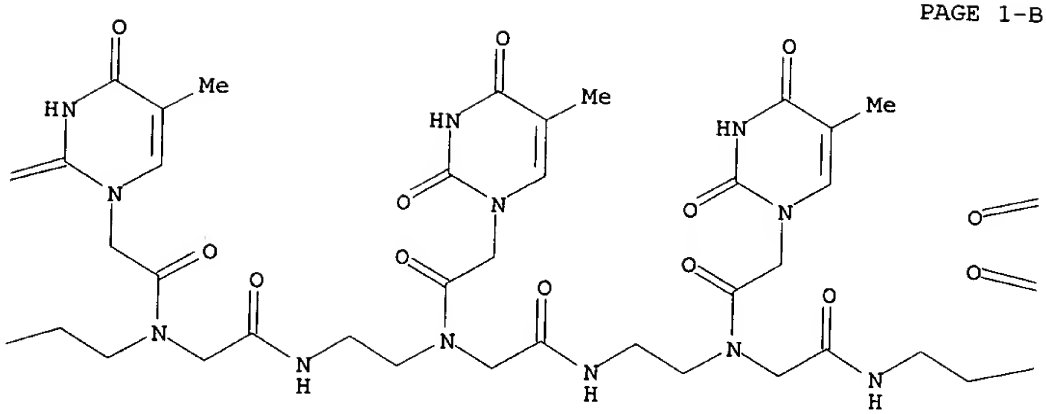
CN Peptide nucleic acid, (H-T-T-T-T-T-T-T-T)-L-lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

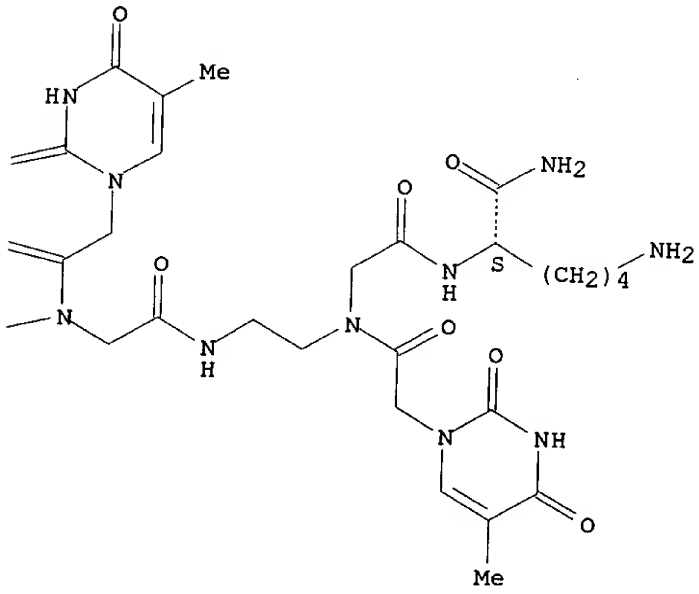
PAGE 1-A



PAGE 1-B



PAGE 1-C



L11 ANSWER 47 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1993:489753 CAPLUS
DOCUMENT NUMBER: 119:89753
TITLE: Sequence selective double strand DNA cleavage by peptide nucleic acid (PNA) targeting using nuclease S1
AUTHOR(S): Demidov, Vadim; Frank-Kamenetskii, Maxim D.; Egholm, Michael; Buchardt, Ole; Nielsen, Peter E.
CORPORATE SOURCE: Inst. Mol. Genet., Moscow, 123182, Russia
SOURCE: Nucleic Acids Res. (1993), 21(9), 2103-7
CODEN: NARHAD; ISSN: 0305-1048
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A novel method for sequence-specific double-strand DNA cleavage using PNA (peptide nucleic acid) targeting is described. Nuclease S1 digestion of double stranded DNA gives rise to double strand cleavage at an occupied PNA strand displacement binding site, and under optimized conditions

complete cleavage can be obtained. The efficiency of this cleavage is more than 10-fold enhanced when a tandem PNA site is targeted, and addnl. enhanced if this site is in trans rather than in cis orientation. Thus in effect, the PNA targeting makes the single strand-specific nuclease S1 behave like a pseudo-restriction endonuclease.

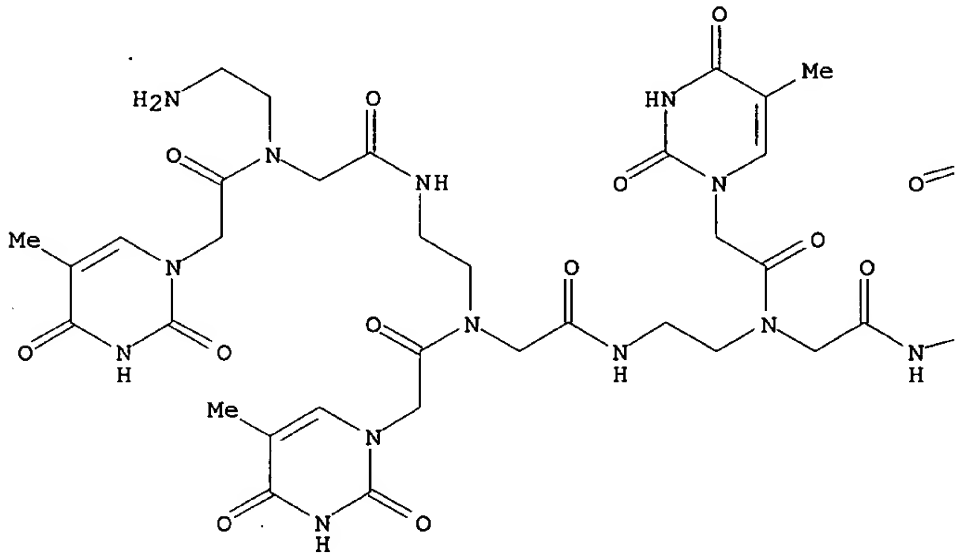
IT **139166-85-1 148273-98-7 148273-99-8**
RL: BIOL (Biological study)
(double-stranded DNA cleavage by nuclease S1 directed by, specificity of)

RN 139166-85-1 CAPLUS

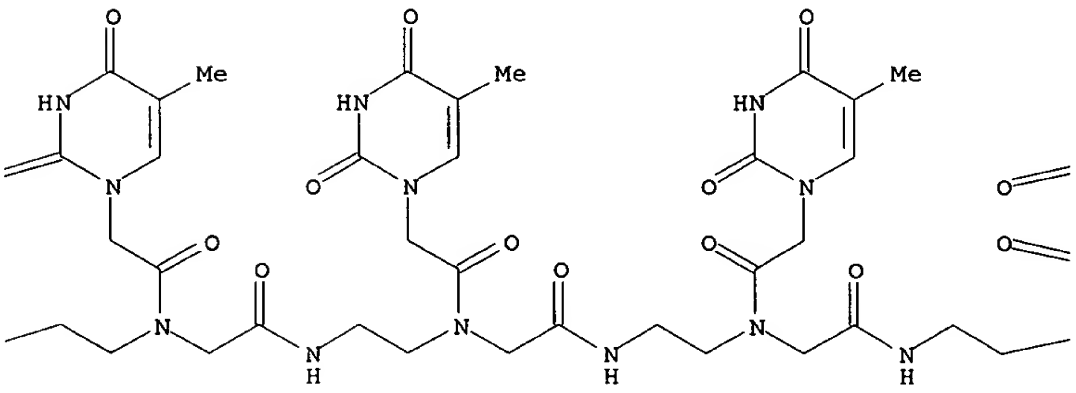
CN Peptide nucleic acid, (H-T-T-T-T-T-T-T-T-T-T)-L-lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



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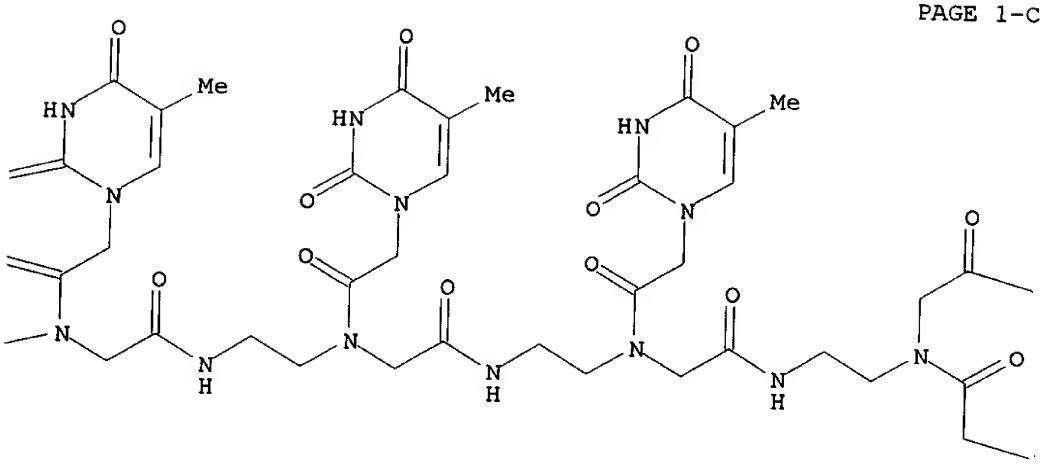
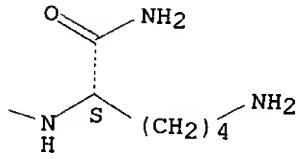
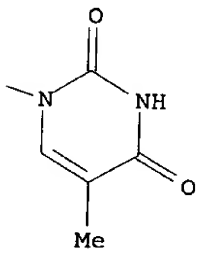


PAGE 1-D

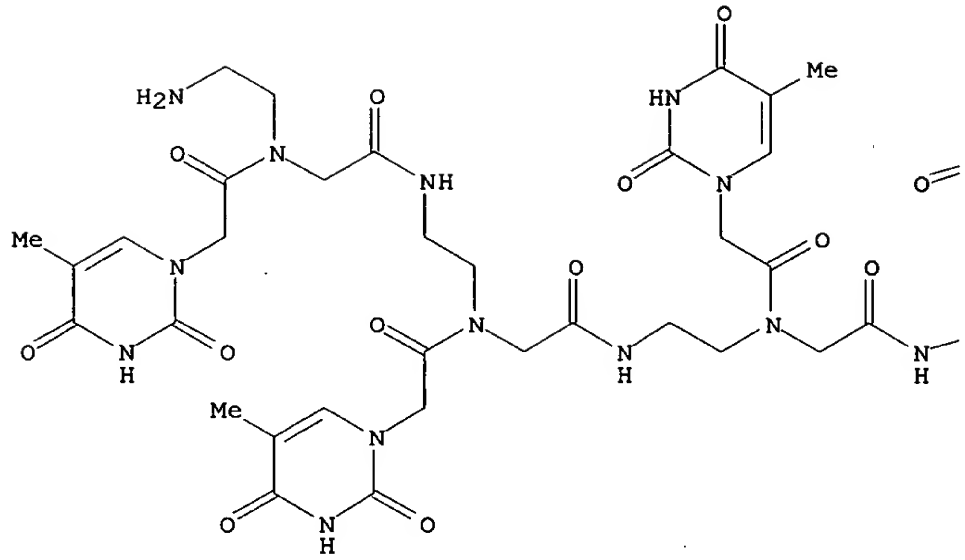




RN 148273-98-7 CAPLUS
CN Peptide nucleic acid, (H-T-T-T-T-T-C-T-T-T-T-T)-L-lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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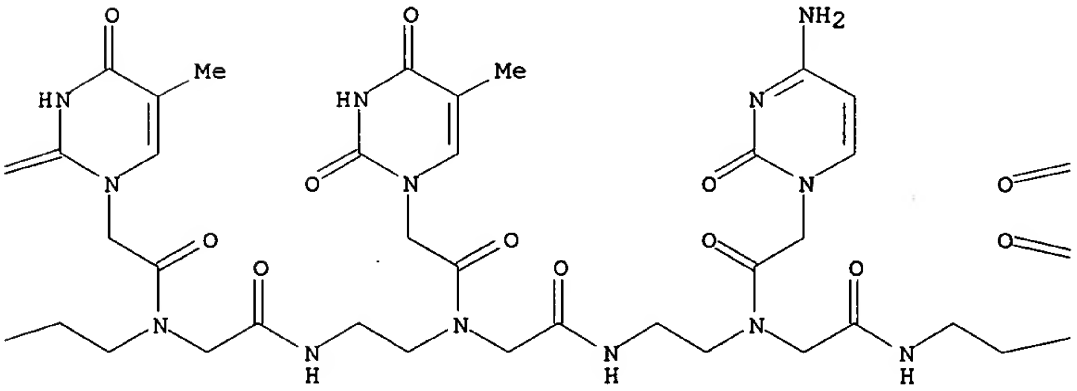

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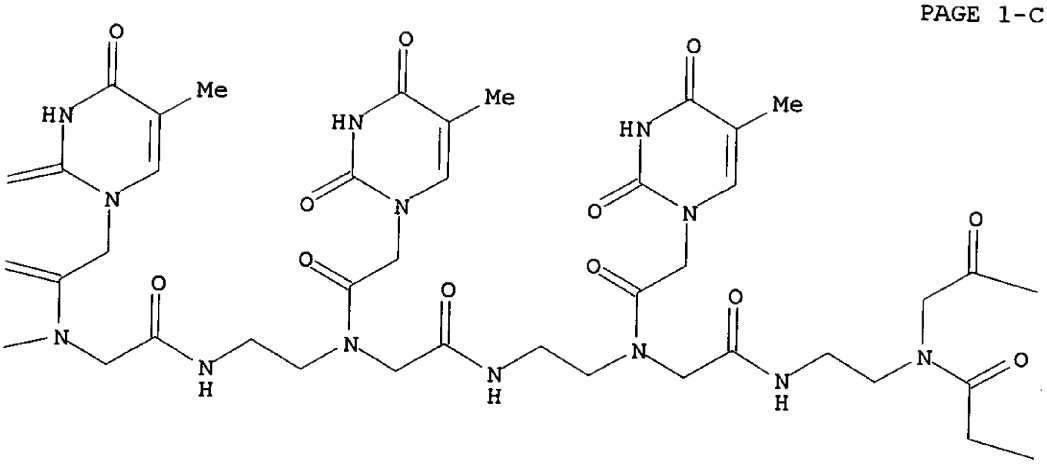

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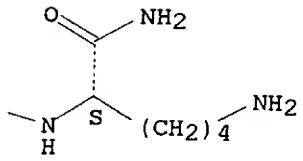
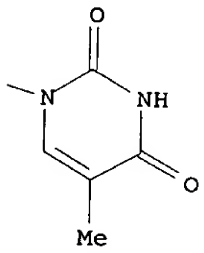
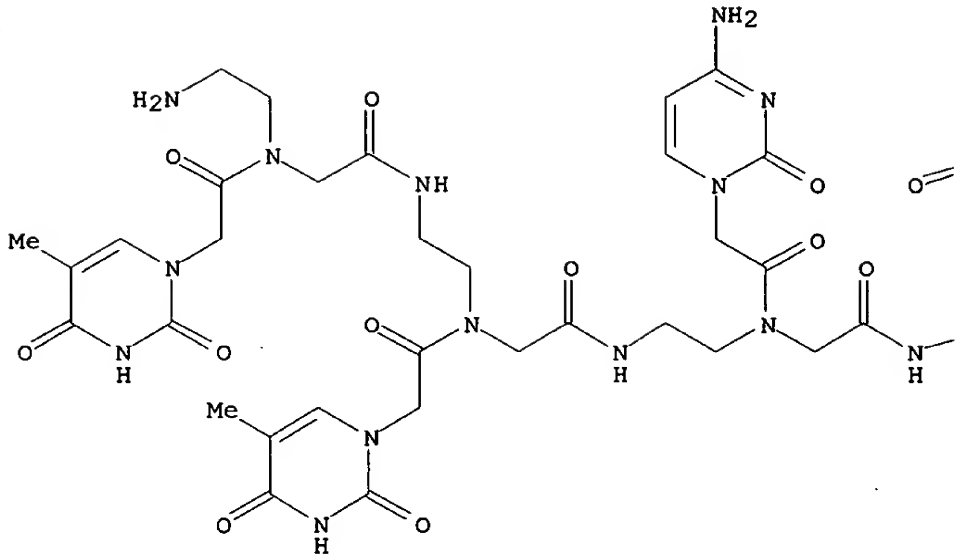




RN 148273-99-8 CAPLUS
CN Peptide nucleic acid, (H-T-T-C-T-T-C-T-T-T-T)-L-lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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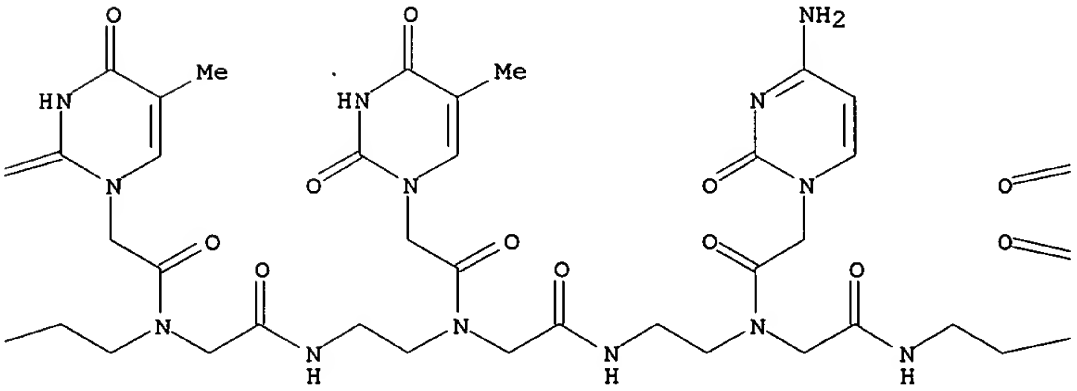

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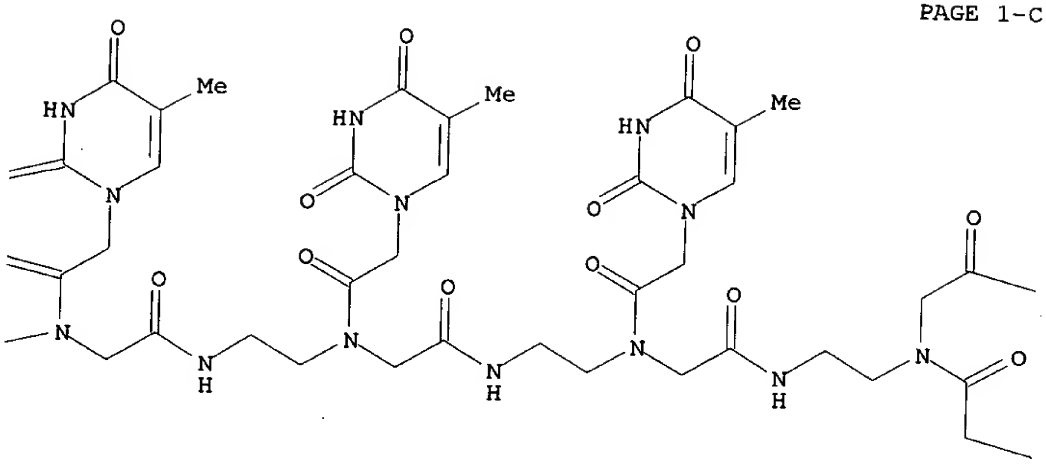

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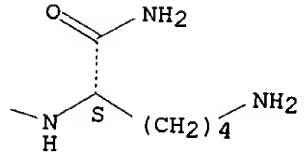
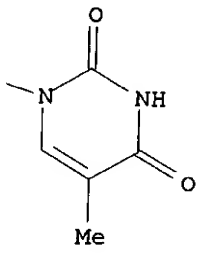




L11 ANSWER 48 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1993:423368 CAPLUS
DOCUMENT NUMBER: 119:23368
TITLE: Sequence specific inhibition of DNA restriction enzyme cleavage by PNA
AUTHOR(S): Nielsen, Peter E.; Egholm, Michael; Berg, Rolf H.; Buchardt, Ole
CORPORATE SOURCE: Res. Cent. Med. Biotechnol., Panum Inst., Copenhagen, DK-2200, Den.
SOURCE: Nucleic Acids Res. (1993), 21(2), 197-200
CODEN: NARHAD; ISSN: 0305-1048
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Plasmids contg. double-stranded 10-mer peptide nucleic acid (PNA) chimera

targets proximally flanked by 2 restriction endonuclease sites were challenged with the complementary PNA or PNAs having 1 or 2 mismatches, and the effect on the restriction endonuclease cleavage of the flanking sites was assayed. The following PNAs were used: T10-LysNH2, T5CT4-LysNH2, and T2CT2CT4-LysNH2, and the corresponding targets cloned into pUC 19 were flanked by BamH1, Sal1, or PstI sites, resp. In all cases, it was found that complete inhibition of restriction endonuclease cleavage was obtained with the complementary PNA, a significantly reduced effect was seen with a PNA having 1 mismatch, and no effect was seen with a PNA having 2 mismatches. These results show that PNA can be used as sequence-specific blockers of DNA recognizing proteins.

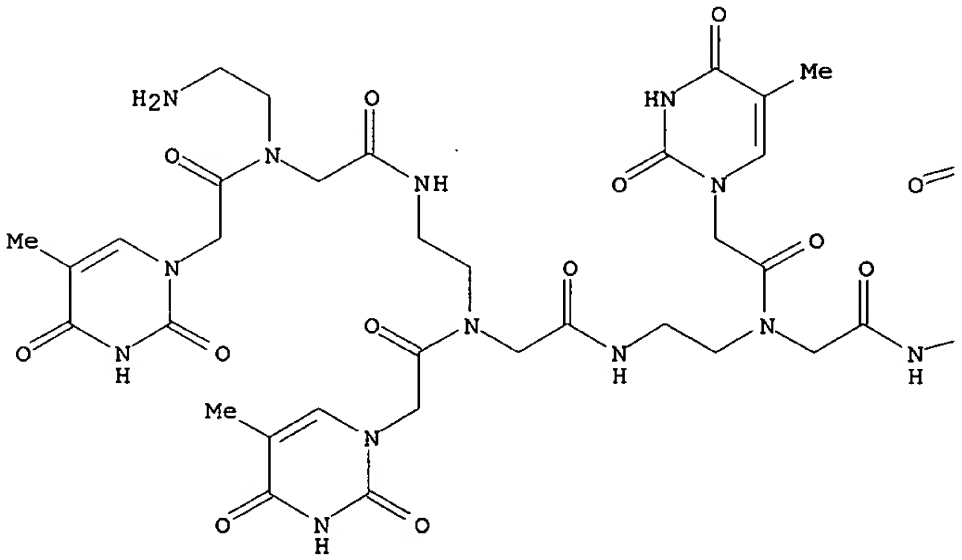
IT **139166-85-1 148273-98-7 148273-99-8**
RL: BIOL (Biological study)
(restriction endonuclease inhibition by, mechanism of, sequence-specific binding to DNA in relation to)

RN 139166-85-1 CAPLUS

CN Peptide nucleic acid, (H-T-T-T-T-T-T-T-T-T-T)-L-lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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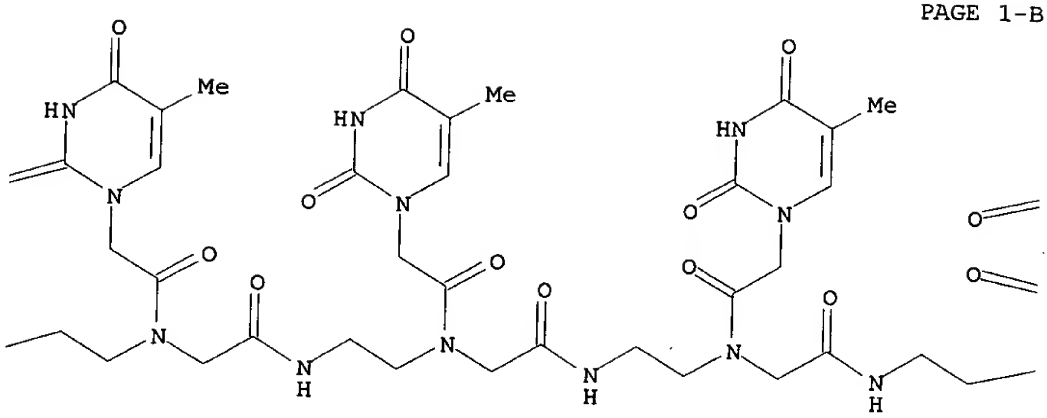

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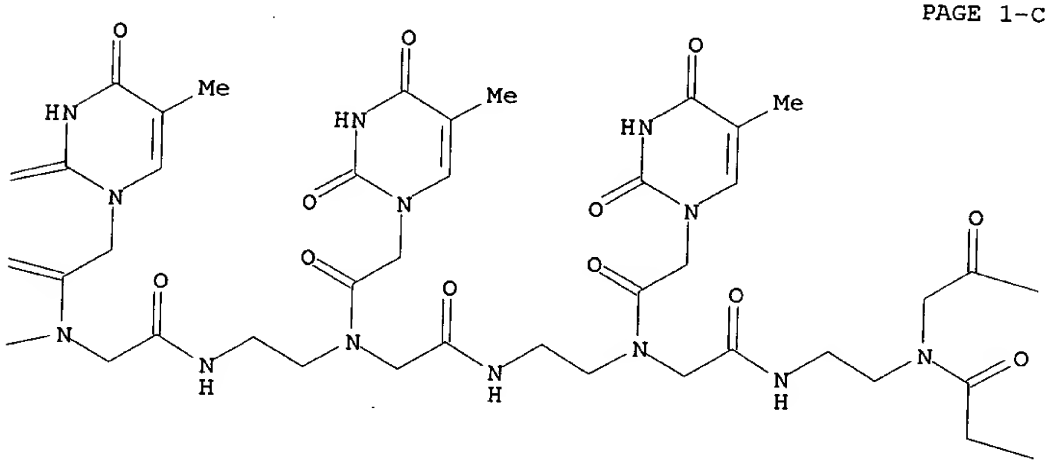

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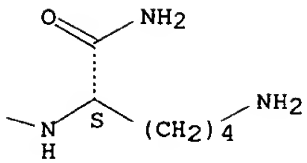
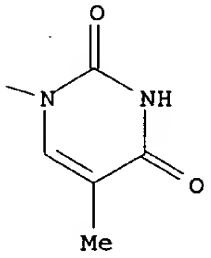
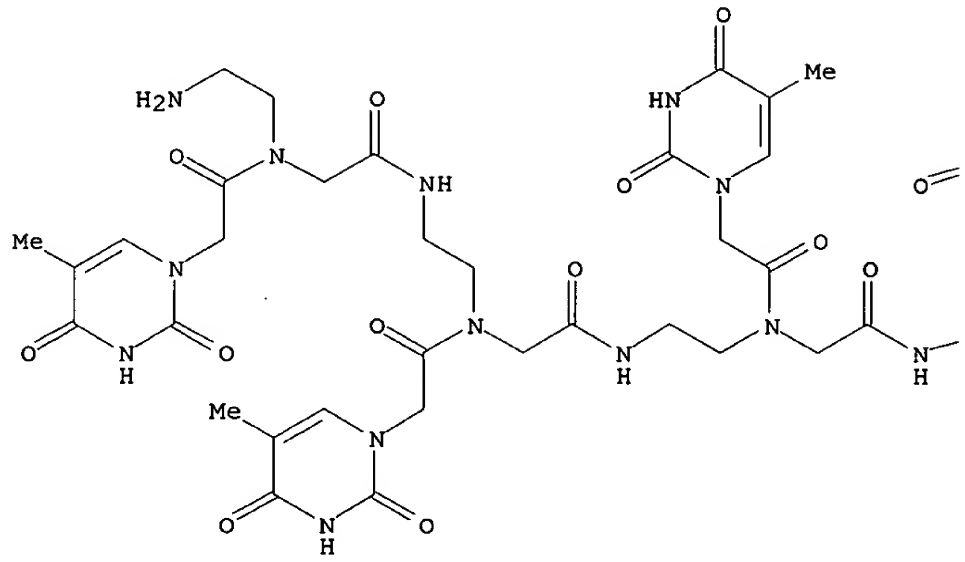




RN 148273-98-7 CAPLUS
CN Peptide nucleic acid, (H-T-T-T-T-T-C-T-T-T-T)-L-lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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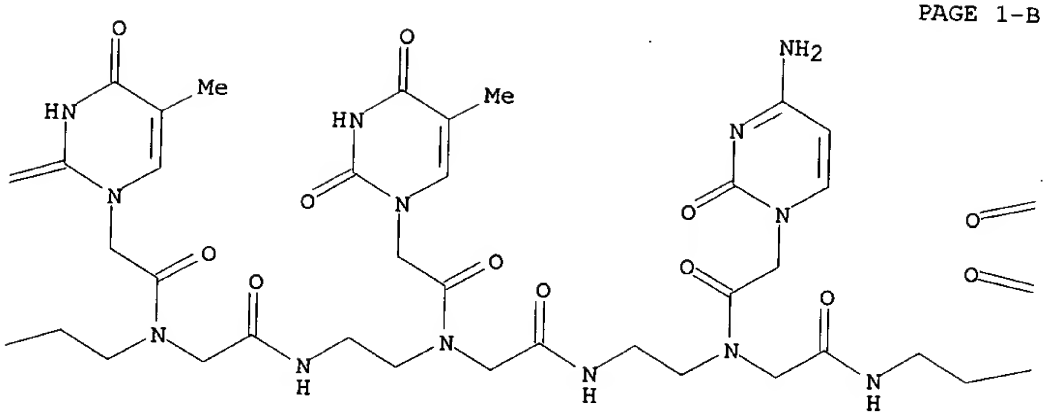

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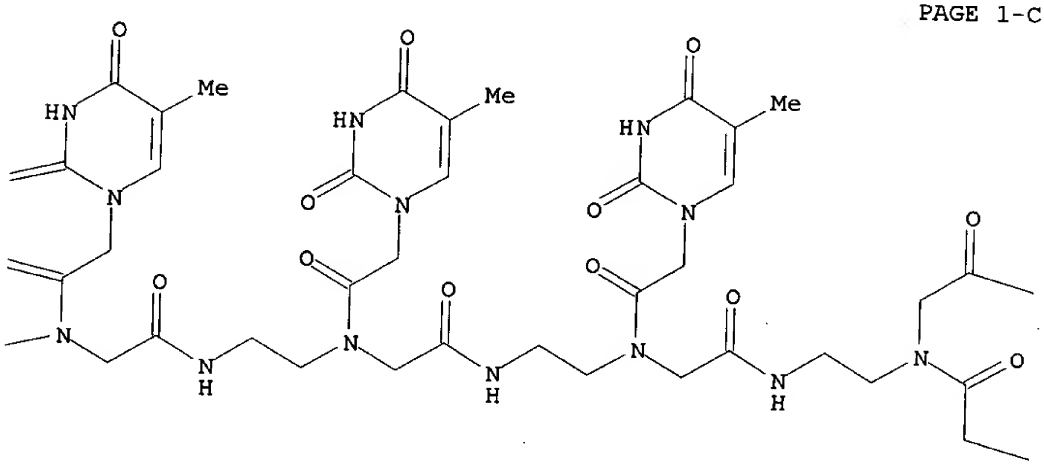

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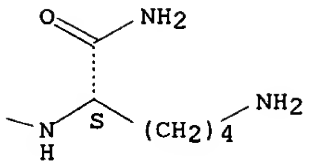
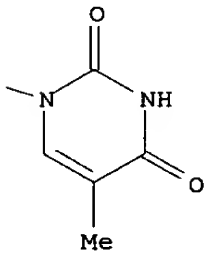
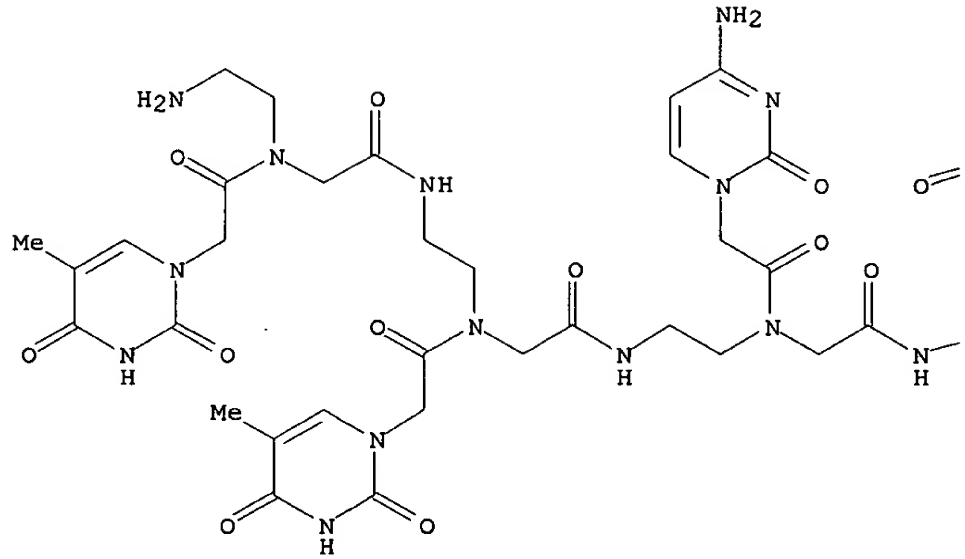




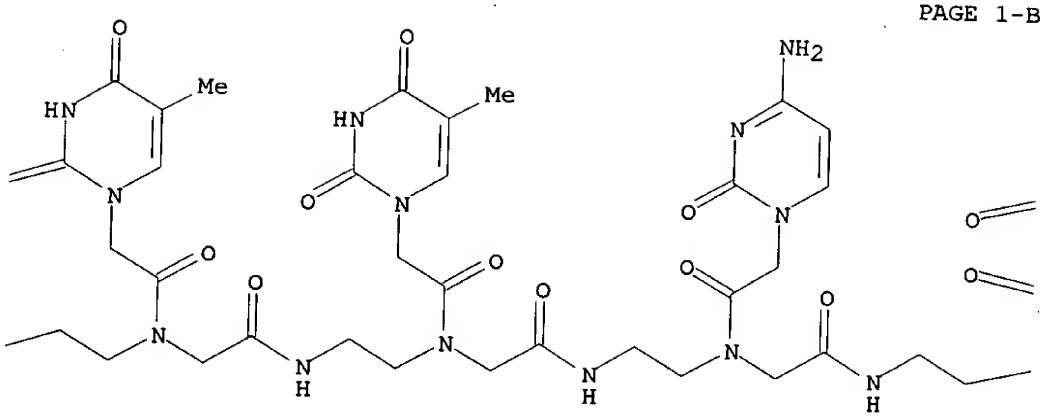
RN 148273-99-8 CAPLUS
CN Peptide nucleic acid, (H-T-T-C-T-T-C-T-T-T-T)-L-lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



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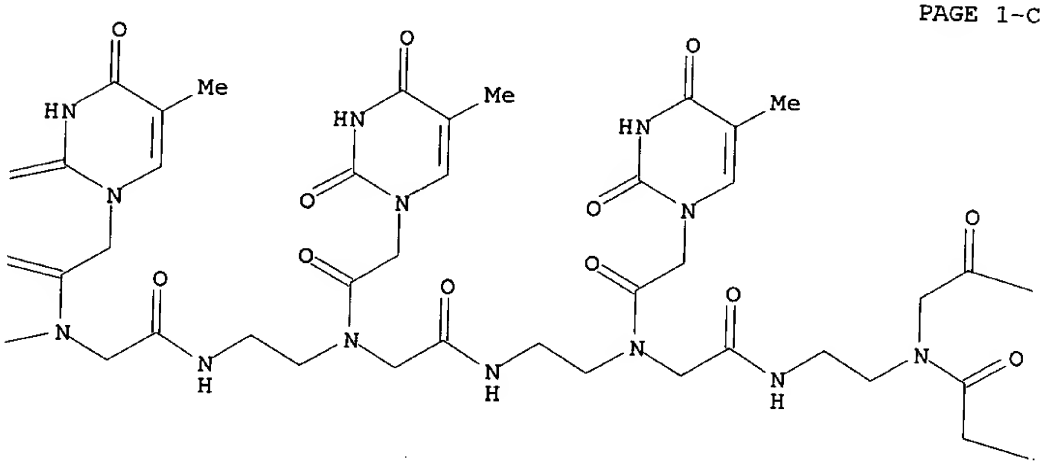

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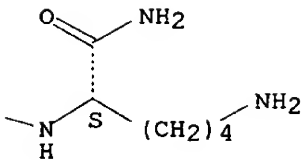
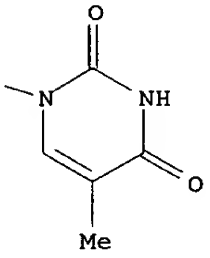




L11 ANSWER 49 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1993:226662 CAPLUS
DOCUMENT NUMBER: 118:226662
TITLE: Antisense and antigen properties of peptide nucleic acids
AUTHOR(S): Hanvey, Jeffery C.; Peffer, Nancy J.; Bisi, John E.; Thomson, Stephen A.; Cadilla, Rodolfo; Josey, John A.; Ricca, Daniel J.; Hassman, C. Fred; Bonham, Michele A.; et al.
CORPORATE SOURCE: Dep. Cell Biol., Glaxo Inc., Research Triangle Park, NC, 27709, USA
SOURCE: Science (Washington, D. C., 1883-) (1992), 258(5087), 1481-5
CODEN: SCIEAS; ISSN: 0036-8075
DOCUMENT TYPE: Journal
LANGUAGE: English

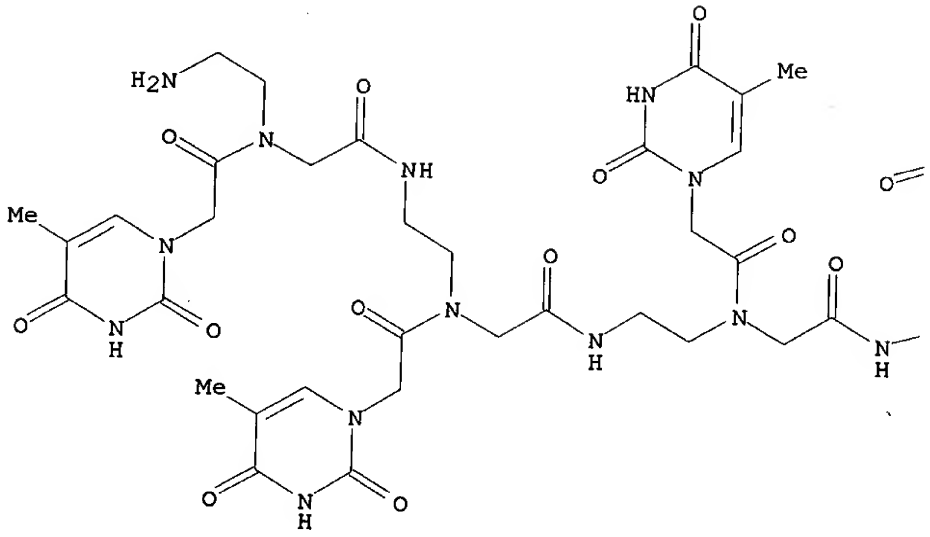
AB Peptide nucleic acids (PNAs) are polyamide oligomers that can strand invade duplex DNA, causing displacement of one DNA strand and formation of a D-loop. Binding of either a T10 PNA (T stands for thymidine) or a mixed sequence 15-mer PNA to the transcribed strand of a G-free transcription cassette caused 90 to 100 percent site-specific termination of RNA polymerase (pol) II transcription elongation. When a T10 PNA was bound on the nontranscribed strand, site-specific inhibition never exceeded 50 percent. Binding of PNAs to RNA resulted in site-specific termination of both reverse transcription and in vitro translation, precisely at the position of the PNA.RNA heteroduplex. Nuclear microinjection of cells constitutively expressing SV40 large T antigen (T Ag) with either a 15-mer or 20-mer PNA targeted to the T Ag mRNA suppressed T Ag expression. This effect was specific in that there was no redn. in .beta.-galactosidase expression from a coinjected expression vector and no inhibition of T Ag expression after microinjection of a 10-mer PNA.

IT **139166-85-1**
RL: USES (Uses)
(RNA binding by, gene expression inhibition by)
RN 139166-85-1 CAPLUS
CN Peptide nucleic acid, (H-T-T-T-T-T-T-T-T-T-T)-L-lys-NH2 (9CI) (CA INDEX

NAME)

Absolute stereochemistry.

PAGE 1-A



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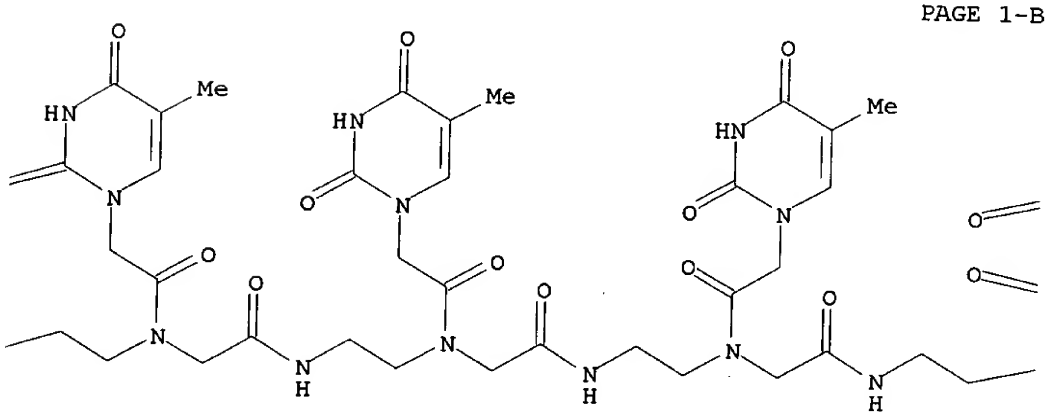
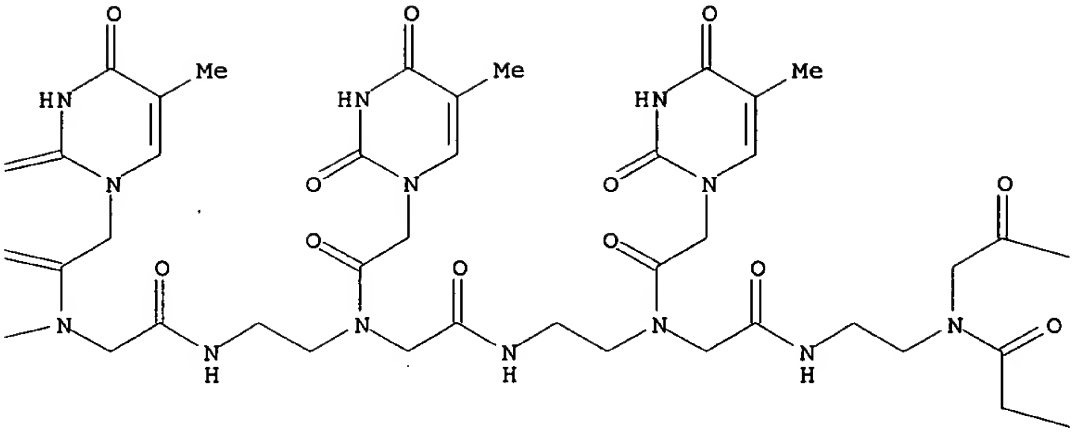
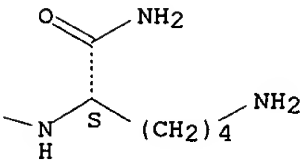
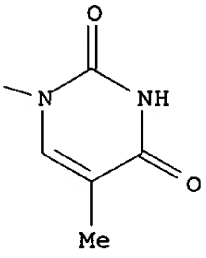


PAGE 1-C



PAGE 1-D





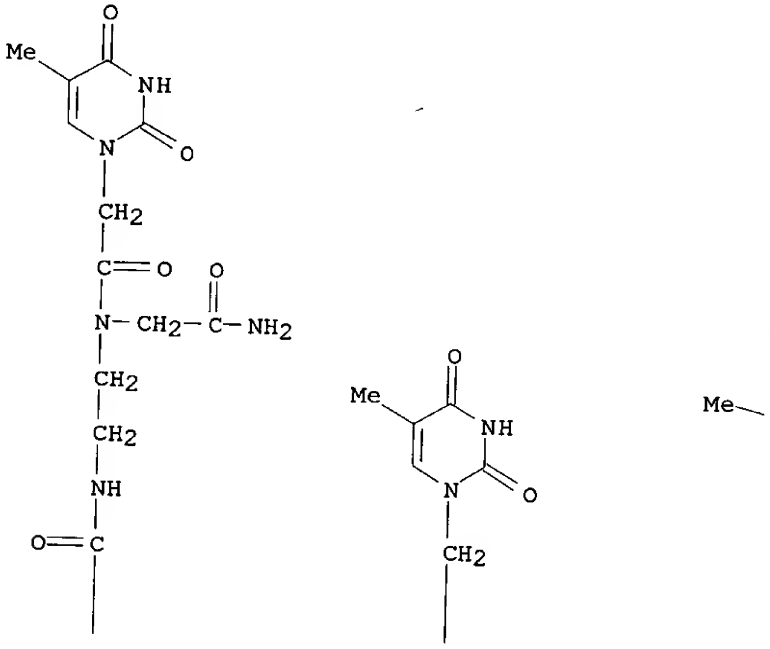
L11 ANSWER 50 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1992:506544 CAPLUS
DOCUMENT NUMBER: 117:106544
TITLE: Peptide nucleic acids (PNA's): unusual properties of a nonionic oligonucleotide analog
AUTHOR(S): Meier, Chris; Engels, Joachim W.
CORPORATE SOURCE: Inst. Org. Chem., Johann Wolfgang Goethe Univ., Frankfurt/Main, W-6000/50, Germany
SOURCE: Angew. Chem. (1992), 104(8), 1039-41 (See also Angew. Chem., Int. Ed. Engl., 1992, 31(8), 1008-10)
CODEN: ANCEAD; ISSN: 0044-8249
DOCUMENT TYPE: Journal
LANGUAGE: German
AB A peptide-nucleic acid (PNA) was prepd. by linking 2-aminoethylglycine

with thymidine using a methylenecarbonyl spacer. Hexa-, octa-, and deca-lysine thymidylate analog oligomers were also prepd. (Nielsen, P. E., et al., 1992) and characterized. These oligomers had unusual thermostability. Under some conditions the decamer PNA displaced (dT)10 from its complex with (dA)10, rather than forming a triple helix. Under other conditions, surprisingly, the binding was 2:1 in decamer PNA:DNA complexes. Oligonucleotide analogs are useful as models for the DNA double helix, in PCR for DNA amplification by mol. biologists, and as gene blockers.

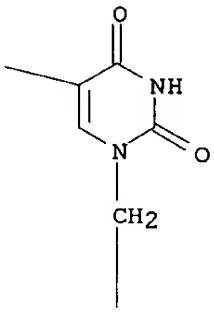
IT **142611-64-1**
RL: PRP (Properties)
(DNA binding by and thermostability and other properties of)

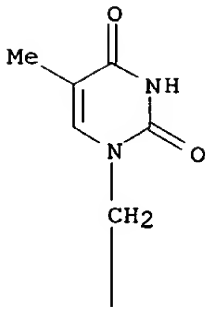
RN 142611-64-1 CAPLUS
CN Peptide nucleic acid, (H-T-T-T-T-T-T-T-T-T-T)-NH2 (9CI) (CA INDEX NAME)

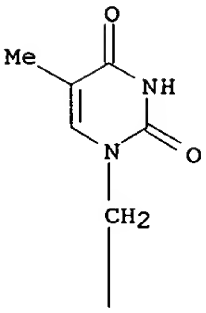
PAGE 1-A



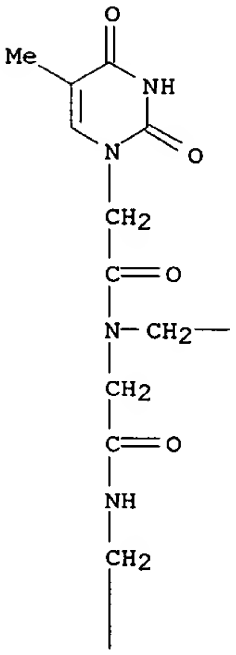
PAGE 1-B

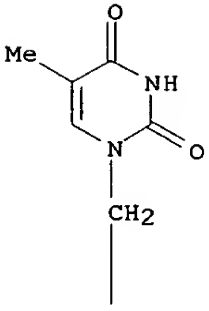


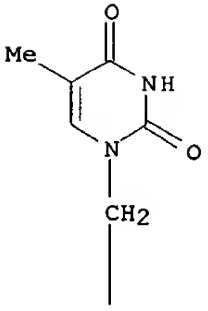




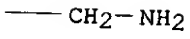
PAGE 1-C



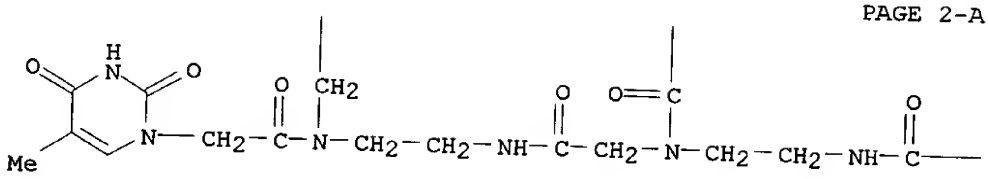




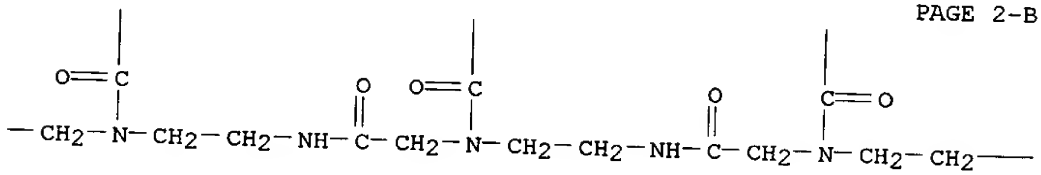
PAGE 1-D



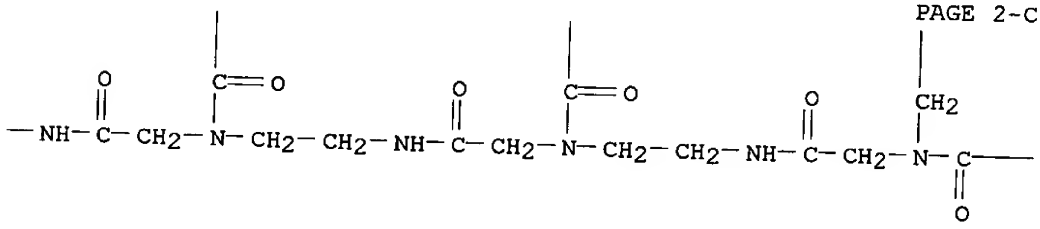
PAGE 2-A



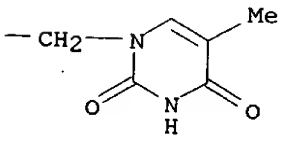
PAGE 2-B



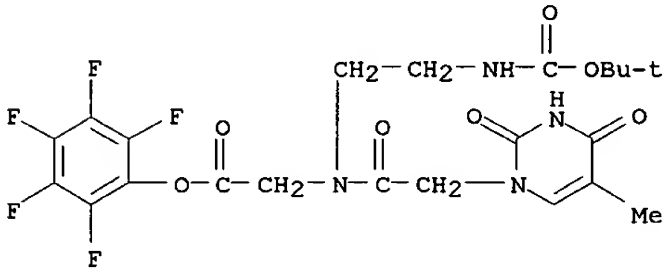
PAGE 2-C



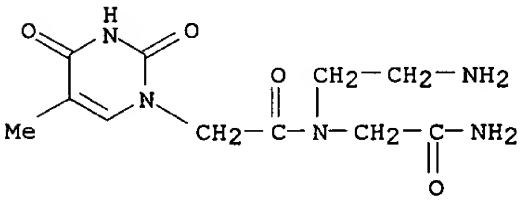
PAGE 2-D



IT **139166-81-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and Merrifield amidation of)
RN 139166-81-7 CAPLUS
CN Glycine, N-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-, pentafluorophenyl ester (9CI) (CA INDEX NAME)



IT **142611-61-8P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and properties of)
RN 142611-61-8 CAPLUS
CN 1(2H)-Pyrimidineacetamide, N-(2-aminoethyl)-N-(2-amino-2-oxoethyl)-3,4-dihydro-5-methyl-2,4-dioxo- (9CI) (CA INDEX NAME)

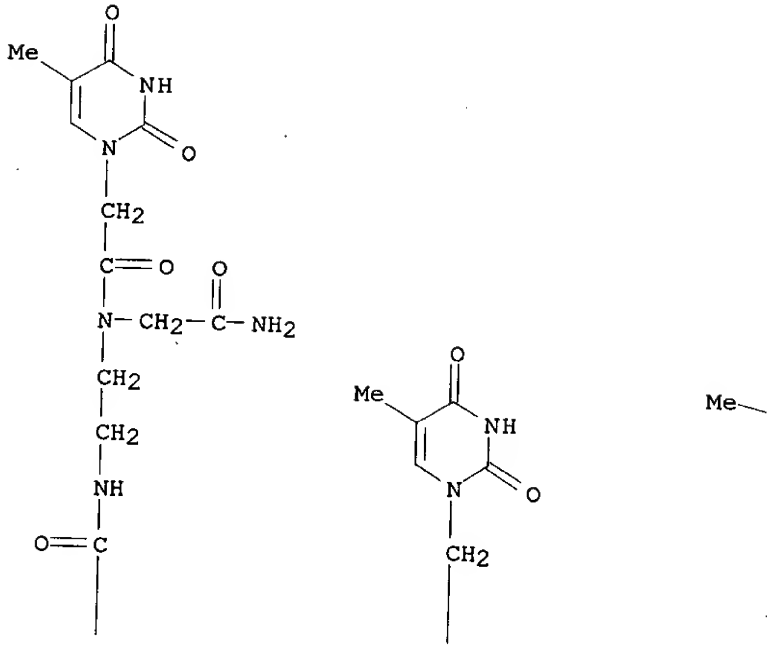


IT **142611-65-2P 142611-66-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 142611-65-2 CAPLUS
CN Adenosine, 2'-deoxyadenylyl-(3'.fwdarw.5')-2'-deoxyadenylyl-(3'.fwdarw.5')-2'-deoxyadenylyl-(3'.fwdarw.5')-2'-deoxyadenylyl-(3'.fwdarw.5')-2'-deoxyadenylyl-(3'.fwdarw.5')-2'-deoxyadenylyl-(3'.fwdarw.5')-2'-deoxyadenylyl-(3'.fwdarw.5')-2'-deoxyadenylyl-(3'.fwdarw.5')-2'-deoxy-, compd. with N-[32-amino-6,12,18,24,30-pentakis[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-2,8,14,20,26,32-hexaoxo-3,6,9,12,15,18,21,24,27,30-decaazadotriacont-1-yl]-N-[26-amino-6,12,18,24-tetrakis[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-4,10,16,22-tetraoxo-3,6,9,12,15,18,21,24-octaazahexacos-1-yl]-3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidineacetamide (1:1) (9CI) (CA INDEX NAME)

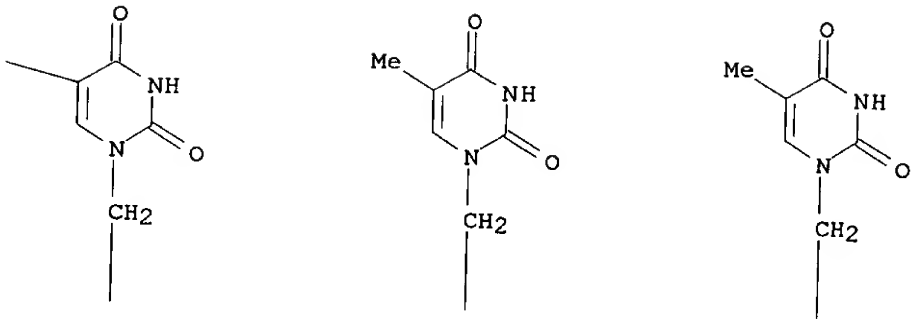
CM 1

CRN 142611-64-1
CMF C110 H143 N41 O40

PAGE 1-A

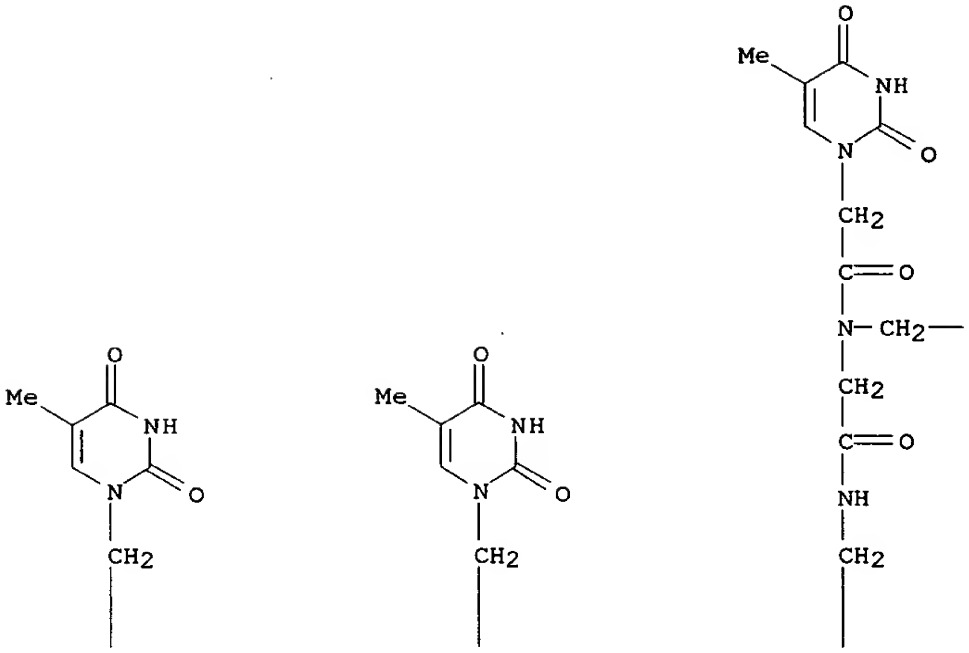


PAGE 1-B

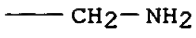


Searched by Barb O'Bryen, STIC 308-4291

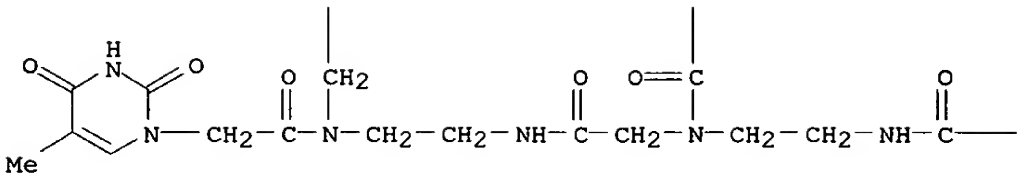
PAGE 1-C



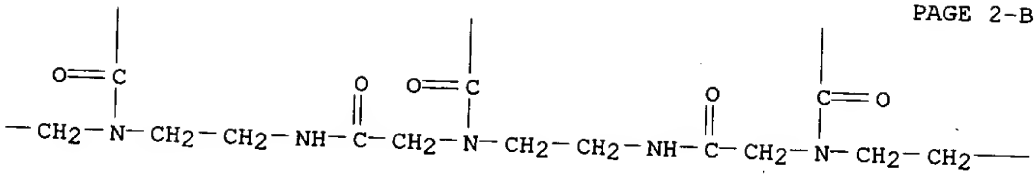
PAGE 1-D



PAGE 2-A



PAGE 2-B



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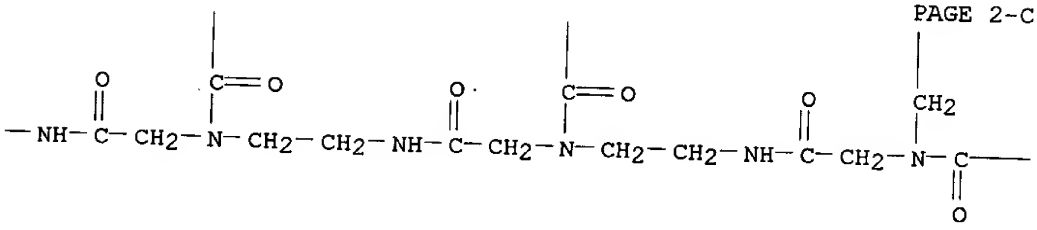

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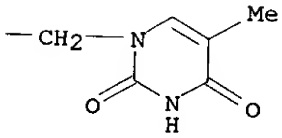
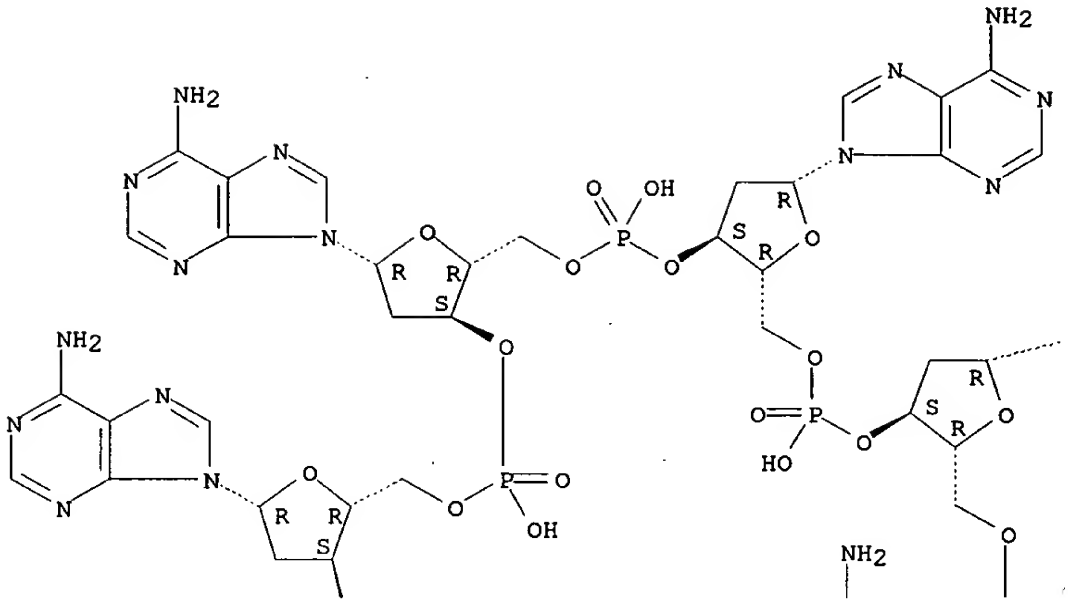


CM 2

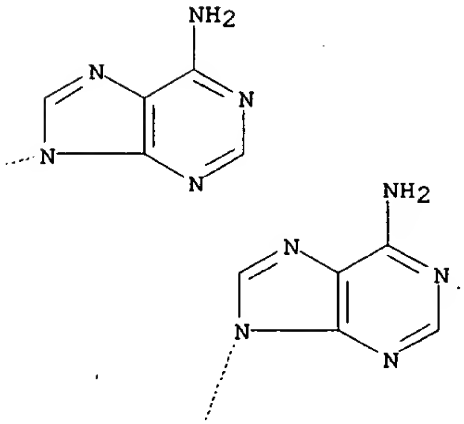
CRN 55508-40-2
CMF C100 H121 N50 O48 P9
CDES 5:ALL,B-D-ERYTHRO

Absolute stereochemistry.

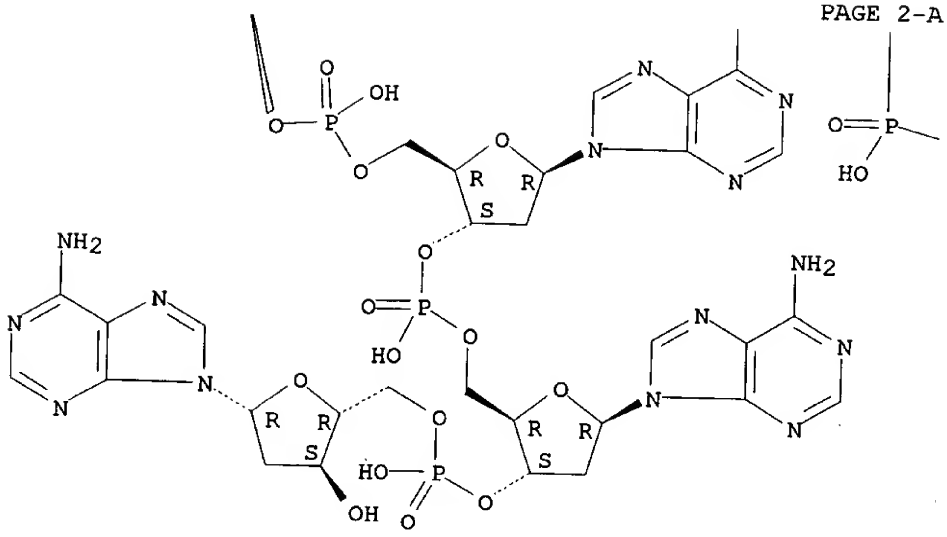
PAGE 1-A



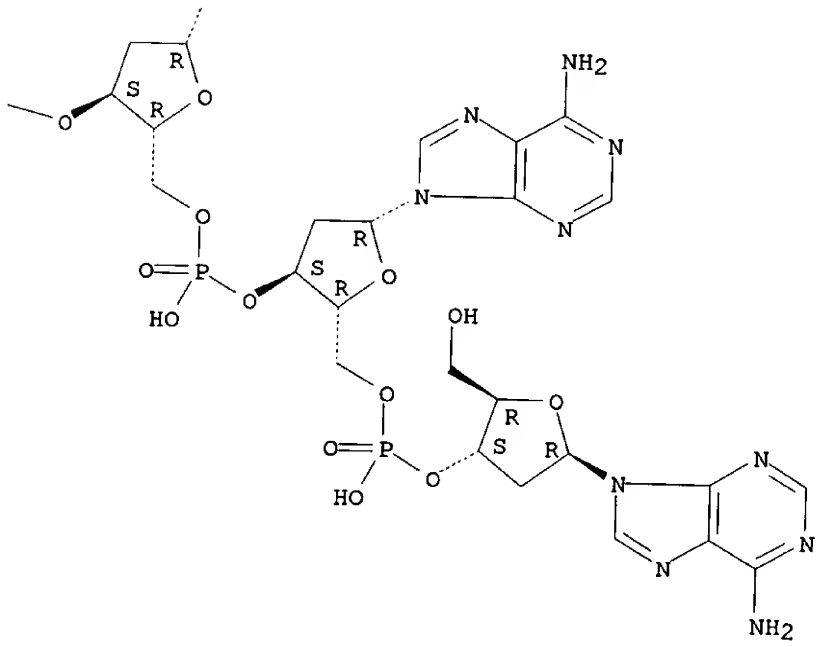
PAGE 1-B



PAGE 2-A



PAGE 2-B



RN 142611-66-3 CAPLUS

CN Adenosine, 2'-deoxyadenylyl-(3'.fwdarw.5')-2'-deoxyadenylyl-(3'.fwdarw.5')-2'-deoxyadenylyl-(3'.fwdarw.5')-2'-deoxyadenylyl-(3'.fwdarw.5')-2'-deoxyadenylyl-(3'.fwdarw.5')-2'-deoxyadenylyl-(3'.fwdarw.5')-2'-deoxyadenylyl-(3'.fwdarw.5')-2'-deoxyadenylyl-(3'.fwdarw.5')-2'-deoxy-, compd. with N-[32-amino-6,12,18,24,30-pentakis[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-2,8,14,20,26,32-hexaoxo-3,6,9,12,15,18,21,24,27,30-decaazadotriacont-1-yl]-N-[26-amino-6,12,18,24-tetrakis[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-4,10,16,22-tetraoxo-3,6,9,12,15,18,21,24-octaazahexacos-1-yl]-3,4-dihydro-5-methyl-2,4-dioxo-

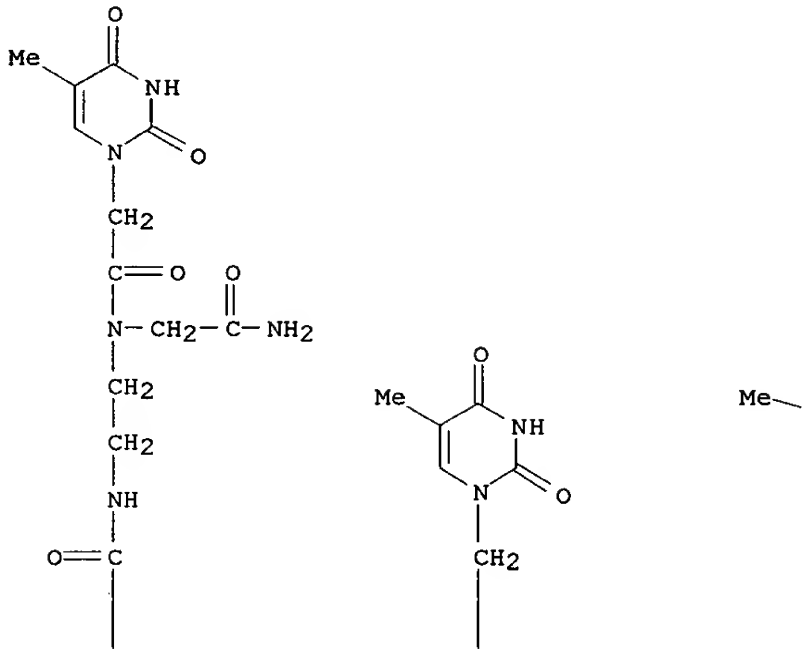
Searched by Barb O'Bryen, STIC 308-4291

1(2H)-pyrimidineacetamide (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 142611-64-1
CMF C110 H143 N41 O40

PAGE 1-A



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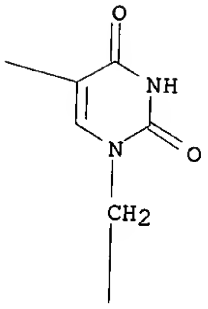

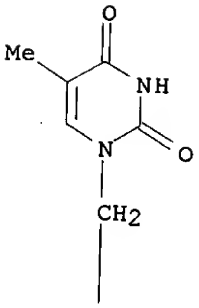

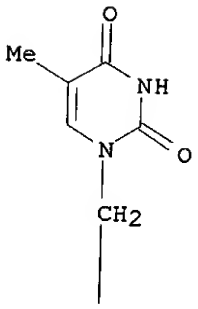

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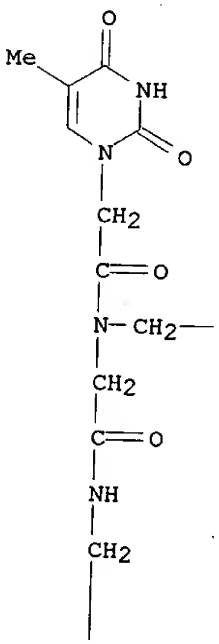

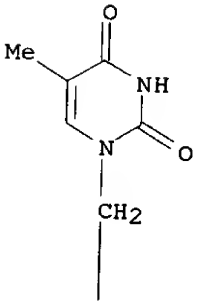

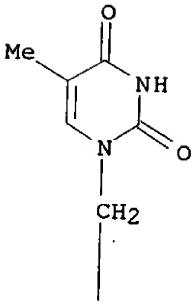

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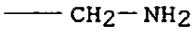

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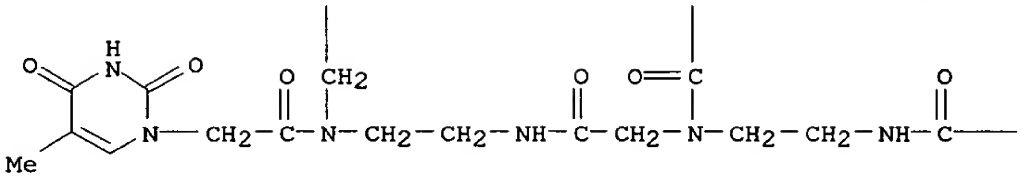

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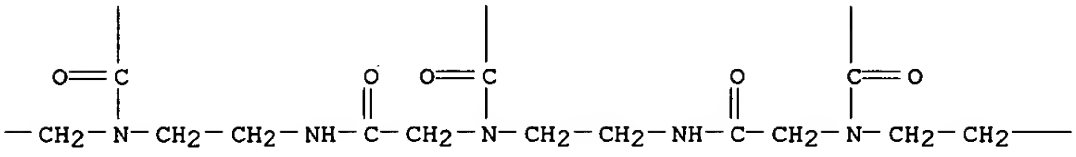

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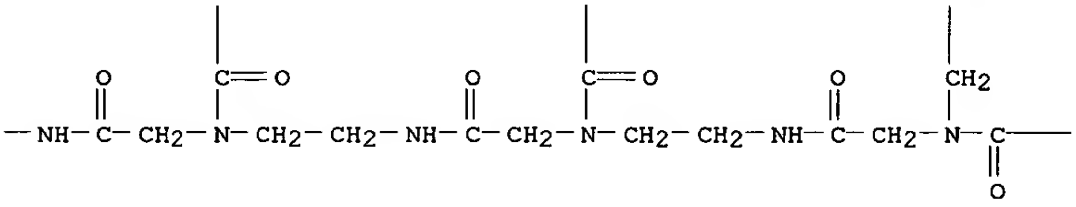

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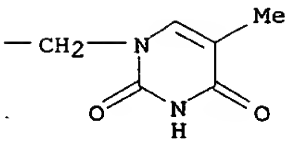
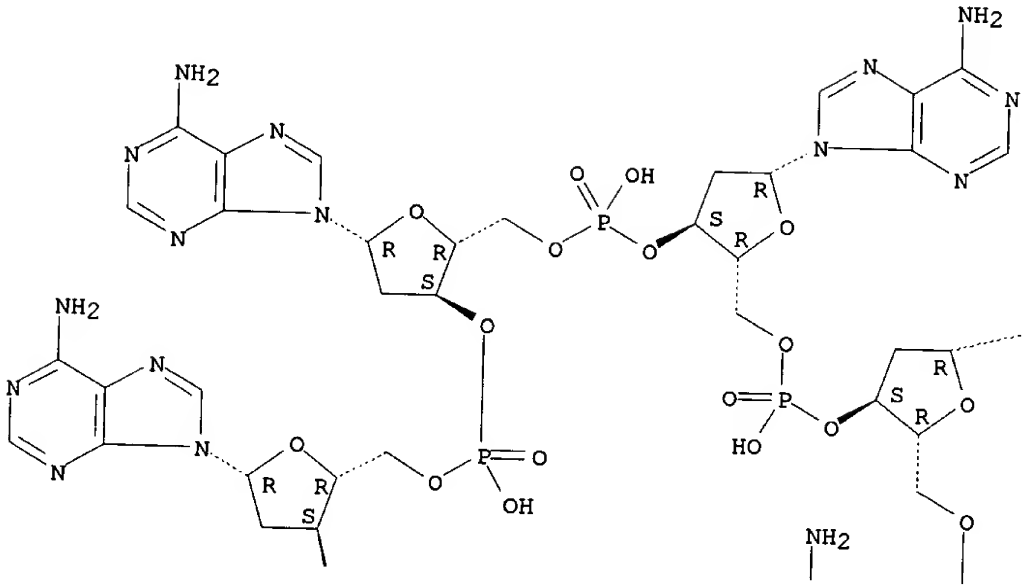


CM 2

CRN 55508-40-2
CMF C100 H121 N50 O48 P9
CDES 5:ALL,B-D-ERYTHRO

Absolute stereochemistry.

PAGE 1-A



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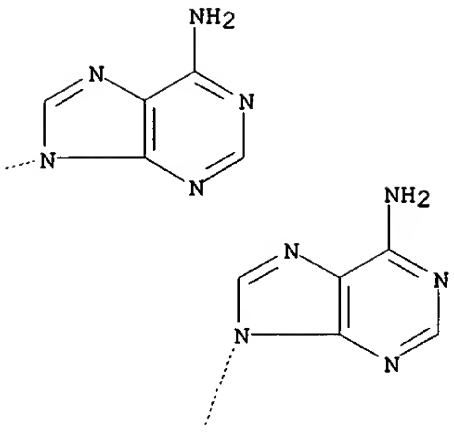

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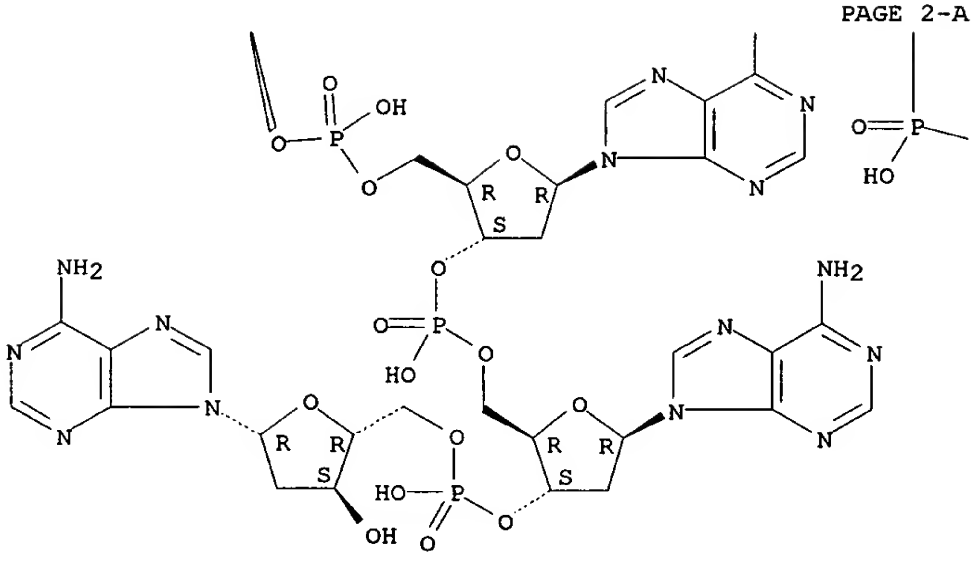

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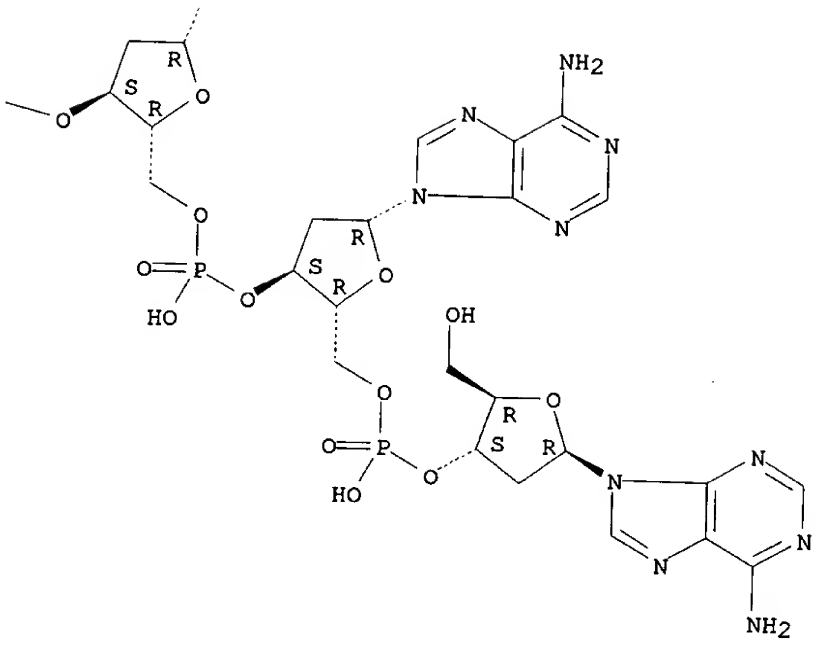
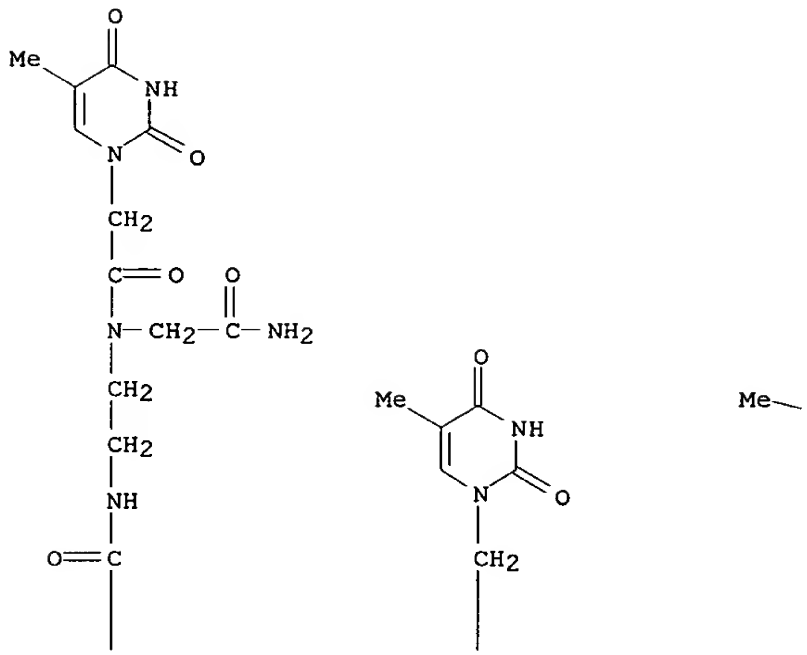


IT **142611-62-9 142611-63-0**
RL: PRP (Properties)
(thermostability and other properties of)
RN 142611-62-9 CAPLUS
CN 3,6,9,12,15,18,21,24,27,30,33-Undecaazapentatriacontanamide, 33-(2-aminoethyl)-35-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-3,9,15,21,27-pentakis[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-7,13,19,25,31,34-hexaoxo- (9CI) (CA INDEX NAME)

PAGE 1-A



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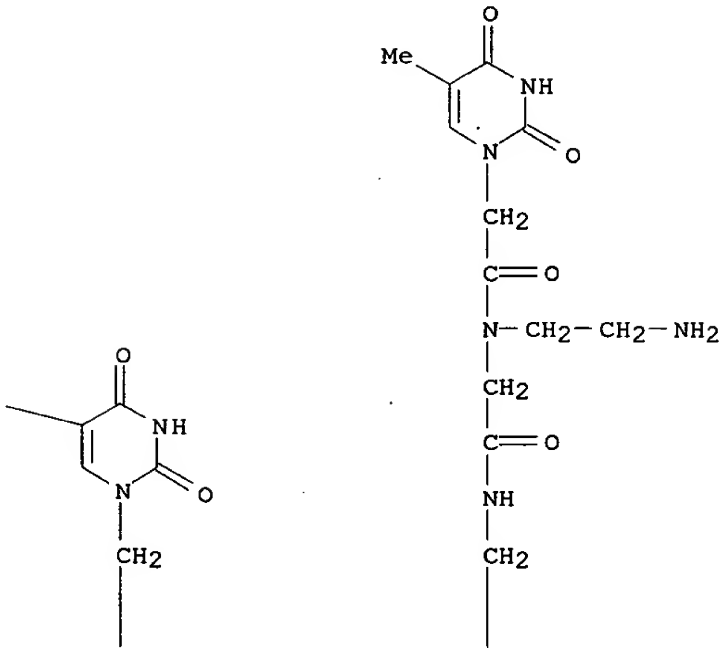

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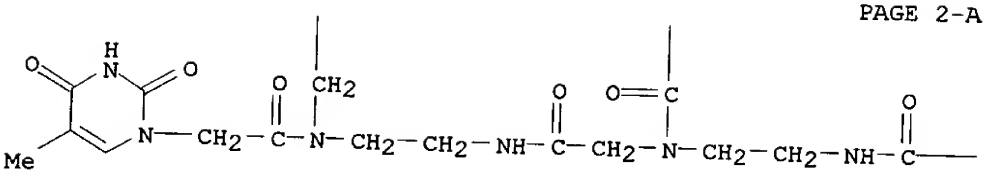

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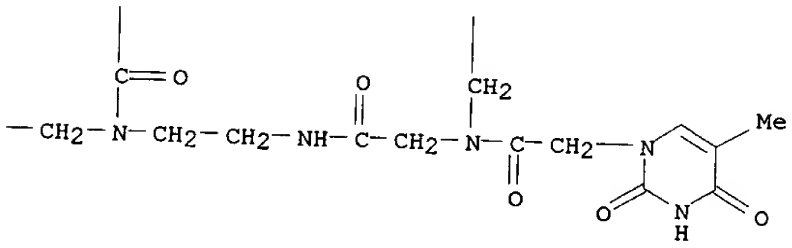
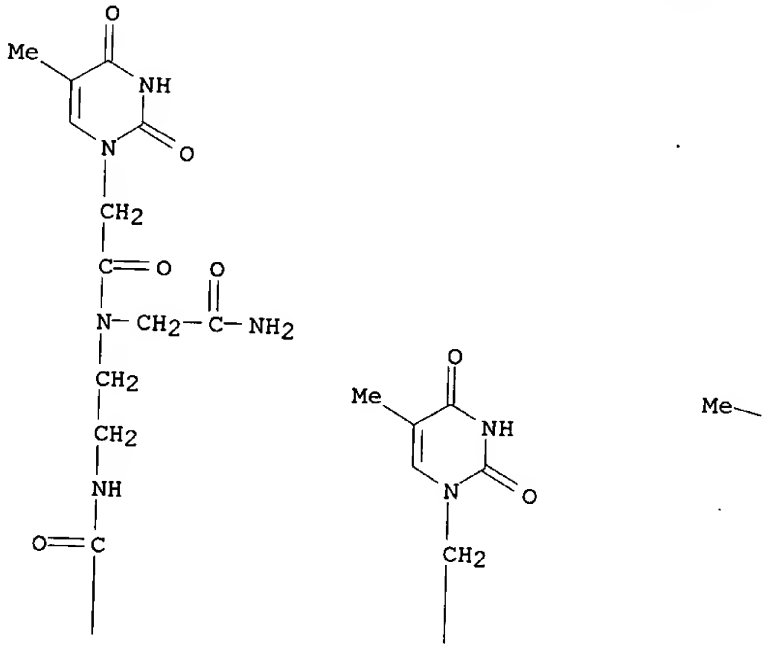


RN 142611-63-0 CAPLUS
CN 3,6,9,12,15,18,21,24,27,30,33,36,39,42,45-Pentadecaazaheptatetracosanamide, 45-(2-aminoethyl)-47-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-3,9,15,21,27,33,39-heptakis[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-7,13,19,25,31,37,43,46-octaoxo- (9CI) (CA INDEX NAME)

PAGE 1-A



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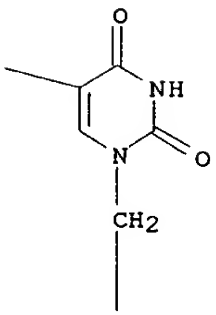

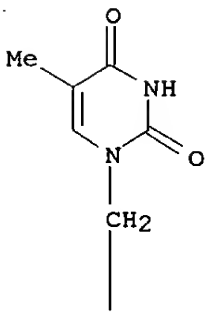

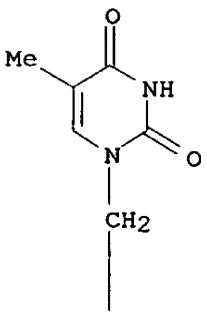

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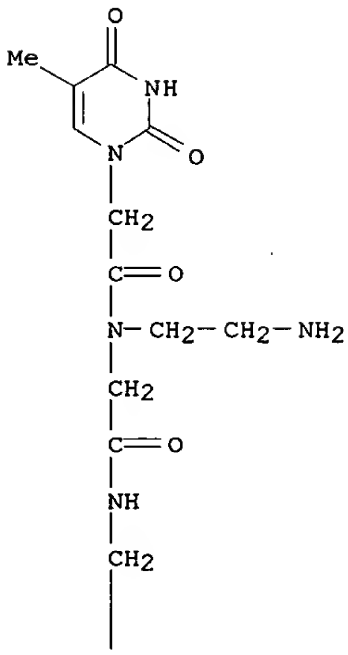

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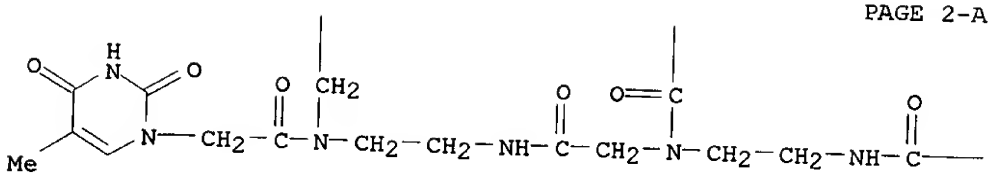

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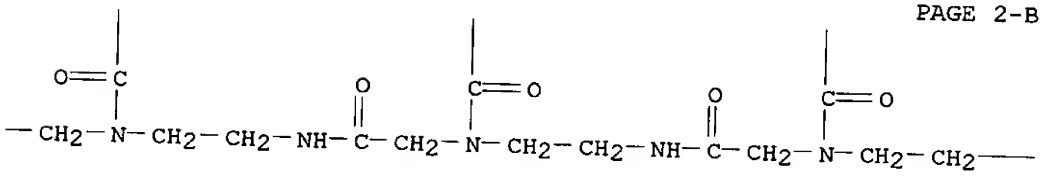

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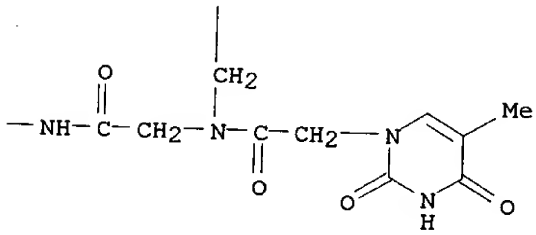


L11 ANSWER 51 OF 56 CAPLUS COPYRIGHT 1999 ACS

ACCESSION NUMBER: 1992:129571 CAPLUS

DOCUMENT NUMBER: 116:129571

TITLE: Peptide nucleic acids (PNA). Oligonucleotide analogs with an achiral peptide backbone

AUTHOR(S): Egholm, Michael; Buchardt, Ole; Nielsen, Peter E.; Berg, Rolf H.

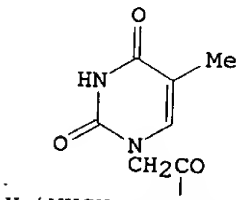
CORPORATE SOURCE: H. C. Oersted Inst., Univ. Copenhagen, Copenhagen, DK-2100, Den.

SOURCE: J. Am. Chem. Soc. (1992), 114(5), 1895-7
CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



H-(NHCH2CH2NCH2CO)n-Lys-NH2 I

Searched by Barb O'Bryen, STIC 308-4291

AB The design and synthesis of DNA analogs, e.g., I (n = 6, 8, 10), consisting of a peptide backbone with thymines attached is described. These novel peptide nucleic acids bind strongly to their cDNA by UV spectroscopic melting temp. detns. The oligomers were prepd. by std. solid phase peptide synthesis in high yields, and the design allows for incorporation of other amino acids, as well as various ligands, exemplified by a 9-aminoacridine deriv. for DNA intercalation. The Tm-values for selected complexes are: I (n = 10)/A10-DNA 72.degree., I (n = 8)/A8-DNA 52.degree., and I (n = 6)/A6-DNA 31.degree.. Mismatches in the DNA strand led to significant decreases in the Tm-values.

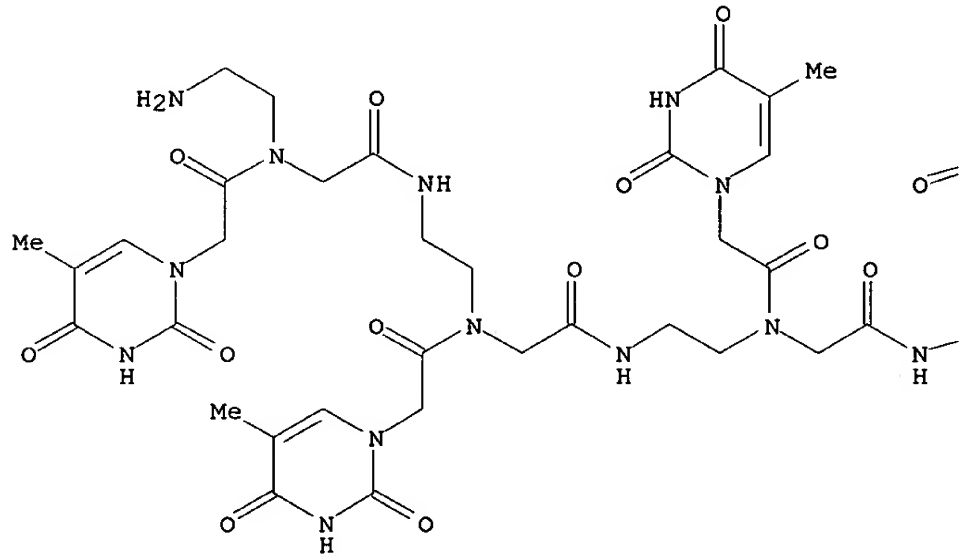
IT **139166-84-0P 139166-85-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and complexation of, with cDNA)

RN 139166-84-0 CAPLUS

CN Peptide nucleic acid, (H-T-T-T-T-T-T-T-T)-L-lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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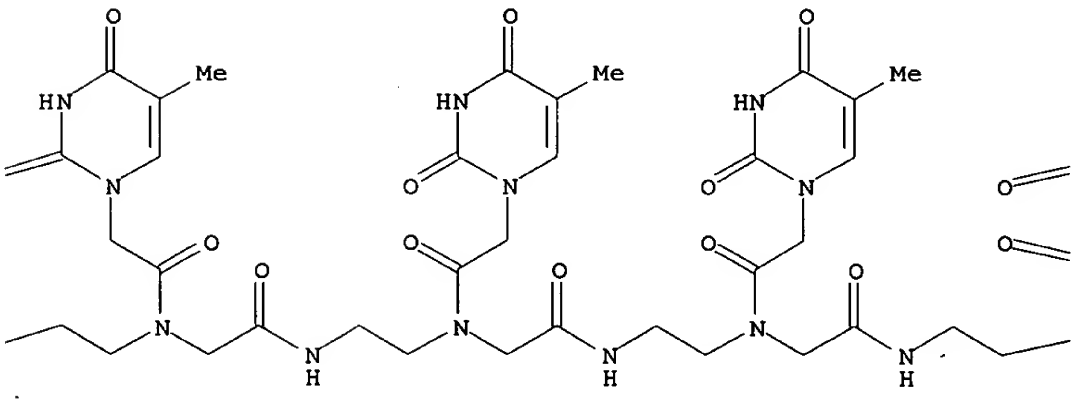
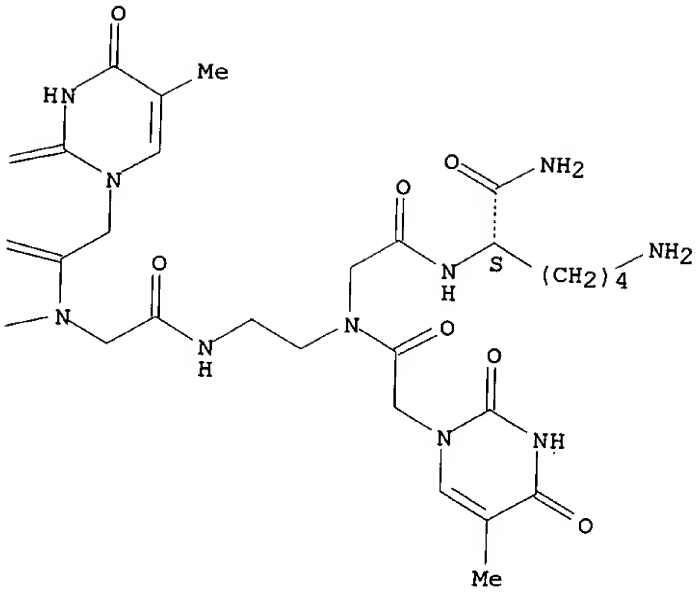


Searched by Barb O'Bryen, STIC 308-4291

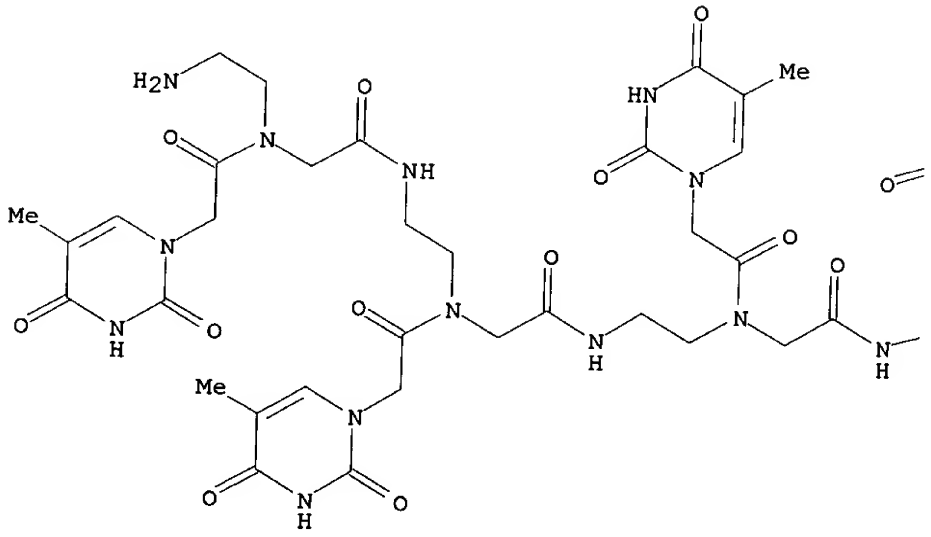
PAGE 1-C



RN 139166-85-1 CAPLUS
CN Peptide nucleic acid, (H-T-T-T-T-T-T-T-T-T-T)-L-lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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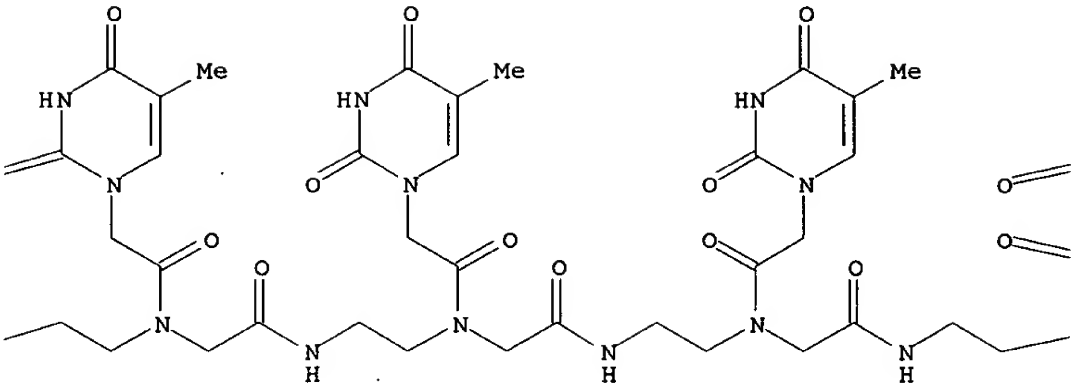

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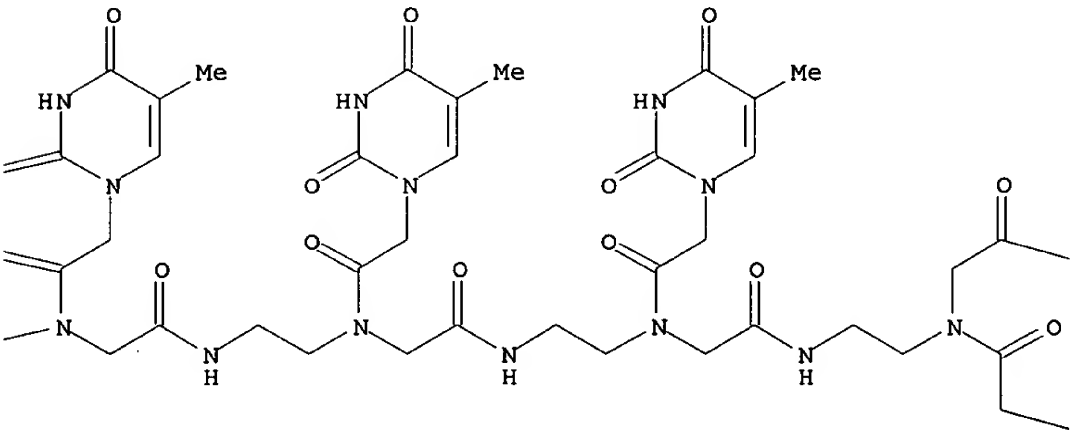

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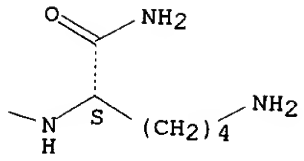
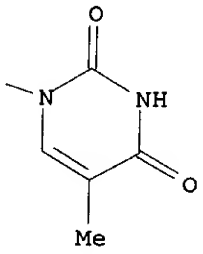
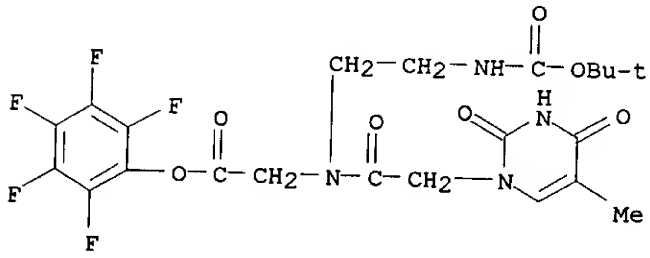




IT **139166-81-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and solid-phase peptide coupling reactions of, peptide nucleic acids from)
RN 139166-81-7 CAPLUS
CN Glycine, N-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-, pentafluorophenyl ester (9CI) (CA INDEX NAME)



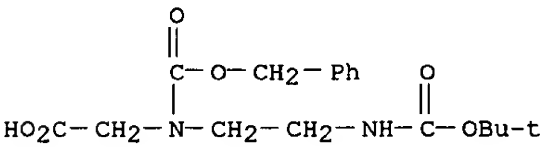
L11 ANSWER 52 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1984:407605 CAPLUS
DOCUMENT NUMBER: 101:7605
TITLE: Synthesis of analogs and oligomers of N-(2-aminoethyl)glycine and their gastrointestinal absorption in the rat
AUTHOR(S): Heimer, E. P.; Gallo-Torres, H. E.; Felix, A. M.; Ahmad, M.; Lambros, T. J.; Scheidl, F.; Meienhofer, J.
CORPORATE SOURCE: Bio-Org. Chem. Dep., Hoffmann-La Roche Inc., Nutley, NJ, 07110, USA
SOURCE: Int. J. Pept. Protein Res. (1984), 23(2), 203-11
CODEN: IJPPC3; ISSN: 0367-8377
DOCUMENT TYPE: Journal
LANGUAGE: English
Searched by Barb O'Bryen, STIC 308-4291

AB A series of analogs and oligomers of N-(2-aminoethyl)glycine (Aeg), e.g., Ac-Aeg-OH, H2N(CH2)3-Gly-OH, H-Gly-Aeg-OH, H-(Aeg)4-OH, were prepd. by conventional soln. methods. The gastrointestinal absorption of these compds. was detd. after intragastric administration. Analogs bearing a substituent at the amino or carboxyl functions were absorbed to a lesser extent than the parent compd. In contrast, the di- and tetra-oligomers of Aeg were more rapidly absorbed. Total absorption of these compds. was obsd. within 2 h after intragastric administration.

IT **34046-07-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and partial deblocking of)

RN 34046-07-6 CAPLUS

CN Glycine, N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-N-[(phenylmethoxy)carbonyl]- (9CI) (CA INDEX NAME)



L11 ANSWER 53 OF 56 CAPLUS COPYRIGHT 1999 ACS

ACCESSION NUMBER: 1980:181620 CAPLUS

DOCUMENT NUMBER: 92:181620

TITLE: Methionine enkephalin and isosteric analogs. I. Synthesis on a phenolic resin support

AUTHOR(S): Hudson, Derek; Kenner, George W.; Sharpe, Robert; Szelke, Michael

CORPORATE SOURCE: Dep. Chem. Pathol., R. Postgrad. Med. Sch., London, Engl.

SOURCE: Int. J. Pept. Protein Res. (1979), 14(3), 177-85
CODEN: IJPPC3; ISSN: 0367-8377

DOCUMENT TYPE: Journal

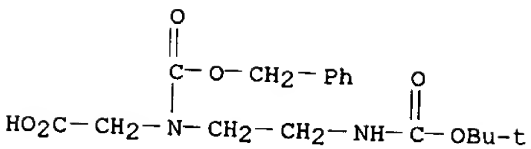
LANGUAGE: English

AB Labeled Met-enkephalin, H-Tyr-[14C]Gly-Gly-Phe-Met-OH (I), and several of it analogs, e.g., H-Tyr-NHCH2CH2-Gly-Phe-Met-ol (II, Met-ol = methioninol) and H-Tyr-NHCH2CH2-Gly-Phe-Met-NH2 (III), were prepd. by the solid-phase method on a phenolic resin. BOC-Met-OH (BOC = Me3CO2C) was esterified with a phenolic resin by dicyclohexylcarbodiimide to give BOC-Met-OC6H4Q (Q = polystyrene backbone), which was extended by stepwise solid-phase couplings to give BOC-Tyr-[14C]Gly-Gly-Phe-Met-OC6H4Q, which was resin-cleaved by Me2NCH2CH2OH and then BOC-deblocked to give I. BOC-Tyr-NHCH2CH2N(CO2CH2Ph)CH2CO-Phe-Met-OC6H4Q (IV) was prepd. and then resin-cleaved to give the protected peptide Me ester, which was reduced by NaBH4 to give BOC-Tyr-NHCH2CH2N(CO2CH2Ph)CH2CO-Phe-Met-ol, which was deblocked by HF to give II. IV was amidated with NH3/MeOH to give BOC-Tyr-NHCH2CH2N(CO2CH2Ph)CH2CO-Phe-Met-NH2, which was deblocked to give III. The phenolic resin was prepd. by deacetylating a copolymer of acetoxystyrene, styrene, and divinylbenzene.

IT **34046-07-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and solid-phase peptide coupling of)

RN 34046-07-6 CAPLUS

CN Glycine, N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-N-[(phenylmethoxy)carbonyl]- (9CI) (CA INDEX NAME)



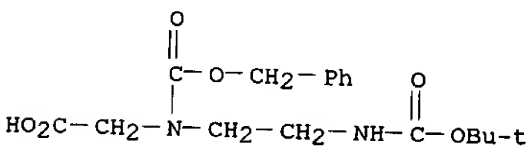
L11 ANSWER 54 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1980:6945 CAPLUS
DOCUMENT NUMBER: 92:6945
TITLE: Physiologically active enkephalin analogs
INVENTOR(S): Hudson, Derek; Sharpe, Robert; Szelke, Michael; Macintyre, Iain; Fink, George
PATENT ASSIGNEE(S): Engl.
SOURCE: Brit. UK Pat. Appl., 15 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2000783	A	19790117	GB 78-28598	19780703
GB 2000783	B2	19820127		
US 30731	E	19810901	US 80-178345	19800814
PRIORITY APPLN. INFO.:			GB 77-29207	19770712
			GB 77-51159	19771208
			US 78-923478	19780710

AB Enkephalin analogs in which .gtoreq. 1 CONH link was replaced by (CH2)2, COCH2, or CH2NH were prepd. as analgesics. Thus, N-phthaloyl-O-acetyl-L-tyrosine underwent 3 cycles of the Arndt-Eistert synthesis followed by phthaloyl and acetyl deblockig and treatment with BOC-N3 (BOC = Me3CO2C) to give p-HOC6H4CH2CH(NHBOC)(CH2)3CO2H (I). BOC-Phe-Met-OC6H6Q (Q = polystyrene resin backbone) was BOC-deblocked and then coupled sequentially with BOC-Gly-OH and I to give p-OHC6H4CH2CH(NHBOC)(CH2)3CO-Gly-Phe-Met-OC6H4Q, which was cleaved from the resin by amidation and then deblocked to give p-HOC6H4CH2CH(NH2)(CH2)3CO-Gly-Phe-Met-NH2. The new analogs showed significant brain radio receptor assay activity (assessed using rat brain membranes); activity was also shown in the guinea pig ileum system and in the mouse vas deferens system.

IT **34046-07-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate in prepn. of enkephalin analog)

RN 34046-07-6 CAPLUS
CN Glycine, N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-N-[(phenylmethoxy)carbonyl]- (9CI) (CA INDEX NAME)

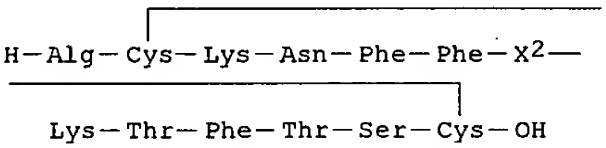


L11 ANSWER 55 OF 56 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1979:508235 CAPLUS
DOCUMENT NUMBER: 91:108235
Searched by Barb O'Bryen, STIC 308-4291

TITLE: Aminoethylglycine containing polypeptides
INVENTOR(S): Dairman, Wallace M.; Felix, Arthur M.; Gallo-Torres, Hugo E.; Heimer, Edgar P.; Meienhofer, Johannes A.
PATENT ASSIGNEE(S): Hoffmann-La Roche, Inc., USA
SOURCE: U.S., 18 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4145337	A	19790320	US 77-840922	19771011
EP 1449	A2	19790418	EP 78-101073	19781005
EP 1449	A3	19790627		
EP 1449	B1	19810415		
R: BE, CH, DE, FR, GB, LU, NL, SE				
JP 54061171	A2	19790517	JP 78-123693	19781009
ZA 7805691	A	19790926	ZA 78-5691	19781009
DK 7804515	A	19790412	DK 78-4515	19781010
AU 508559	B1	19800327	AU 78-40561	19781010
IL 55706	A1	19811030	IL 78-55706	19781010
CA 1113457	A1	19811201	CA 78-312934	19781010
AT 7807267	A	19820715	AT 78-7267	19781010

PRIORITY APPLN. INFO.: US 77-840922 19771011
GI

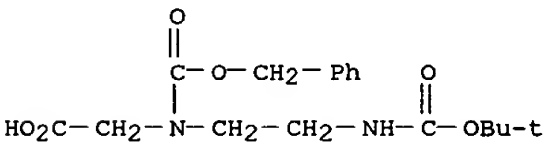


I

AB Somatostatin analogs H-(Aeg)m-X-Cys-Lys-Asn-Phe-Phe-X1-Lys-Thr-Phe-Thr-Ser-Cys-(Aeg)n-OH (Aeg = HNCH2CH2-Gly; X = null, Ala-Gly; X1 = Trp, D-Trp; m, n = 0 - 4) and their cyclic disulfides, e.g. I, useful as antiulcerogenic agents, stimulators of mucoprotein prodn., and inhibitors of gastric secretion, were prepd. by soln. and solid-phase methods. H-Aeg-Cys-Lys-Asn-Phe-Phe-Trp-Lys-Thr-Phe-Thr-Ser-Cys-OH was .apprx.5.6-fold less potent than somatostatin in its ability to prevent gastric ulceration in mice.

IT **34046-07-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and solid-phase peptide coupling of)

RN 34046-07-6 CAPLUS
CN Glycine, N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-N-[(phenylmethoxy)carbonyl]- (9CI) (CA INDEX NAME)



L11 ANSWER 56 OF 56 CAPLUS COPYRIGHT 1999 ACS
Searched by Barb O'Bryen, STIC 308-4291

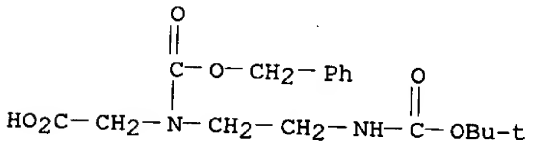
ACCESSION NUMBER: 1971:552070 CAPLUS
DOCUMENT NUMBER: 75:152070
TITLE: Synthesis of peptides containing N-(2-aminoethyl)glycine-'reduction analogs'
AUTHOR(S): Atherton, E.; Law, H. D.; Moore, S.; Elliott, D. F.; Wade, R.
CORPORATE SOURCE: Dep. Chem., Liverp. Polytech., Liverpool, Engl.
SOURCE: J. Chem. Soc. C (1971), (20), 3393-6
CODEN: JSOOAX
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Peptides, e.g. N-[2-(L-phenylalanylamino)ethyl]glycyl-L-phenylalanine, contg. N-(2-aminoethyl)glycine, the "redn. analog" of glycylglycine, were prepd. The "3,4-redn. analog" of [3-glycine]-bradykinin was inactive in the guineapig ileum assay.

IT **34046-07-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 34046-07-6 CAPLUS

CN Glycine, N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-N-[(phenylmethoxy)carbonyl]- (9CI) (CA INDEX NAME)



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